10/554,254

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	531	(544/251,544/252,514/267).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/07/02 15:23
L2	531	(544/251,544/252,514/267, 540/560,540/562,540/469, 540/559).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/07/02 15:25
L3	0	l1 and tricyclid adj pyrimidine	US-PGPUB; USPAT	OR	ON	2007/07/02 15:26
L4	2	l1 and tricyclic adj pyrimidine	US-PGPUB; USPAT	OR	ON	2007/07/02 15:26

[redacted] 10/554,254

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NEWS  1          Web Page for STN Seminar Schedule - N. America
NEWS  2  MAR 15  WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS  3  MAR 16  CASREACT coverage extended
NEWS  4  MAR 20  MARPAT now updated daily
NEWS  5  MAR 22  LWPI reloaded
NEWS  6  MAR 30  RDISCLOSURE reloaded with enhancements
NEWS  7  APR 02  JICST-EPLUS removed from database clusters and STN
NEWS  8  APR 30  GENBANK reloaded and enhanced with Genome Project ID field
NEWS  9  APR 30  CHEMCATS enhanced with 1.2 million new records
NEWS 10  APR 30  CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 11  APR 30  INPADOC replaced by INPADOCDB on STN
NEWS 12  MAY 01  New CAS web site launched
NEWS 13  MAY 08  CA/CAplus Indian patent publication number format defined
NEWS 14  MAY 14  RDISCLOSURE on STN Easy enhanced with new search and display
                 fields
NEWS 15  MAY 21  BIOSIS reloaded and enhanced with archival data
NEWS 16  MAY 21  TOXCENTER enhanced with BIOSIS reload
NEWS 17  MAY 21  CA/CAplus enhanced with additional kind codes for German
                 patents
NEWS 18  MAY 22  CA/CAplus enhanced with IPC reclassification in Japanese
                 patents
NEWS 19  JUN 27  CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20  JUN 29  STN Viewer now available
NEWS 21  JUN 29  STN Express, Version 8.2, now available
NEWS 22  JUL 02  LEMBASE coverage updated
NEWS 23  JUL 02  LMEDLINE coverage updated
NEWS 24  JUL 02  SCISEARCH enhanced with complete author names
NEWS 25  JUL 02  CHEMCATS accession numbers revised
NEWS 26  JUL 02  CA/CAplus enhanced with utility model patents from China

NEWS EXPRESS  29 JUNE 2007:  CURRENT WINDOWS VERSION IS V8.2,
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 4 MAY 2007.

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FILE 'HOME' ENTERED AT 17:23:34 ON 02 JUL 2007

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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L1 STRUCTURE UPLOADED

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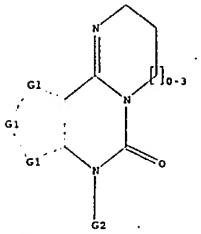
L1 STR



G1 C,N
G2 H,Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 17:24:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - . 1539 TO ITERATE

100.0% PROCESSED 1539 ITERATIONS 30 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 28427 TO 33133
PROJECTED ANSWERS: 272 TO 928

L2 30 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 17:24:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 31457 TO ITERATE

100.0% PROCESSED 31457 ITERATIONS 538 ANSWERS
SEARCH TIME: 00.00.02

L3 538 SEA SSS FUL L1

=> file caplus

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FULL ESTIMATED COST	172.55	172.76

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=> s l3 full
L4 46 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2007:245614 CAPLUS
DOCUMENT NUMBER:	146:454155
TITLE:	3D-Pharmacophore Models for Selective A2A and A2B Adenosine Receptor Antagonists
AUTHOR(S):	Wei, Jing; Wang, Songqing; Gao, Shaofen; Dai, Xuedong; Gao, Qingzhi
CORPORATE SOURCE:	School of Pharmaceutical Science and Technology, Tianjin University, Tianjin, 300072, Peop. Rep. China
SOURCE:	Journal of Chemical Information and Modeling (2007), 47(2), 613-625 CODEN: JCISD8; ISSN: 1549-9596
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English

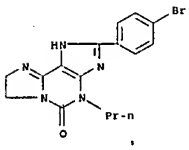
AB Three-dimensional pharmacophore models were generated for A2A and A2B adenosine receptors (ARs) based on highly selective A2A and A2B antagonists using the Catalyst program. The best pharmacophore model for selective A2A antagonists (Hypo-A2A) was obtained through a careful validation process. Four features contained in Hypo-A2A (one ring aromatic feature (R), one pos. ionisable feature (P), one hydrogen bond acceptor lipid feature (L), and one hydrophobic feature (H)) seem to be essential for antagonists in terms of binding activity and A2A AR selectivity. The best pharmacophore model for selective A2B antagonists (Hypo-A2B) was elaborated by modifying the Catalyst common features (HipHop) hypotheses generated from the selective A2B antagonists training set. Hypo-A2B also consists of four features: one ring aromatic feature (R), one hydrophobic aliphatic feature (Z), and two hydrogen bond acceptor lipid features (L). All features play an important role in A2B AR binding affinity and are essential for A2B selectivity. Both A2A and A2B pharmacophore models have been validated toward a wide set of test mols. containing structurally diverse selective antagonists of all AR subtypes. They are capable of identifying correspondingly high potent antagonists and differentiating antagonists between subtypes. The results of our study will act as a valuable tool for retrieving structurally diverse compds. with desired biol. activities

and designing novel selective adenosine receptor ligands.

IT 206129-88-6
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(pharmacophore models for selective A2A and A2B adenosine receptor antagonists)

RN 206129-88-6 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-3,4,7,8-tetrahydro-4-propyl- (CA INDEX NAME)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1172157 CAPLUS
DOCUMENT NUMBER: 146:93671
TITLE: Docking studies of agonists and antagonists suggest an activation pathway of the A3 adenosine receptor
AUTHOR(S): Kim, Soo-Kyung; Gao, Zhan-Guo; Jeong, Lak Shin; Jacobson, Kenneth A.
CORPORATE SOURCE: Molecular Recognition Section, Laboratory of Bioorganic Chemistry, National Institute of Diabetes and Digestive and Kidney Diseases (NIDDK), National Institutes of Health (NIH), Bethesda, MD, 20892, USA
SOURCE: Journal of Molecular Graphics & Modelling (2006), 25(4), 562-577
CODEN: JMGMFI; ISSN: 1093-3263
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Structural determinants of ligand efficacy in the human A3 adenosine receptor (AR) were studied using pharmacophore and docking analyses of various categories of A3 selective ligands: inverse agonist, neutral antagonist (nonnucleoside and nucleoside), and agonist (partial and full). The homol. modeling of GPCRs was adapted to provide two templates: the rhodopsin-based resting state for antagonist binding and a putative Meta I state, conformationally altered at a key residue (W6.48), for agonist binding. The preferential binding domains and/or local conformational changes associated with docking of three high affinity A3AR ligands were compared: inverse agonist PSB-11, neutral antagonist MRE-3008F20, and full agonist Cl-IB-MECA to define a distinct recognition mode for each. Ribose-containing agonists were more hydrophilic than nonnucleoside antagonists, and H-bonding ability at the ribose 3'- and 5'-positions was required for agonism. From the receptor perspective, common requirements for activation included the destabilization of H-bond networks at W6.48 and H7.43, the specific interactions of the ribose moiety in its putative

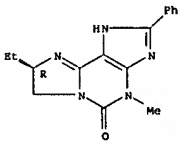
hydrophilic pocket at T3.36, S7.42, and H7.43, the stabilization of the complex by inward movement of F5.43, and the characteristic rotation of W6.48. By analogy, outward rotation of the W6.48 side-chain upon activation of an internally-crosslinking mutant M3 muscarinic receptor was indicated by constrained mol. dynamics (MD). The authors' results are consistent with an anti-clockwise rotation (from the extracellular view) of transmembrane domains 3, 5, 6, and 7, as proposed for other Family A GPCRs. Thus, the putative conformational changes associated with A3AR activation indicate a shared mechanism of GPCR activation similar to rhodopsin.

IT 444717-56-0, PSB-11
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(combination of docking studies and pharmacophore anal. of mol. mechanisms of interaction of agonists and antagonists with human adenosine A3 receptors)

RN 444717-56-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1170173 CAPLUS
DOCUMENT NUMBER: 146:92609
TITLE: Tricyclic Imidazoline Derivatives as Potent and Selective Adenosine A1 Receptor Antagonists
AUTHOR(S): Vu, Chi B.; Kiesman, William F.; Conlon, Patrick R.; Lin, Ko-Chung; Tam, Melissa; Petter, Russell C.; Smits, Glenn; Lutterodt, Frank; Jin, Xiaowei; Chen, Liging; Zhang, Jianbo
CORPORATE SOURCE: Departments of Chemistry Pharmacology and Preclinical Development, Biogen Idec Inc., Cambridge, MA, 02142, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(24), 7132-7139
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:92609

AB Novel tricyclic imidazoline antagonists of the adenosine A1 receptor are described. For key compds., the selectivity level over other adenosine receptor subtypes is examined along with their in vivo effects in a rat

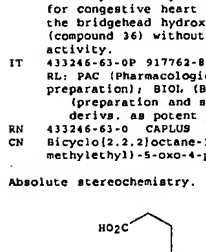
diuresis model. Compound (I), the (R)-isomer of 7,8-dihydro-8-ethyl-2-(4-bicyclo[2.2.2]octan-1-ol)-4-propyl-1H-imidazo[2,1-i]purin-5(4H)-one, is a particularly potent adenosine A1 receptor antagonist with good selectivity over the other three adenosine receptor subtypes: A1 (human) Ki = 22 nM; A2A (human) Ki = 4400 nM; A2B (human) Ki = 580 nM; A3 (human) Ki ≥ 10 000 nM. Imidazoline I is a competitive adenosine A1 receptor antagonist with a pA2 value of 8.88 and is highly soluble in water (>100 mg/mL). In addition, it has an oral bioavailability of 84% and an oral half-life of 3.8 h in rats. When orally administered in a rat diuresis model, compound 14 promoted sodium excretion (ED50 = 0.01 mg/kg). This level of efficacy is comparable to that of BG9928, a selective adenosine A1 receptor antagonist that is currently in clin. trials as a treatment for congestive heart failure. Addnl. modifications to 14 also showed that the bridgehead hydroxyl group could be replaced with a propionic acid (compound 36) without a significant loss in binding affinity or in vivo activity.

IT 433246-63-0P 917762-85-7P 917762-88-0P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure activity relations of tricyclic imidazoline derivs. as potent and selective adenosine A1 receptor antagonists)

RN 433246-63-0 CAPLUS

CN Bicyclo[2.2.2]octane-1-propanoic acid, 4-[(8R)-4,5,7,8-tetrahydro-8-(1-methylethyl)-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

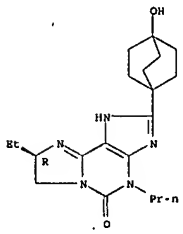
Absolute stereochemistry.



RN 917762-85-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-2-(1-hydroxybicyclo[2.2.2]oct-4-yl)-4-propyl-, hydrochloride (1:1), (8R)- (CA INDEX NAME)

Absolute stereochemistry.

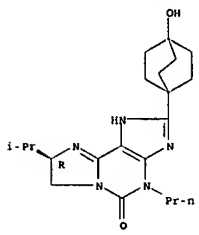


● HCl

RN 917762-88-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(1-hydroxybicyclo[2.2.2]oct-4-yl)-8-(1-methylethyl)-4-propyl-, hydrochloride (1:1), (8R)- (CA INDEX NAME)

Absolute stereochemistry.



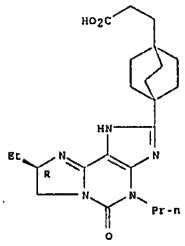
● HCl

IT 433246-53-8P 433246-58-3P 433246-91-4P
433246-97-0P 433247-03-1P 433247-09-7P
433247-14-4P 433247-25-7P 433247-31-5P
433247-37-1P 433247-58-6P 433247-74-6P
433247-84-8P 433248-07-8P 433248-11-4P
917762-86-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure activity relations of tricyclic imidazoline derivs. as potent and selective adenosine A1 receptor antagonists)

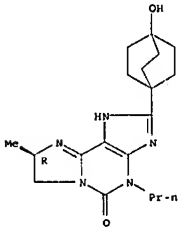
RN 433245-53-8 CAPLUS
CN Bicyclo[2.2.2]octane-1-propanoic acid, 4-[(8R)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



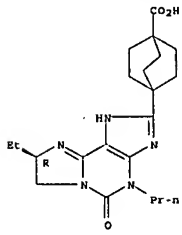
RN 433246-58-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-methyl-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



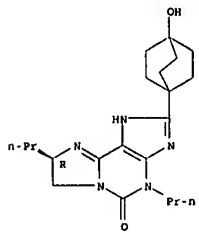
RN 433246-91-4 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8R)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



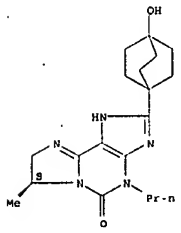
RN 433245-97-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4,8-dipropyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



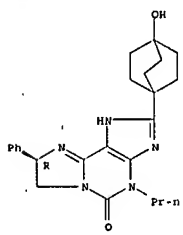
RN 433247-03-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-7-methyl-4-propyl-, (7S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 433247-09-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-phenyl-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 433247-14-4 CAPLUS
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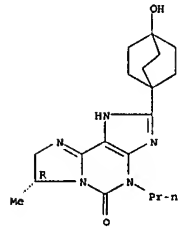
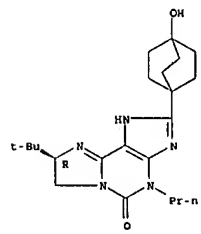
Absolute stereochemistry.



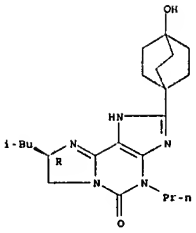
RN 433247-25-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



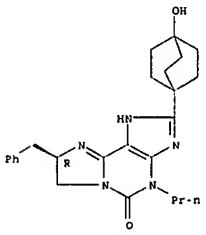
RN 433247-31-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(2-methylpropyl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

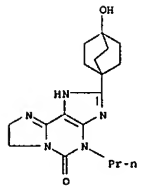


RN 433247-37-1 · CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(phenylmethyl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 433247-58-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl- (CA INDEX NAME)



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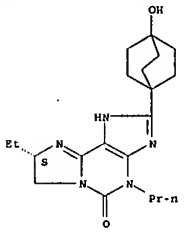
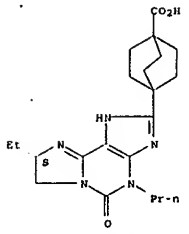
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



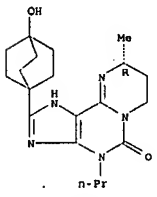
RN 433247-84-8 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8S)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



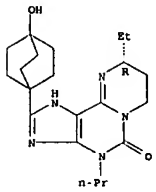
RN 433248-07-8 CAPLUS
CN Pyrimido[2,1-i]purin-5(3H)-one, 4,7,8,9-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-9-methyl-4-propyl-, (9R)- (CA INDEX NAME)

Absolute stereochemistry.



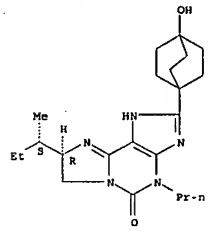
RN 433248-11-4 CAPLUS
CN Pyrimido[2,1-i]purin-5(3H)-one, 9-ethyl-4,7,8,9-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (9R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 917762-86-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(1-hydroxybicyclo[2.2.2]oct-4-yl)-8-[(1S)-1-methylpropyl]-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

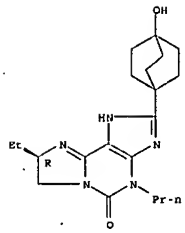


IT 917762-90-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and structure activity relations of tricyclic imidazoline derivs. as potent and selective adenosine A1 receptor antagonists)
RN 917762-90-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-2-(1-hydroxybicyclo[2.2.2]oct-4-yl)-4-propyl-, (8R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 433246-48-1
CMF C20 H29 N5 O2

Absolute stereochemistry.



CM 2

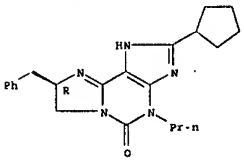
CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1298051 CAPLUS
DOCUMENT NUMBER: 144:184409
TITLE: Glucose concentration-dependent potentiation of insulin secretion by a new chemical entity, KCP256
AUTHOR(S): Mori, Kiyotoshi; Takasaki, Kotaro; Katoh, Yoshimitsu; Yano, Hiroshi; Ueno, Kimihisa; Ichimura, Michiaki; Kusaka, Hideaki; Nomoto, Yuji; Higo, Katsuya; Nakanishi, Satoshi
CORPORATE SOURCE: Drug Discovery Research Laboratories, Pharmaceutical Research Center, Kyowa Hakko Kogyo Co., Ltd., 1188 Shimotogari, agaizumi-cho, Shizuoka, Japan
SOURCE: European Journal of Pharmacology (2005), 528(1-3), 176-182
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The insulinotropic activity of KCP256 [(R)-8-benzyl-2-cyclopentyl-7,8-dihydro-4-propyl-1H-imidazo[2,1-i]purin-5(4H)-one hydrochloride] was examined using MIN6 cells (a pancreatic β-cell line) and pancreatic islets isolated from rats. Unlike sulfonylurea anti-diabetic drugs, KCP256 dose-dependently (0.1-10 μM) enhanced insulin secretion from

MIN6 cells and its insulinotropic effect was exerted only at high concns. of glucose (8.3-22 mM) but not at low concns. of glucose (3.3-5.5 mM). Furthermore, the action mechanism of KCP256 was different because, unlike sulfonylurea drugs, KCP256 did not displace the binding of [3H]glibenclamide, and did not inhibit the 86Rb+ efflux nor KATP channel activity. In isolated islets, KCP256 also enhanced insulin secretion in a dose- and a glucose-concentration-dependent manner. Plasma levels of insulin after glucose challenge in KCP256-administered rats were higher than those in vehicle-administered animals, indicating that KCP256 can enhance insulin secretion in vivo. Since the insulinotropic activity of KCP256 only occurs at high concns. of glucose, this novel drug may exhibit a decreased risk of drug-induced hypoglycemia compared with sulfonylurea drugs when treating patients with diabetes.
IT 254426-47-6, KCP 256
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(glucose concentration-dependent potentiation of insulin secretion by a new chemical entity, KCP256)
RN 254426-47-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

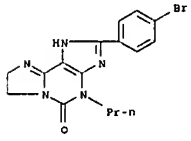
Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1140155 CAPLUS
DOCUMENT NUMBER: 143:416601
TITLE: Human adenosine A3 receptor leads to intracellular Ca2+ mobilization but is insufficient to activate the signaling pathway via phosphoinositide 3-kinase γ in mice
AUTHOR(S): Yamano, Kazuya; Inoue, Miho; Masaki, Shigehiro; Saki, Mayumi; Ichimura, Michio; Satoh, Mitsuo
CORPORATE SOURCE: Tokyo Research Laboratories, Kyowa Hakko Kogyo Co. Ltd., Machida-shi, Tokyo, 194-8533, Japan
SOURCE: Biochemical Pharmacology (2005), 70(10), 1487-1496
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Selective antagonists for the adenosine A3 receptor (A3AR), a member of the G protein-coupled receptors, have been indicated as potential drugs

for anti-asthma or anti-inflammation. However, potent antagonists for the rodent A3AR have not been identified. To evaluate the pharmacol. effects of human A3AR antagonists in mice, the authors here generated A3AR-humanized mice, in which the mouse A3AR gene was replaced by its human counterpart. The expression levels of human A3AR in the A3AR-humanized mice were equivalent to those of mouse A3AR in wild-type mice. Elevation of the intracellular Ca2+ concentration induced by an A3AR agonist was observed in bone marrow-derived mast cells from the A3AR-humanized mice and this Ca2+ mobilization was completely antagonized by a human A3AR antagonist. However, antigen-dependent degranulation was not potentiated by the A3AR agonist in the mast cells from A3AR-humanized mice. The agonist-stimulated human A3AR did not lead to the phosphorylation of either extracellular signal-regulated kinase 1/2 or protein kinase B in A3AR-humanized mice. The rate of human A3AR internalization in the mast cells was also markedly decreased compared with that of mouse A3AR in the mast cells. These results demonstrate that the human A3AR is insufficient to activate phosphoinositide 3-kinase γ-dependent signaling pathways in mice, probably due to the uncoupling of member(s) of the G proteins, which are capable of activating phosphoinositide 3-kinase γ, to the human A3AR, despite the mouse G protein(s) responsible for the Ca2+ elevation are coupled with the human A3AR.
IT 206129-88-6, KF 26777
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(purinergic antagonist; human adenosine A3 receptor mobilization of intracellular calcium independent of signaling by phosphoinositide kinase pathway in bone marrow mast cells from receptor transfected mice)
RN 206129-88-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-3,4,7,8-tetrahydro-4-propyl- (CA INDEX NAME)

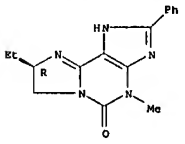


REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:703873 CAPLUS
DOCUMENT NUMBER: 143:338940
TITLE: Autocorrelation of Molecular Electrostatic Potential Surface Properties Combined with Partial Least Squares Analysis as New Strategy for the Prediction of the Activity of Human A3 Adenosine Receptor Antagonists
AUTHOR(S): Moro, Stefano; Bacilieri, Magdalena; Cacciari, Barbara; Spalluto, Giampiero
CORPORATE SOURCE: Molecular Modeling Section, Dipartimento di Scienze Farmaceutiche, Universita di Padova, Padua, I-35131,

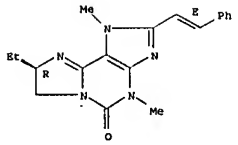
Italy
SOURCE: Journal of Medicinal Chemistry (2005), 48(18), 5698-5704
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The combination of mol. electrostatic potential (MEP) surface properties (autocorrelation vectors) with the conventional partial least squares (PLS) anal. has been used for the prediction of the human A3 receptor antagonist activities. Three-hundred-fifty-eight structurally diverse human A3 receptor antagonists have been utilized to generate a novel ligand-based three-dimensional structure-activity relation. Remarkably, our chemical library includes all 21 important chemical classes of human A3 antagonists currently discovered, and it represents the largest mol. collection used to generate a general human A3 antagonist structure-activity relation. A robust quant. model has been obtained as described by both cross-validated correlation coefficient (rcv = 0.81) and prediction capability (rpred = 0.82). The proposed MEP/PLS approach can be considered as an alternative hit identification tool in virtual screening applications.
IT 444717-56-0 453591-60-1 543699-91-8
734528-03-1 788151-37-1
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(mol. electrostatic potential surface properties combined with partial least squares anal. for prediction of activity of human A3 adenosine receptor antagonists)
RN 444717-56-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



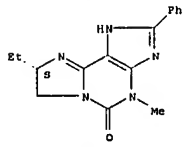
RN 453591-60-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-[(1E)-2-phenylethenyl]-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

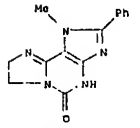


RN 543699-91-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

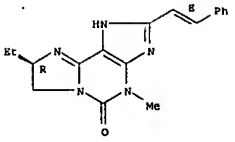


RN 734528-03-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 788151-37-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-[(1E)-2-phenylethenyl]-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

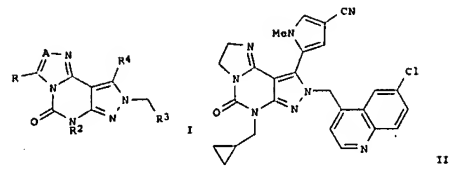


REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:158670 CAPLUS
DOCUMENT NUMBER: 142:261549
TITLE: Preparation of imidazo[1,2-c]pyrazolo[4,3-e]pyrimidine derivatives as glutamate racemase inhibitors
INVENTOR(S): Basarab, Gregory S.; Eyermann, Charles J.; Gowravaram, Madhusudhan R.; Green, Oluyinka; Kiely, Andrew; MacPherson, Lawrence J.; Morningstar, Marshall L.; Thanh, Nguyen
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005016929	A1	20050224	WO 2004-GB3464		20040812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1664052	A1	20060607	EP 2004-743692		20040812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
JP 2007502307	T	20070208	JP 2006-523672		20040812
US 2006252781	A1	20061109	US 2006-567797		20060209
PRIORITY APPLN. INFO.:			US 2003-495615P	P	20030815
			WO 2004-GB3464	W	20040812

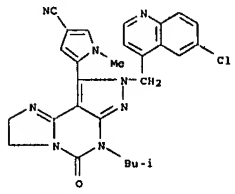
OTHER SOURCE(S): CASREACT 142:261549; MARPAT 142:261549
GI



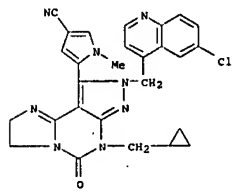
AB Title compds. represented by the formula I (wherein A = N or (un)substituted C; R = H, halo, (un)substituted alkyl, sulfide, etc.; R2 = H, (un)substituted (cyclo)alkyl, alkenyl, aryl, etc.; R3 = (un)substituted hetero(bi)cyclic ring; and pharmaceutically acceptable salts thereof] were prepared as glutamate racemase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of 6-chlorouracil with cyclopropylmethyl bromide. I showed inhibition of glutamate racemase with IC50 values of less than 400 uM. Thus, I and their pharmaceutical compns. are useful as glutamate racemase inhibitors for the treatment or prophylaxis of H. pylori infection.

IT 845729-06-8P, 5-[8-[(6-Chloroquinolin-4-yl)methyl]-6-isobutyl-5-oxo-2,5,6,8-tetrahydro-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl-1H-pyrrole-3-carbonitrile 845729-07-9P, 5-[8-[(6-Chloroquinolin-4-yl)methyl]-6-(cyclopropylmethyl)-5-oxo-2,5,6,8-tetrahydro-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl-1H-pyrrole-3-carbonitrile 845729-08-0P, 5-[8-[(6-Chloroquinolin-4-yl)methyl]-6-(cyclopropylmethyl)-3-methyl-5-oxo-2,5,6,8-tetrahydro-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl-1H-pyrrole-3-carbonitrile 845729-09-1P 845729-10-4P 845729-11-5P 845729-12-6P, 5-[9-[(6-Chloroquinolin-4-yl)methyl]-7-(cyclopropylmethyl)-6-oxo-2,3,4,6,7,9-hexahydropyrazolo[4,3-e]pyrimido[1,2-c]pyrimidin-10-yl]-1-methyl-1H-pyrrole-3-carbonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazo[1,2-c]pyrazolo[4,3-e]pyrimidine derivs. as glutamate racemase inhibitors)

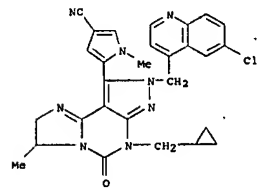
RN 845729-06-8 CAPLUS
CN 1H-Pyrrole-3-carbonitrile, 5-[8-[(6-chloro-4-quinolinyl)methyl]-2,5,6,8-tetrahydro-6-(2-methylpropyl)-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl- (9CI) (CA INDEX NAME)



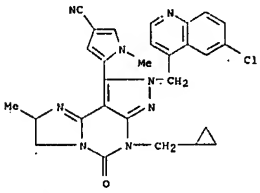
RN 845729-07-9 CAPLUS
CN 1H-Pyrrole-3-carbonitrile, 5-[8-[(6-chloro-4-quinolinyl)methyl]-6-(cyclopropylmethyl)-2,5,6,8-tetrahydro-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl- (9CI) (CA INDEX NAME)



RN 845729-08-0 CAPLUS
CN 1H-Pyrrole-3-carbonitrile, 5-[8-[(6-chloro-4-quinolinyl)methyl]-6-(cyclopropylmethyl)-2,5,6,8-tetrahydro-3-methyl-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl- (9CI) (CA INDEX NAME)

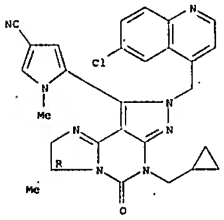


RN 845729-09-1 CAPLUS
CN 1H-Pyrrole-3-carbonitrile, 5-[8-[(6-chloro-4-quinolinyl)methyl]-6-(cyclopropylmethyl)-2,5,6,8-tetrahydro-2-methyl-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl- (9CI) (CA INDEX NAME)



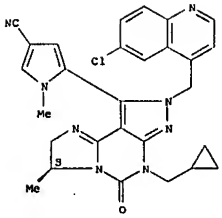
RN 845729-10-4 CAPLUS
CN 1H-Pyrrole-3-carbonitrile, 5-[(3R)-8-[(6-chloro-4-quinolinyl)methyl]-6-(cyclopropylmethyl)-2,5,6,8-tetrahydro-3-methyl-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

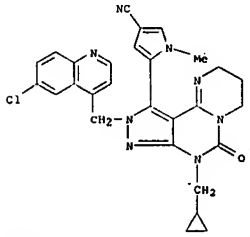


RN 845729-11-5 CAPLUS
CN 1H-Pyrrole-3-carbonitrile, 5-[(3S)-8-[(6-chloro-4-quinolinyl)methyl]-6-(cyclopropylmethyl)-2,5,6,8-tetrahydro-3-methyl-5-oxo-3H-imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-9-yl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 845729-12-6 CAPLUS
CN 1H-Pyrrole-3-carbonitrile, 5-[2-[(6-chloro-4-quinolinyl)methyl]-4-(cyclopropylmethyl)-2,4,5,7,8,9-hexahydro-5-oxopyrazolo[4,3-e]pyrimido[1,2-c]pyrimidin-1-yl]-1-methyl- (9CI) (CA INDEX NAME)



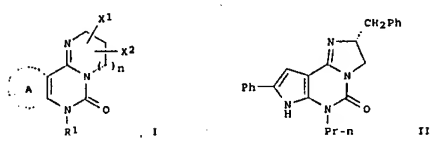
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:965256 CAPLUS
DOCUMENT NUMBER: 141:410951
TITLE: Preparation of fused pyrimidine derivatives for treatment of diabetes
INVENTOR(S): Nakajima, Takao; Ueno, Kimihisa; Nomoto, Yuji; Matsumoto, Yuichi; Yano, Hiroshi; Nakanishi, Satoshi; Takasaki, Kotaro; Kusaka, Hideaki
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004096812	A1	20041111	WO 2004-JP5890		20040423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004234245	A1	20041111	AU 2004-234245		20040423
CA 2523763	A1	20041111	CA 2004-2523763		20040423
EP 1637532	A1	20060322	EP 2004-729197		20040423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1777608	A	20060524	CN 2004-80010487		20040423
US 2006252780	A1	20061109	US 2005-554254		20051024
PRIORITY APPLN. INFO.:			JP 2003-121287	A	20030425
			WO 2004-JP5890	W	20040423

OTHER SOURCE(S): MARPAT 141:410951
GI



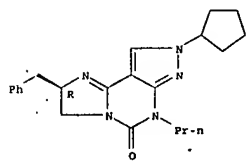
AB The title fused pyrimidine derivs. represented by the formula I [wherein R1 = H, (un)substituted alkyl, aralkyl, aryl, or heteroaryl; n = 0-3; X1 and X2 = independently H, (un)substituted alkyl, aralkyl, aryl, or heteroaryl; ring A = pyrrole, pyrazole, etc.] and pharmaceutically acceptable salts thereof are prepared for the treatment of diabetes. For example, the compound II was prepared in a multi-step synthesis. I showed significant promoting effect on insulin secretory activity at the concentration of 1.0 μM.

IT 791071-38-0P 791071-39-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of fused pyrimidine derivs. for treatment of diabetes)

RN 791071-38-0 CAPLUS
CN 5H-Imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-5-one, 8-cyclopentyl-2,3,6,8-

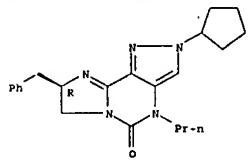
tetrahydro-2-(phenylmethyl)-6-propyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791071-39-1 CAPLUS
CN 5H-Imidazo[1,2-c]pyrazolo[3,4-e]pyrimidin-5-one, 2-cyclopentyl-2,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

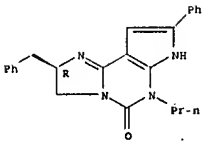
Absolute stereochemistry.



IT 791071-28-8P 791071-29-9P 791071-30-2P
791071-31-3P 791071-32-4P 791071-33-5P
791071-34-6P 791071-35-7P 791071-36-8P
791071-37-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of fused pyrimidine derivs. for treatment of diabetes)

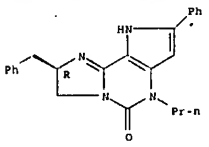
RN 791071-28-8 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[3,2-e]pyrimidin-5-one, 2,3,6,7-tetrahydro-8-phenyl-2-(phenylmethyl)-6-propyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



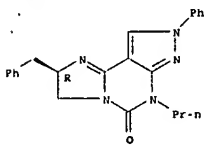
RN 791071-29-9 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[2,3-e]pyrimidin-5-one, 1,4,7,8-tetrahydro-2-phenyl-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

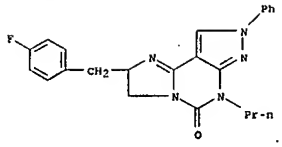


RN 791071-30-2 CAPLUS
CN 5H-Imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-5-one, 2,3,6,8-tetrahydro-8-phenyl-2-(phenylmethyl)-6-propyl-, (2R)- (9CI) (CA INDEX NAME)

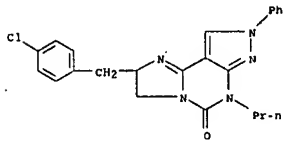
Absolute stereochemistry.



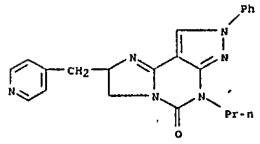
RN 791071-31-3 CAPLUS
CN 5H-Imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-5-one, 2-[(4-fluorophenyl)methyl]-2,3,6,8-tetrahydro-8-phenyl-6-propyl- (9CI) (CA INDEX NAME)



RN 791071-32-4 CAPLUS
CN 5H-Imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-5-one, 2-[(4-chlorophenyl)methyl]-2,3,6,8-tetrahydro-8-phenyl-6-propyl- (9CI) (CA INDEX NAME)

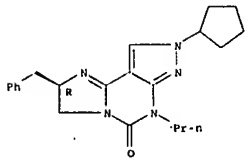


RN 791071-33-5 CAPLUS
CN 5H-Imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-5-one, 2,3,6,8-tetrahydro-8-phenyl-6-propyl-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 791071-34-6 CAPLUS
CN 5H-Imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-5-one, 8-cyclopentyl-2,3,6,8-tetrahydro-2-(phenylmethyl)-6-propyl-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

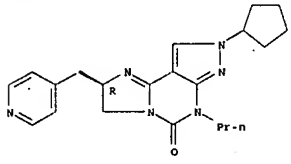
Absolute stereochemistry.



● HCl

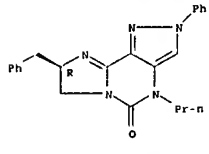
RN 791071-35-7 CAPLUS
CN 5H-Imidazo[1,2-c]pyrazolo[4,3-e]pyrimidin-5-one, 8-cyclopentyl-2,3,6,8-tetrahydro-6-propyl-2-(4-pyridinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791071-36-8 CAPLUS
CN 5H-Imidazo[1,2-c]pyrazolo[3,4-e]pyrimidin-5-one, 2,4,7,8-tetrahydro-2-phenyl-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

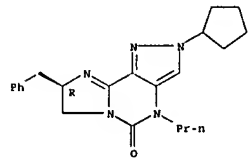
Absolute stereochemistry.



RN 791071-37-9 CAPLUS
CN 5H-Imidazo[1,2-c]pyrazolo[3,4-e]pyrimidin-5-one, 2-cyclopentyl-2,4,7,8-

tetrahydro-8-(phenylmethyl)-4-propyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

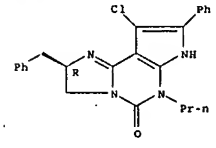


● HCl

IT 791071-41-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused pyrimidine derivs. for treatment of diabetes)

RN 791071-41-5 CAPLUS
CN 5H-Imidazo[1,2-c]pyrrolo[3,2-e]pyrimidin-5-one, 9-chloro-2,3,6,7-tetrahydro-8-phenyl-2-(phenylmethyl)-6-propyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:648390 CAPLUS
DOCUMENT NUMBER: 141:185092
TITLE: Combination of a phosphodiesterase IV (PDE IV) inhibitor and a tumor necrosis factor α (TNF-α) antagonist for the treatment of PDE IV-related conditions and TNF-α-related conditions
INVENTOR(S): Warner, James M.

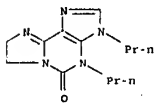
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067006	A1	20040812	WO 2004-IB616	20040123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
US 2006083714	A1	20060420	US 2004-500266	20040618
PRIORITY APPLN. INFO.:			US 2003-442881P P	20030127
			WO 2004-IB616 W	20040123

AB The invention discloses therapeutic combinations and methods for the treatment of inflammatory conditions and diseases. In particular, the invention discloses treatments and methods for PDE IV-related conditions and for TNF-α-related conditions using a combination of a PDE IV inhibitor and a TNF-α antagonist.

IT 195869-73-9, XT-611
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase IV inhibitor-tumor necrosis factor α antagonist combination for treatment of PDE IV-related conditions and TNF-α-related conditions)

RN 195869-73-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:262686 CAPLUS
DOCUMENT NUMBER: 141:16876
TITLE: Cyclic AMP phosphodiesterase 4 isoenzyme inhibitory activity of (R)- and (S)-isomer of 7-methyl- or 8-alkyl-4,5,7,8-tetrahydroimidazo[2,1-i]-purin-5-one
AUTHOR(S): Suzuki, Hirokazu; Nomura, Masaaki; Miyamoto, Ken-ichi; Sawanishi, Hiroyuki; Yamamoto, Kenji
CORPORATE SOURCE: Department of Synthetic Chemistry, Faculty of Pharmaceutical Sciences, Hokuriku University, Kanazawa, 920-1181, Japan
SOURCE: Biological & Pharmaceutical Bulletin (2004), 27(3), 357-360
CODEN: BPBLEO; ISSN: 0918-6158

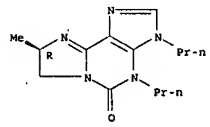
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We investigated the structure-activity relationship of the (R)- and (S)-isomer of 7-methyl- and 8-alkyl-tetrahydroimidazo[2,1-i]purines for phosphodiesterase 4 (PDE4) inhibitors. (S)-8-Isopropyl-3,4-dipropyl-imizazo[2,1-i]purine (S) exhibited both potent and selective PDE4 inhibitory activity.

IT 697793-22-0P 697793-24-1P 697793-25-2P
697793-26-3P 697793-27-4P 697793-28-5P
697793-29-6P 697793-30-9P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship studies of 8-alkyl-4,5,7,8-tetrahydroimidazo[2,1-i]-purin-5-one isomers as cAMP phosphodiesterase 4 isoenzyme inhibitors)

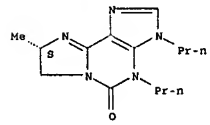
RN 697793-23-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-8-methyl-3,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



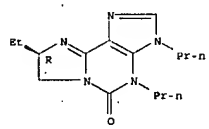
RN 697793-24-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-8-methyl-3,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



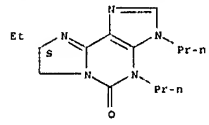
RN 697793-25-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-3,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



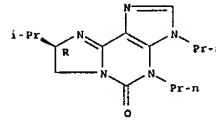
RN 697793-26-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-3,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



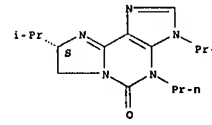
RN 697793-27-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-8-(1-methylethyl)-3,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



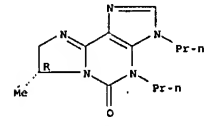
RN 697793-28-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-8-(1-methylethyl)-3,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



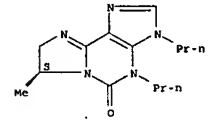
RN 697793-29-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-7-methyl-3,4-dipropyl-, (7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-30-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-7-methyl-3,4-dipropyl-, (7S)- (9CI) (CA INDEX NAME)

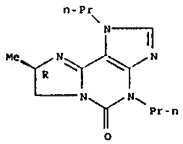
Absolute stereochemistry.



IT 697793-31-0P 697793-32-1P 697793-33-2P
697793-34-3P 697793-35-4P 697793-36-5P
697793-37-6P 697793-38-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship studies of 8-alkyl-4,5,7,8-tetrahydroimidazo[2,1-i]-purin-5-one isomers as cAMP phosphodiesterase 4 isoenzyme inhibitors)

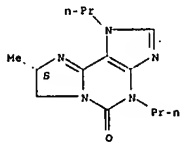
RN 697793-31-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-1,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



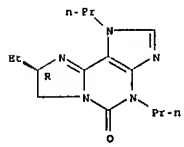
RN 697793-32-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-1,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



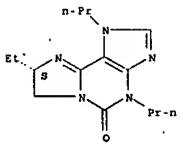
RN 697793-33-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



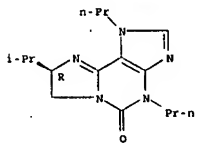
RN 697793-34-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



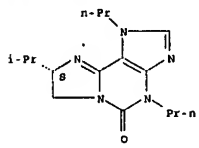
RN 697793-35-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-1,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



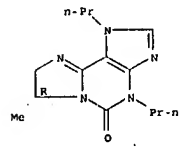
RN 697793-36-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-1,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



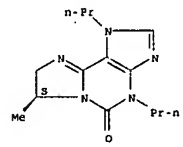
RN 697793-37-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-1,4-dipropyl-, (7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



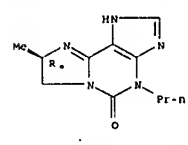
RN 697793-38-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-1,4-dipropyl-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 697793-39-8P 697793-40-1P 697793-41-2P
697793-42-3P 697793-43-4P 697793-44-5P
697793-45-6P 697793-46-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-activity relationship studies of 8-alkyl-4,5,7,8-tetrahydroimidazo[2,1-i]-purin-5-one isomers as cAMP phosphodiesterase 4 isoenzyme inhibitors)
RN 697793-39-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

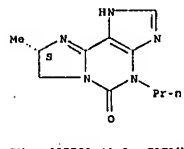
Absolute stereochemistry.



RN 697793-40-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-4-propyl-, (8S)-

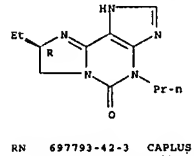
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



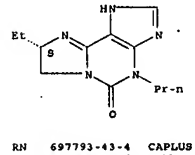
RN 697793-41-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



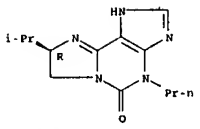
RN 697793-42-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



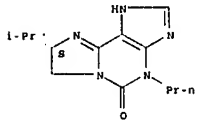
RN 697793-43-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



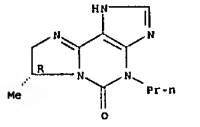
RN 697793-44-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



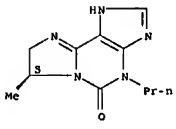
RN 697793-45-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-4-propyl-, (7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697793-46-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-4-propyl-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

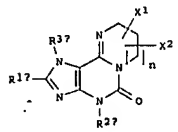


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:101168 CAPLUS
DOCUMENT NUMBER: 140:151990
TITLE: Cell differentiation inductor
INVENTOR(S): Hasegawa, Kazuhide; Matsubara, Masahiro
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011469	A1	20040205	WO 2003-JP9460	20030725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003252697	A1	20040216	AU 2003-252697	20030725
PRIORITY APPLN. INFO.:			JP 2002-218882	A 20020726
			WO 2003-JP9460	W 20030725

OTHER SOURCE(S): MARPAT 140:151990
GI



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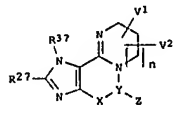

II

AB A cell differentiation inductor which contains as an active ingredient one member selected among a fused purine derivative represented by the formula I, a fused purine derivative represented by the formula II, and pharmacol. acceptable salts of these.

IT 180145-17-9 254426-38-5 254426-39-6
254426-40-9 254426-41-0 254426-44-3
254426-47-6 254426-48-7 254426-49-8
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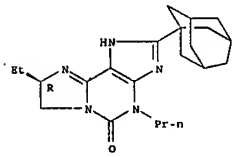
652148-29-3 652148-30-6 652148-31-7
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(fused purine derivative as cell differentiation inductors)

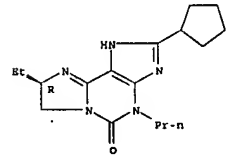
RN 180145-17-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-propyl-2-tricyclo[3.3.1.13,7]dec-1-yl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



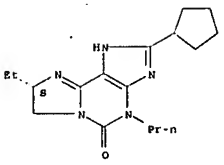
RN 254426-38-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



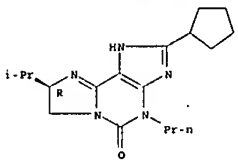
RN 254426-39-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



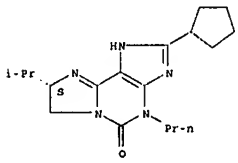
RN 254426-40-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

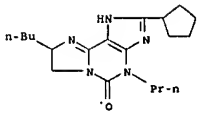


RN 254426-41-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

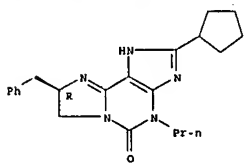


RN 254426-44-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-butyl-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



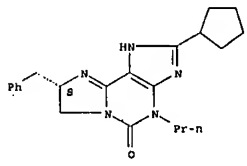
RN 254426-47-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

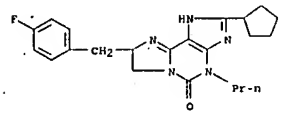


RN 254426-48-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

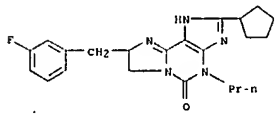
Absolute stereochemistry.



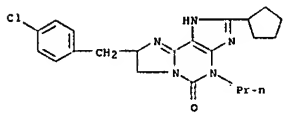
RN 254426-49-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



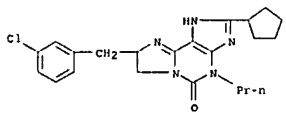
RN 254426-50-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



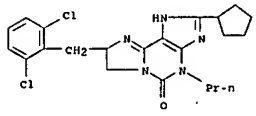
RN 254426-51-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



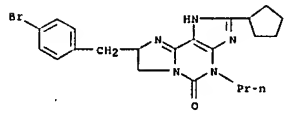
RN 254426-52-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(3-chlorophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



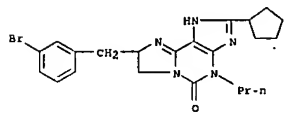
RN 254426-53-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,6-dichlorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



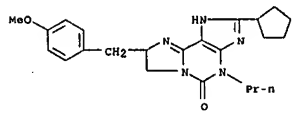
RN 254426-54-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-bromophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



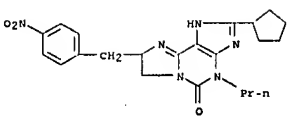
RN 254426-55-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(3-bromophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-56-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-methoxyphenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)

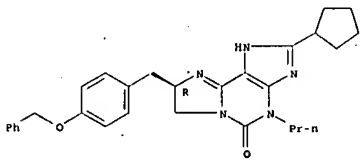


RN 254426-57-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-nitrophenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



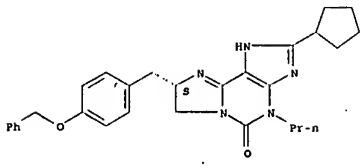
RN 254426-58-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[4-(phenylmethoxy)phenyl]methyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

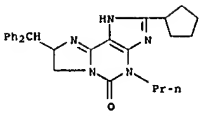


RN 254426-59-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[4-(phenylmethoxy)phenyl]methyl]-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

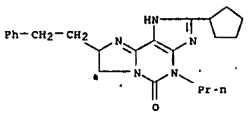
Absolute stereochemistry.



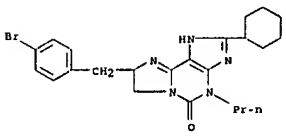
RN 254426-61-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-(diphenylmethyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



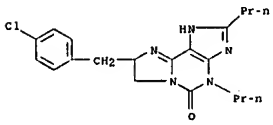
RN 254426-62-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(2-phenylethyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-76-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-bromophenyl)methyl]-2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

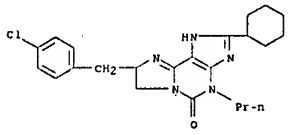


RN 254426-77-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-1,4,7,8-tetrahydro-2,4-dipropyl- (9CI) (CA INDEX NAME)

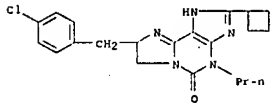


RN 254426-78-3 CAPLUS

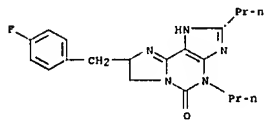
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



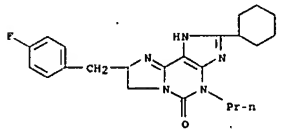
RN 254426-79-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



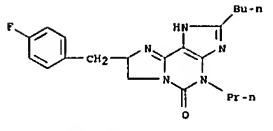
RN 254426-80-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-2,4-dipropyl- (9CI) (CA INDEX NAME)



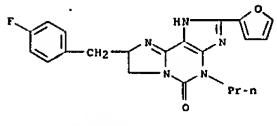
RN 254426-81-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



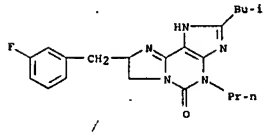
RN 254426-83-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-butyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



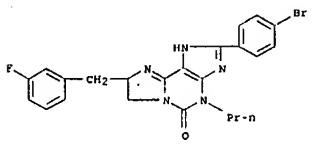
RN 254426-84-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-fluorophenyl)methyl]-2-(2-furanyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



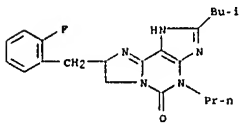
RN 254426-89-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-2-(2-methylpropyl)-4-propyl- (9CI) (CA INDEX NAME)



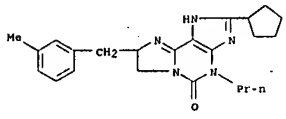
RN 254426-90-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



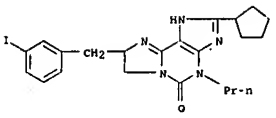
RN 254426-91-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(2-fluorophenyl)methyl]-1,4,7,8-tetrahydro-2-(2-methylpropyl)-4-propyl- (9CI) (CA INDEX NAME)



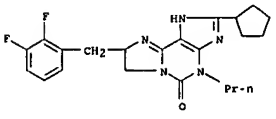
RN 254426-92-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(3-methylphenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



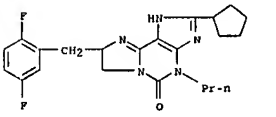
RN 254426-93-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(3-iodophenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



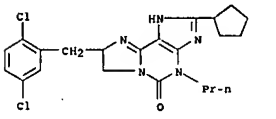
RN 254426-94-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,3-difluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



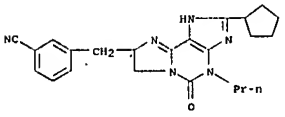
RN 254426-95-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,5-difluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



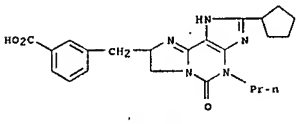
RN 254426-96-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,5-dichlorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



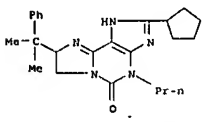
RN 254427-01-5 CAPLUS
CN Benzonitrile, 3-[(2-cyclopentyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)



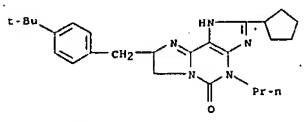
RN 254427-05-9 CAPLUS
CN Benzoic acid, 3-[(2-cyclopentyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)



RN 254427-06-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-methyl-1-phenylethyl)-4-propyl- (9CI) (CA INDEX NAME)

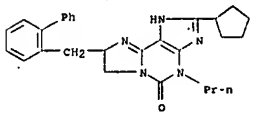


RN 254427-17-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[[4-(1,1-dimethylethyl)phenyl]methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

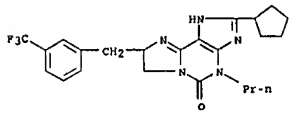


RN 254427-18-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopentyl-

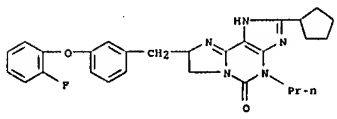
1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



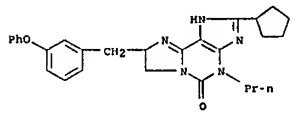
RN 254427-19-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



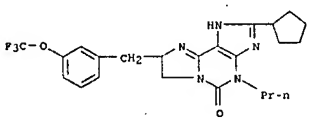
RN 254427-20-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[[3-(2-fluorophenoxy)phenyl]methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



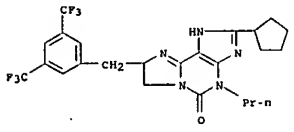
RN 254427-21-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(3-phenoxyphenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



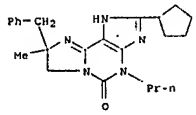
RN 254427-22-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-[[3-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 254427-23-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

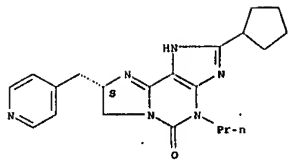


RN 254427-24-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-methyl-8-(phenylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



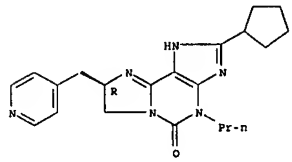
RN 348149-82-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

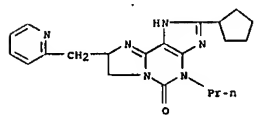


RN 348165-49-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

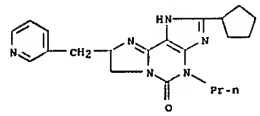
Absolute stereochemistry.



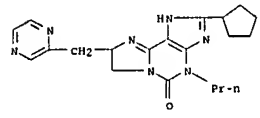
RN 348165-85-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



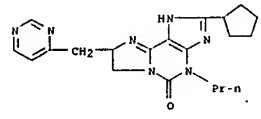
RN 348165-93-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



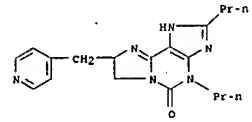
RN 348166-05-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-06-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

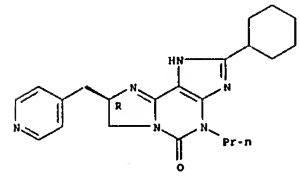


RN 348166-07-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2,4-dipropyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

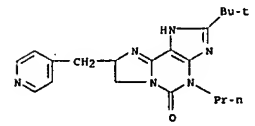


RN 348166-21-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

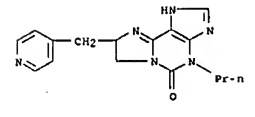
Absolute stereochemistry.



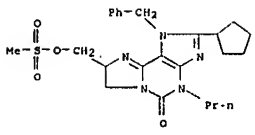
RN 348166-22-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



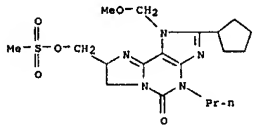
RN 348166-24-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



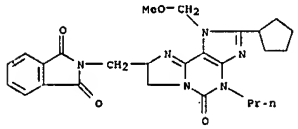
RN 348166-29-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[(methylsulfonyl)oxy]methyl]-1-(phenylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



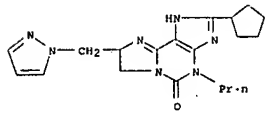
RN 348166-30-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1-(methoxymethyl)-8-[[(methylsulfonyl)oxy]methyl]-4-propyl- (9CI) (CA INDEX NAME)



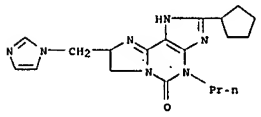
RN 348166-31-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-cyclopentyl-4,5,7,8-tetrahydro-1-(methoxymethyl)-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl]methyl]- (9CI) (CA INDEX NAME)



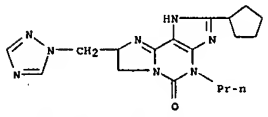
RN 348166-91-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



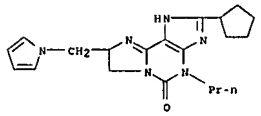
RN 348167-31-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1H-imidazol-1-ylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 348167-32-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

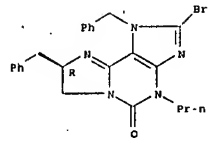


RN 348167-33-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrrol-1-ylmethyl)- (9CI) (CA INDEX NAME)



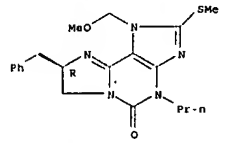
RN 348167-44-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-bromo-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



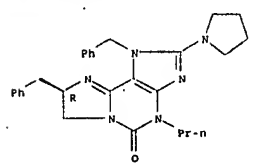
RN 348167-45-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-(methoxymethyl)-2-(methylthio)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



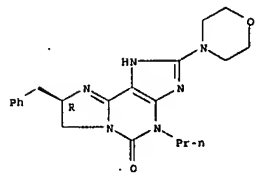
RN 348167-46-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-2-(1-pyrrolidinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



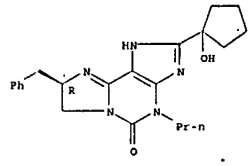
RN 348167-48-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-morpholinyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



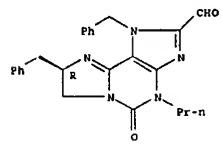
RN 348167-49-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-hydroxycyclopentyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



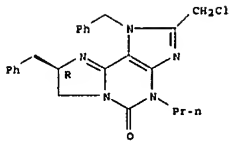
RN 348167-50-0 CAPLUS
CN 1H-Imidazo[2,1-i]purine-2-carboxaldehyde, 4,5,7,8-tetrahydro-5-oxo-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



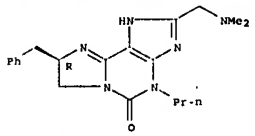
RN 348167-51-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(chloromethyl)-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



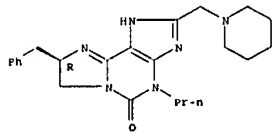
RN 348167-52-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(dimethylamino)methyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



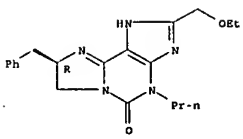
RN 348167-56-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-2-(1-piperidinylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



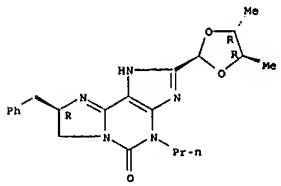
RN 348167-57-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(ethoxymethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



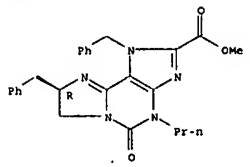
RN 348167-59-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(4R,5R)-4,5-dimethyl-1,3-dioxolan-2-yl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



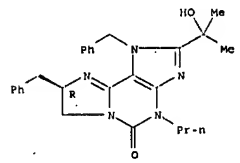
RN 348167-60-2 CAPLUS
CN 1H-Imidazo[2,1-i]purine-2-carboxylic acid, 4,5,7,8-tetrahydro-5-oxo-1,8-bis(phenylmethyl)-4-propyl-, methyl ester, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



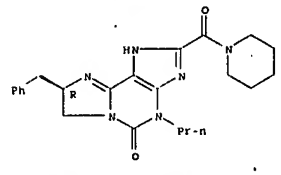
RN 348167-61-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-hydroxy-1-methylethyl)-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



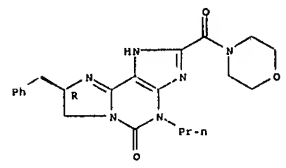
RN 348167-62-4 CAPLUS
CN Piperidine, 1-[[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



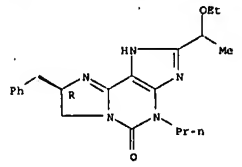
RN 348167-63-5 CAPLUS
CN Morpholine, 4-[[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



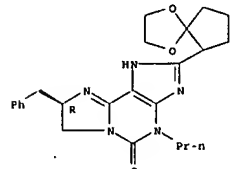
RN 348168-23-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1-ethoxyethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



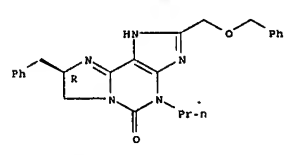
RN 348169-43-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,4-dioxaspiro[4.4]non-6-yl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



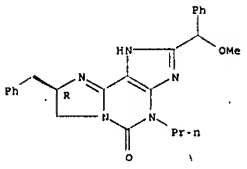
RN 348169-44-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-[(phenylmethoxy)methyl]-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



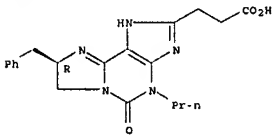
RN 348169-46-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(methoxyphenylmethyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



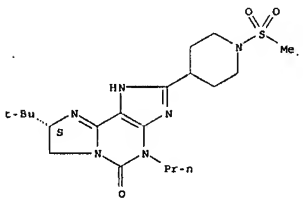
RN 348169-52-8 CAPLUS
CN 1H-Imidazo[2,1-i]purine-2-propanoic acid, 4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



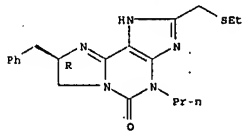
RN 348169-53-9 CAPLUS
CN Piperidine, 4-[(8S)-8-(1,1-dimethylethyl)-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



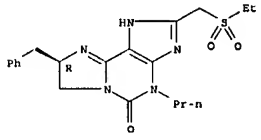
RN 348169-56-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(ethylthio)methyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



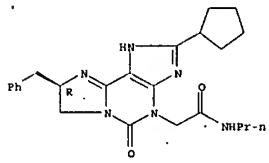
RN 348169-58-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(ethylsulfonyl)methyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



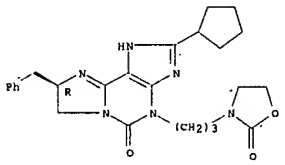
RN 348169-72-2 CAPLUS
CN 1H-Imidazo[2,1-i]purine-4(5H)-acetamide, 2-cyclopentyl-7,8-dihydro-5-oxo-8-(phenylmethyl)-N-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



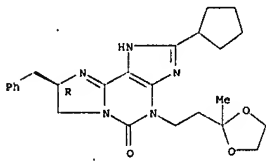
RN 348169-73-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-[3-(2-oxo-3-oxazolidinyl)propyl]-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



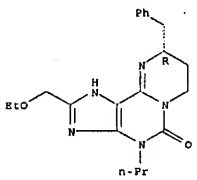
RN 348169-79-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-[2-(2-methyl-1,3-dioxolan-2-yl)ethyl]-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

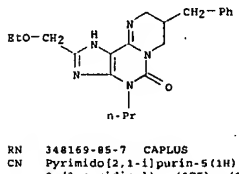


RN 348169-82-4 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(ethoxymethyl)-4,7,8,9-tetrahydro-9-(phenylmethyl)-4-propyl-, (9R)- (9CI) (CA INDEX NAME)

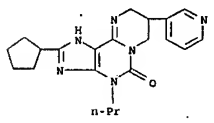
Absolute stereochemistry.



RN 348169-83-5 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(ethoxymethyl)-4,7,8,9-tetrahydro-8-(phenylmethyl)-4-propyl- (9CI) (CA INDEX NAME)

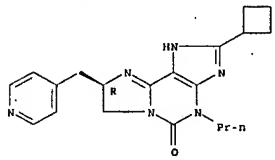


RN 348169-85-7 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-cyclopentyl-4,7,8,9-tetrahydro-4-propyl-8-(3-pyridinyl)- (9CI) (CA INDEX NAME)



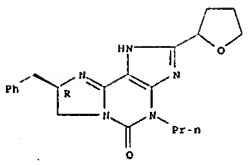
RN 348362-73-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



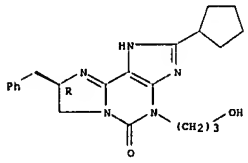
RN 349554-63-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2-furanyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



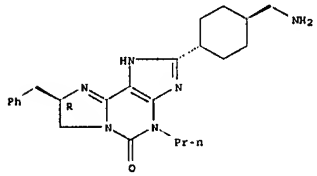
RN 349554-73-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



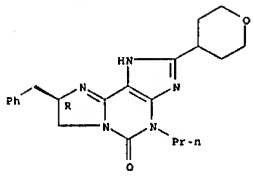
RN 349585-61-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[trans-4-(aminomethyl)cyclohexyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



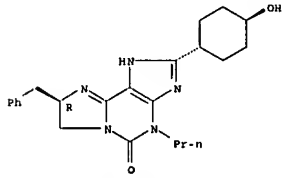
RN 627876-24-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2H-pyran-4-yl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



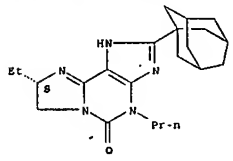
RN 627876-25-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(trans-4-hydroxycyclohexyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



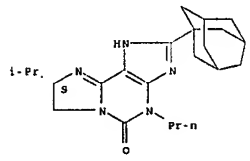
RN 652146-46-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-propyl-2-tricyclo[3.3.1.13,7]dec-1-yl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



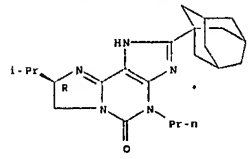
RN 652146-47-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-2-tricyclo[3.3.1.13,7]dec-1-yl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

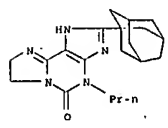


RN 652146-48-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-2-tricyclo[3.3.1.13,7]dec-1-yl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

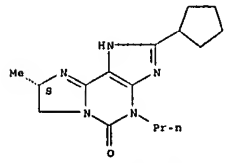


RN 652146-49-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl-2-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)



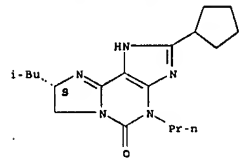
RN 652146-50-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-methyl-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



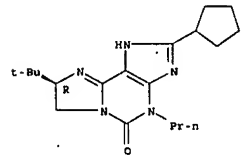
RN 652146-51-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(2-methylpropyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-52-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

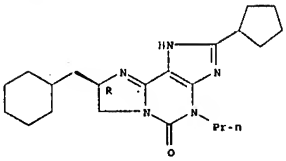
Absolute stereochemistry.



RN 652146-53-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(cyclohexylmethyl)-2-cyclopentyl-1,4,7,8-

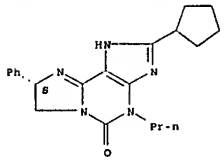
tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



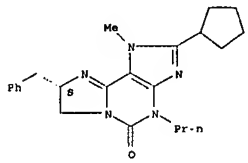
RN 652146-54-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-phenyl-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-55-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1-methyl-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

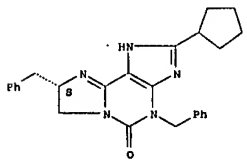
Absolute stereochemistry.



RN 652146-56-0 CAPLUS

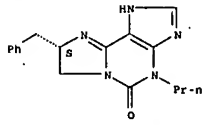
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4,8-bis(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



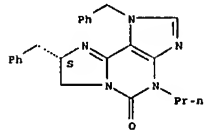
RN 652146-58-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



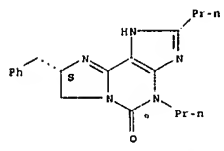
RN 652146-60-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



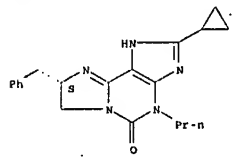
RN 652146-61-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-2,4-dipropyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



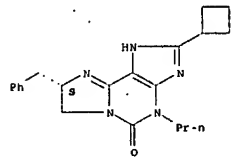
RN 652146-63-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopropyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



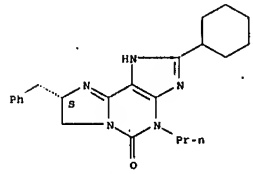
RN 652146-65-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



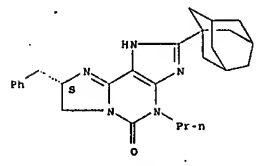
RN 652146-67-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



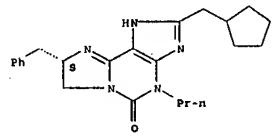
RN 652146-68-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-tricyclo[3.3.1.13,7]dec-1-yl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



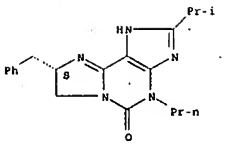
RN 652146-70-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(cyclopentylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



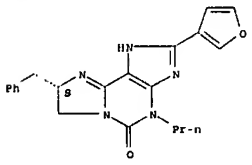
RN 652146-72-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-methylethyl)-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



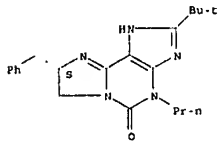
RN 652146-74-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(3-furanyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



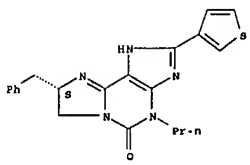
RN 652146-76-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



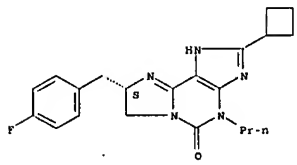
RN 652146-78-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(3-thienyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



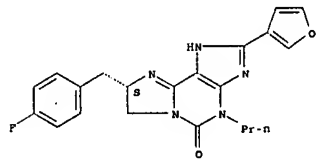
RN 652146-84-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



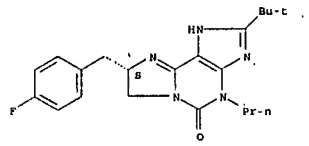
RN 652146-88-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-fluorophenyl)methyl]-2-(3-furanyl)-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

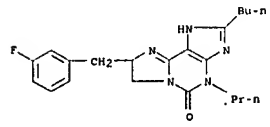


RN 652146-89-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

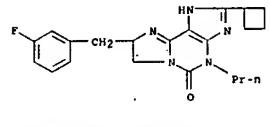
Absolute stereochemistry.



RN 652146-91-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-butyl-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

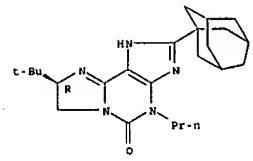


RN 652146-93-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



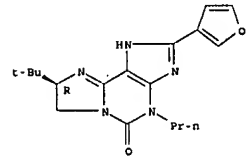
RN 652147-03-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-propyl-2-tricyclo[3.3.1.13,7]dec-1-yl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



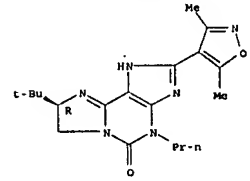
RN 652147-05-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-2-(3-furanyl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



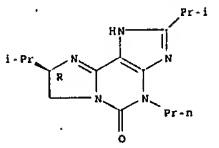
RN 652147-07-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-2-(3,5-dimethyl-4-isoxazolyl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



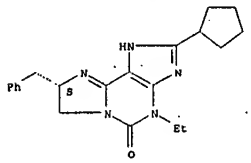
RN 652147-09-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2,8-bis(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



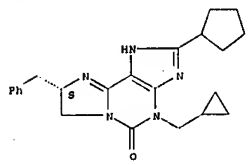
RN 652147-12-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-ethyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



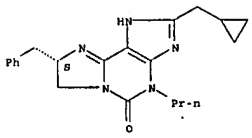
RN 652147-14-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



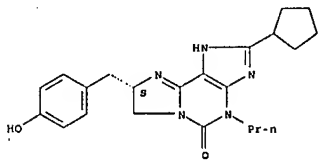
RN 652147-16-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



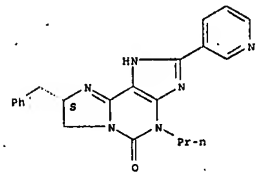
RN 652147-20-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-hydroxyphenyl)methyl]-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



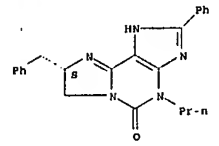
RN 652147-22-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(3-pyridinyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



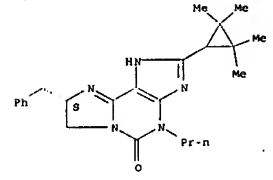
RN 652147-24-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-phenyl-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



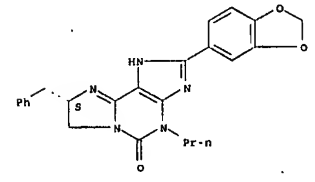
RN 652147-26-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(2,2,3,3-tetramethylcyclopropyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



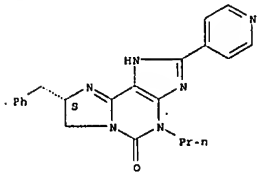
RN 652147-28-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,3-benzodioxol-5-yl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



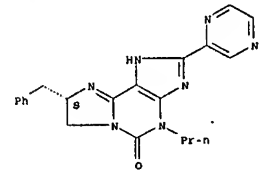
RN 652147-30-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(4-pyridinyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



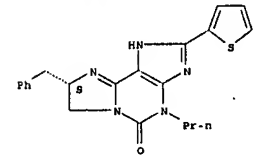
RN 652147-32-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-pyrazinyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



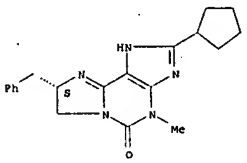
RN 652147-34-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(2-thienyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



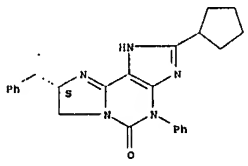
RN 652147-36-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-methyl-8-(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

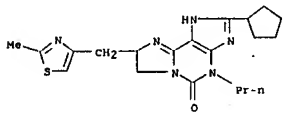


RN 652147-38-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-phenyl-8-(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

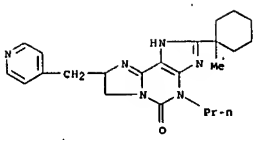
Absolute stereochemistry.



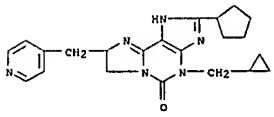
RN 652147-51-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(2-methyl-4-thiazolyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



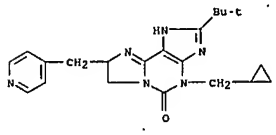
RN 652147-58-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-methylcyclohexyl)-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



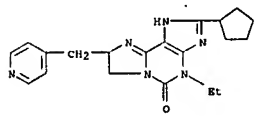
RN 652147-60-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



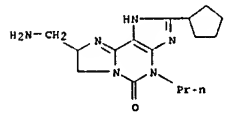
RN 652147-62-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 4-(cyclopropylmethyl)-2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



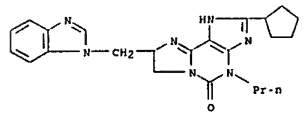
RN 652147-63-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-ethyl-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



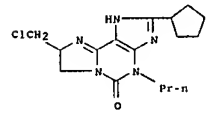
RN 652147-65-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(aminomethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



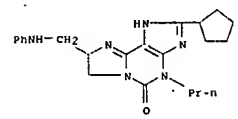
RN 652147-71-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1H-benzimidazol-1-ylmethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



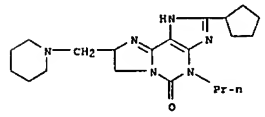
RN 652147-73-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(chloromethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



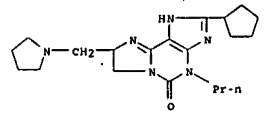
RN 652147-75-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(phenylamino)methyl]-4-propyl- (9CI) (CA INDEX NAME)



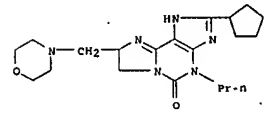
RN 652147-77-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-piperidinylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



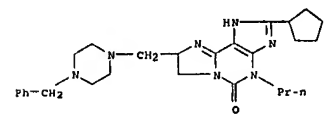
RN 652147-79-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



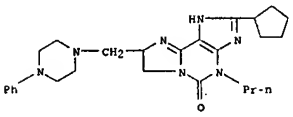
RN 652147-81-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(4-morpholinylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



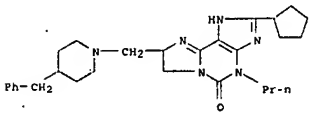
RN 652147-82-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-(phenylmethyl)-1-piperazinyl]methyl]-4-propyl- (9CI) (CA INDEX NAME)



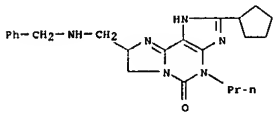
RN 652147-84-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-phenyl-1-piperazinyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



RN 652147-86-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[4-(phenylmethyl)-1-piperidinyl]methyl]-4-propyl- (9CI) (CA INDEX NAME)

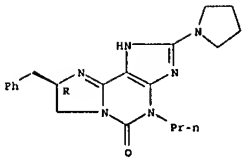


RN 652147-88-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[(phenylmethyl)amino]methyl]-4-propyl- (9CI) (CA INDEX NAME)



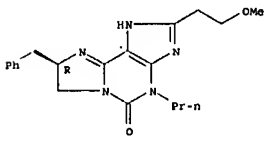
RN 652147-93-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(1-pyrrolidinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



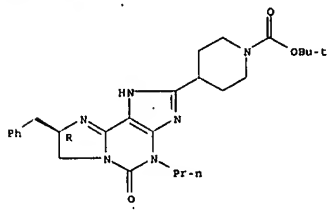
RN 652148-09-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(2-methoxyethyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652148-12-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

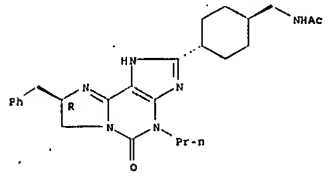
Absolute stereochemistry.



RN 652148-15-7 CAPLUS
CN Acetamide, N-[[trans-4-[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]cyclohexyl]methyl]- (9CI) (CA INDEX

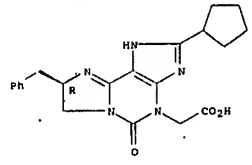
NAME)

Absolute stereochemistry.



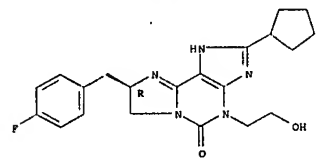
RN 652148-25-9 CAPLUS
CN 1H-Imidazo[2,1-i]purine-4(5H)-acetic acid, 2-cyclopentyl-7,8-dihydro-5-oxo-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



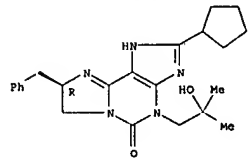
RN 652148-27-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-(2-hydroxyethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



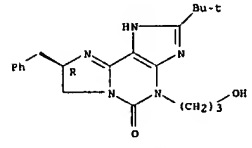
RN 652148-28-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(2-hydroxy-2-methylpropyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



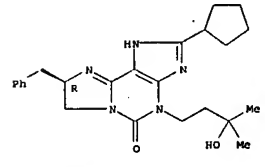
RN 652148-29-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652148-30-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxy-3-methylbutyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

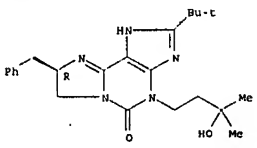
Absolute stereochemistry.



RN 652148-31-7 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-(3-hydroxy-3-methylbutyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

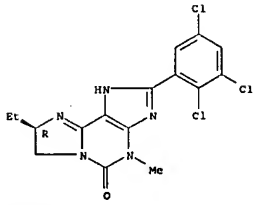
L4 ANSWER 12 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:65351 CAPLUS
DOCUMENT NUMBER: 140:264351
TITLE: Antinociceptive effects of novel A2B adenosine receptor antagonists
AUTHOR(S): Abo-Salem, Osama M.; Hayallah, Alaa M.; Bilkei-Gorzo, Andras; Filipek, Barbara; Zimmer, Andreas; Mueller, Christa E.
CORPORATE SOURCE: Laboratory of Molecular Neurobiology, Department of Psychiatry, University of Bonn, Bonn, Germany
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2004), 308(1), 358-366
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Caffeine, an adenosine A1, A2A, and A2B receptor antagonist, is frequently used as an adjuvant analgesic in combination with nonsteroidal anti-inflammatory drugs or opioids. In this study, we have examined the effects of novel specific adenosine receptor antagonists in an acute animal model of nociception. Several A2B-selective compds. showed antinociceptive effects in the hot-plate test. In contrast, A1- and A2A-selective compds. did not alter pain thresholds, and an A3 adenosine receptor antagonist produced thermal hyperalgesia. Evaluation of psychostimulant effects of these compds. in the open field showed only small effects of some antagonists at high doses. Coadministration of low, subeffective doses of A2B-selective antagonists with a low dose of morphine enhanced the efficacy of morphine. Our results indicate that analgesic effects of caffeine are mediated, at least in part, by A2B adenosine receptors.

IT 439902-54-2, PSB 10
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PSB 10; antinociceptive effects of novel A2B adenosine receptor antagonists)

RN 439902-54-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(2,3,5-trichlorophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:991776 CAPLUS
DOCUMENT NUMBER: 140:35889
TITLE: Methods of searching for substance having antidiabetic effect
INVENTOR(S): Nakanishi, Satoshi; Yano, Hiroshi; Mori, Kiyotoshi; Matsuda, Yuzuru
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104804	A1	20031218	WO 2003-JP7351	20030610
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003244107	A1	20031222	AU 2003-244107	20030610
PRIORITY APPLN. INFO.:			JP 2002-168091	A 20020610
			JP 2002-168092	A 20020610
			WO 2003-JP7351	W 20030610

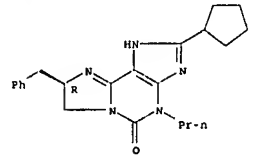
AB It is intended to provide a method of searching for a substance having an antidiabetic effect which comprises [1] the step of bringing a substance inhibiting the activity of the potassium-ATP channel of pancreatic β cells into contact with pancreatic β cells in the presence of a test

substance and measuring the cell response of the cells upon the contact, [2] the step of bringing the above substance inhibiting the activity of the potassium-ATP channel of pancreatic β cells into contact with the above cells in the absence of a test substance and measuring the cell response of the cells upon the contact, and [3] the step of comparing the obtained data and thus selecting a test substance altering the cell response of the above cells; and a method of searching for a substance having an antidiabetic effect which comprises [1] the step of bringing a test substance into contact with pancreatic β cells having been desensitized to a compound having a sulfonylurea structure at a high glucose concentration and measuring the cell response of the cells upon the contact, [2] the step of measuring the cell response of the above cells at a high glucose concentration, and [3] the step of comparing the obtained data and thus selecting a substance altering the cell response of the above cells from among the test substances.

IT 254426-47-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods of searching for substance having antidiabetic effect)

RN 254426-47-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:931525 CAPLUS
DOCUMENT NUMBER: 140:14538
TITLE: Method for searching condensed purine derivative having antidiabetic activity
INVENTOR(S): Ishiguro, Hiroki; Oshima, Yuko; Sugimoto, Seiji; Matsubara, Masahiro; Ueno, Kimihisa; Mori, Kiyotoshi; Nakanishi, Satoshi; Yano, Hiroshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097862	A1	20031127	WO 2003-JP6137	20030516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003231538	A1	20031202	AU 2003-231538	20030516
EP 1514942	A1	20050316	EP 2003-725810	20030516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1668759	A	20050914	CN 2003-816646	20030516
PRIORITY APPLN. INFO.:			JP 2002-143599	A 20020517
			WO 2003-JP6137	W 20030516

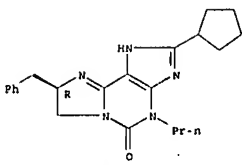
OTHER SOURCE(S): MARPAT 140:14538

AB A method is provided for searching a substance capable of inhibiting the binding between a condensed purine derivative and pancreatic β cell or its treatment, a substance capable of inhibiting the binding between a condensed purine derivative and a protein capable of binding with the condensed purine derivative, or a substance capable of inhibiting the expression and enzymic activity of a protein capable of binding with a condensed purine derivative, wherein the use is made of a condensed purine derivative and pancreatic β cell or its treatment product, or a protein capable of binding with the condensed purine derivative

IT 254426-47-6 254426-48-7 254426-62-5
254426-66-9 254426-67-0 254426-68-1
254426-69-2 254426-71-6 254426-72-7
254426-74-9 254426-92-1 254427-07-1
254427-15-1 254427-16-2 348149-82-6
348165-49-1 348165-85-5 348165-93-6
348166-06-3 348167-32-8 348167-33-9
349554-63-8 349554-73-0 349585-61-1
627876-22-6 627876-23-7 627876-24-8
627876-25-9 627876-26-0 627876-27-1
627876-28-2 627876-29-3 627876-30-6
627876-31-7 627876-32-8 627876-33-9
627876-34-0
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for searching condensed purine derivative having antidiabetic activity)

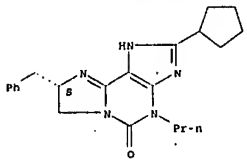
RN 254426-47-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

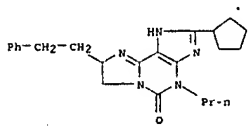


RN 254426-48-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

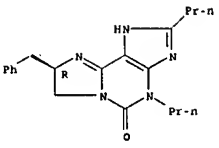


RN 254426-62-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(2-phenylethyl)-4-propyl- (9CI) (CA INDEX NAME)



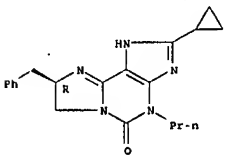
RN 254426-66-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-2,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



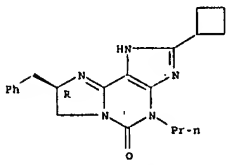
RN 254426-67-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopropyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



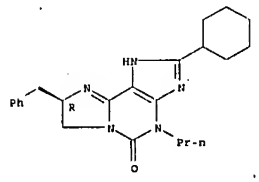
RN 254426-68-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



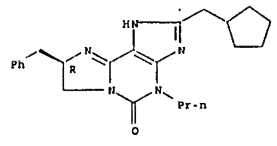
RN 254426-69-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



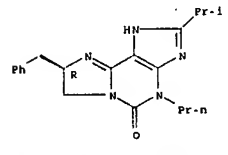
RN 254426-71-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(cyclopentylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



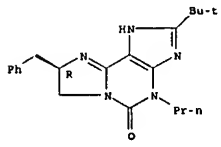
RN 254426-72-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-methylethyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

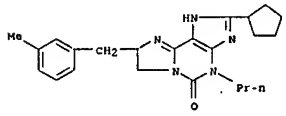


RN 254426-74-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

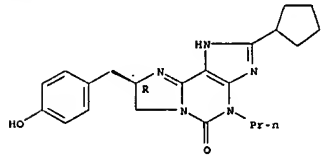


RN 254426-92-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(3-methylphenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



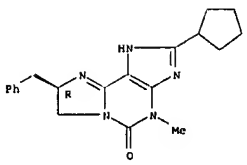
RN 254427-07-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-hydroxyphenyl)methyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



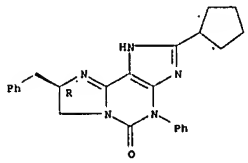
RN 254427-15-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-methyl-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



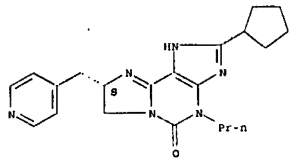
RN 254427-16-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-phenyl-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



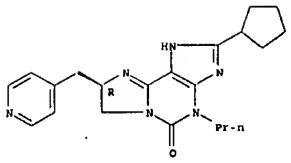
RN 348149-82-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

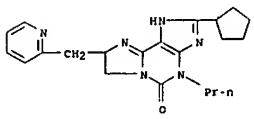


RN 348165-49-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

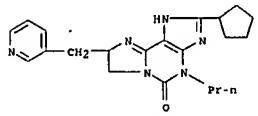
Absolute stereochemistry.



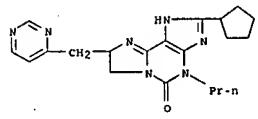
RN 348165-85-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



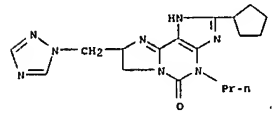
RN 348165-93-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



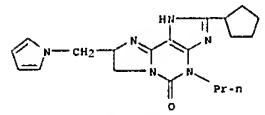
RN 348166-06-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



RN 348167-32-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

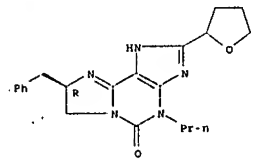


RN 348167-33-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrrol-1-ylmethyl)- (9CI) (CA INDEX NAME)



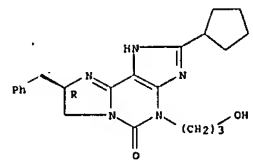
RN 349554-63-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2-furanyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



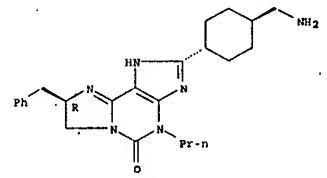
RN 349554-73-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



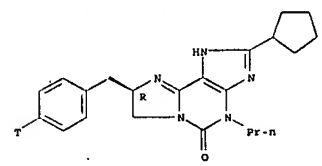
RN 349585-61-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[trans-4-(aminomethyl)cyclohexyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

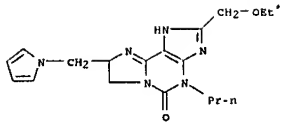


RN 627876-22-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenyl-4-t-methyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

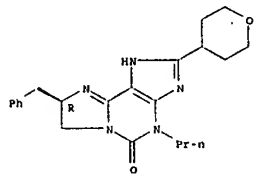


RN 627876-23-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(ethoxymethyl)-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrrol-1-ylmethyl)- (9CI) (CA INDEX NAME)



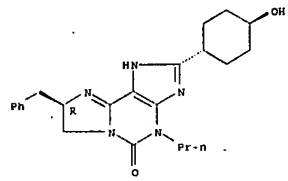
RN 627876-24-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2H-pyran-4-yl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



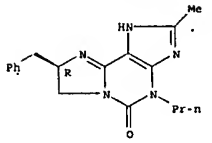
RN 627876-25-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(trans-4-hydroxycyclohexyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



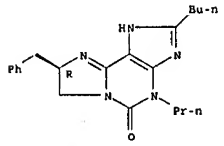
RN 627876-26-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-methyl-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

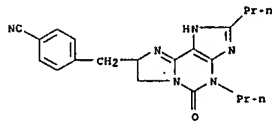


RN 627876-27-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-butyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

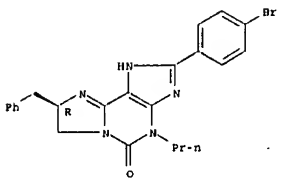


RN 627876-28-2 CAPLUS
CN Benzonitrile, 4-[(4,5,7,8-tetrahydro-5-oxo-2,4-dipropyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)



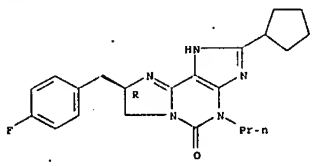
RN 627876-29-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

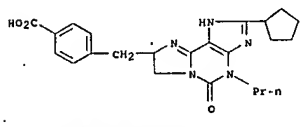


RN 627876-30-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

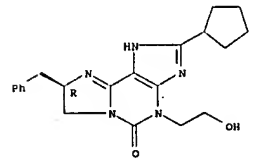


RN 627876-31-7 CAPLUS
CN Benzoic acid, 4-[(2-cyclopentyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)



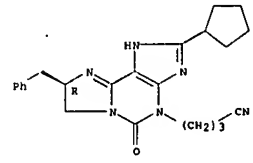
RN 627876-32-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(2-hydroxyethyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



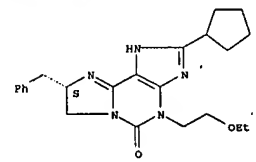
RN 627876-33-9 CAPLUS
CN 1H-Imidazo[2,1-i]purine-4(5H)-butanenitrile, 2-cyclopentyl-7,8-dihydro-5-oxo-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 627876-34-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(2-ethoxyethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:931184 CAPLUS

DOCUMENT NUMBER: 140:8791
TITLE: Therapeutic agent for diabetes
INVENTOR(S): Nakanishi, Satoshi; Yano, Hiroshi; Mori, Kiyotoshi; Ogino, Fumiko; Kusaka, Hideaki; Ueno, Kimihisa; Nomoto, Yuji; Matsuda, Yuzuru
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097064	A1	20031127	WO 2003-JP6136	20030516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003234929	A1	20031202	AU 2003-234929	20030516
PRIORITY APPLN. INFO.:			JP 2002-143598 A	20020517
			WO 2003-JP6136 W	20030516

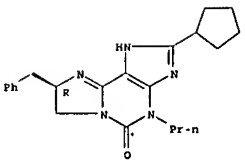
OTHER SOURCE(S): MARPAT 140:8791

AB A therapeutic agent for diabetes, is characterized by containing at least one member selected among sulfonylurea antidiabetic agents and sulfonylurea-free K+ ATP channel blocker antidiabetic agents and at least one member selected among a fused purine derivative, and pharmacol. acceptable salts of these. For example, a tablet contained glibenclamide 2, (R)-2-cyclopentyl-7,8-dihydro-8-(4-picolyl)-4-propyl-1H-imidazo[2,1-i]-purin-5(4H)-one d-tartaric acid salt 18, lactose 143.4, starch 30, hydroxypropyl cellulose 6, and Mg stearate 0.6 mg.

IT 254426-47-6 348165-49-1 348362-73-2
349554-62-7 349554-69-4 627512-37-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidiabetic combinations for treatment and prevention of diabetes complications and side effects)

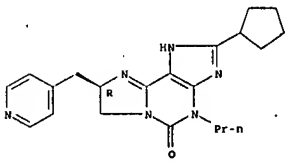
RN 254426-47-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



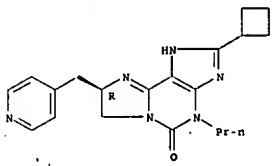
RN 348165-49-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348362-73-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

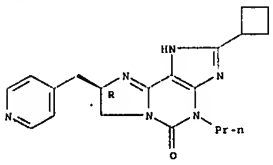


RN 349554-62-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348362-73-2
CMF C20 H24 N6 O

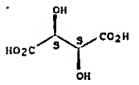
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.

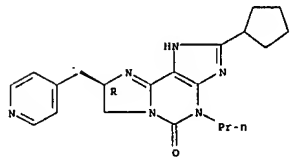


RN 349554-69-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348165-49-1
CMF C21 H26 N6 O

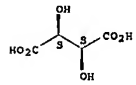
Absolute stereochemistry.



CM 2

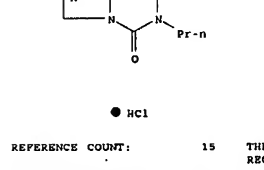
CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



RN 627512-37-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

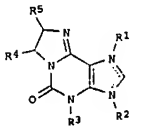
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

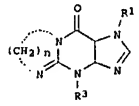
L4 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:706960 CAPLUS

DOCUMENT NUMBER: 139:230796
TITLE: Synthesis of new purine derivatives
INVENTOR(S): Miyamoto, Kenichi; Sawanishi, Hiroyuki; Suzuki, Koichi; Yamamoto, Manabu; Shimura, Susumu
PATENT ASSIGNEE(S): Lotte Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003252875	A	20030910	JP 2002-58098	20020304
KR 2003072251	A	20030913	KR 2003-13401	20030304
PRIORITY APPLN. INFO.:			JP 2002-58098 A	20020304

OTHER SOURCE(S): MARPAT 139:230796
GI

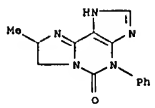

I


II

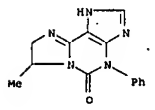
AB The patent relates to the preparation of purine derivs. and salts for pharmaceutical uses such as PDE IV isoenzyme inhibitor. The purine derivs. have the following formula (I) wherein R1, R2, R3 are hydrogen, or hydroxy, low alkyloxy, acyl substituted C1-C6 alkyl, or phenyl; and R4, and R5 are independently hydroxy, low alkyloxy, acyl substituted C1-C6 alkyl, or Ph group; and pharmaceutically compatible salts. The purine derivs. and pharmaceutically compatible salts may have the following formula (II) wherein R1, R2 are hydrogen, or hydroxy, low alkyloxy, acyl substituted C1-C6 alkyl, or phenyl; and n = 2 or 3. Thus, 8-methyl-4-propyl-4,5,7,8-tetrahydro-1H-imidazole-[2,1,i]purine-5-one prepared from 6-[(2-hydroxy-1-methyl)ethyl]amino-3-propylpurine-2-one in presence of triethylamine, and methanesulfonyl chloride was evaluated for PDE I test and gave greater activity than the control using Denoufylline.

IT 594853-12-0P 594853-14-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of new purine derivs.)

RN 594853-12-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-4-phenyl- (9CI) (CA INDEX NAME)

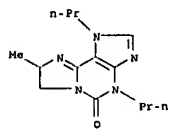


RN 594853-14-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-4-phenyl- (9CI) (CA INDEX NAME)

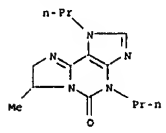


IT 492439-17-5P 492439-21-1P 492439-95-9P
492439-96-0P 594853-03-9P 594853-05-1P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of new purine derivs.)

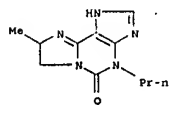
RN 492439-17-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-1,4-dipropyl- (9CI) (CA INDEX NAME)



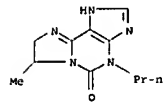
RN 492439-21-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-1,4-dipropyl- (9CI) (CA INDEX NAME)



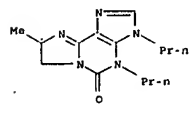
RN 492439-95-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-4-propyl- (9CI) (CA INDEX NAME)



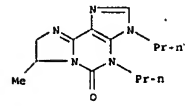
RN 492439-96-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-4-propyl- (9CI) (CA INDEX NAME)



RN 594853-03-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-8-methyl-3,4-dipropyl- (9CI) (CA INDEX NAME)



RN 594853-05-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-7-methyl-3,4-dipropyl- (9CI) (CA INDEX NAME)



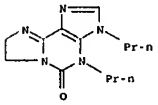
L4 ANSWER 17 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:670403 CAPLUS
DOCUMENT NUMBER: 139:375476
TITLE: Prostaglandin E2-mediated anabolic effect of a novel inhibitor of phosphodiesterase 4, XT-611, in the in vitro bone marrow culture
AUTHOR(S): Miyamoto, Ken-Ichi; Suzuki, Hirokazu; Yamamoto, Shinya; Saitoh, Yukie; Ochiai, Eiji; Moritani, Shuzo; Yokogawa, Koichi; Waki, Yoshihiro; Kasugai, Shohei; Sawanishi, Hiroyuki; Yamagami, Hideomi
CORPORATE SOURCE: Department of Hospital Pharmacy, School of Medicine, Kanazawa University, Kanazawa, Japan
SOURCE: Journal of Bone and Mineral Research (2003), 18(8), 1471-1477
CODEN: JBMREJ; ISSN: 0884-0431
PUBLISHER: American Society for Bone and Mineral Research
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The mechanism of osteoblast formation by a novel PDE4 inhibitor, XT-611, was studied in the in vitro bone marrow culture system. The compound potentiated the osteoblast differentiation through accumulation of cAMP after autocrine stimulation of EP4 receptor by PGE2 in pro-osteoblastic cells. Introduction: We previously reported that inhibitors of phosphodiesterase (PDE)4 isoenzyme increase osteoblast formation in an in vitro bone marrow culture system and inhibit bone loss in animal osteoporosis models. Here we investigated the mechanism of the effect of a novel PDE4 inhibitor, 3,4-dipropyl-4,5,7,8-tetrahydro-1H-imidazo[1,2i]-purin-5-one (XT-611), on osteoblast formation in the in vitro bone marrow culture system. Materials and Methods: Rodent bone marrow cells were cultured in the presence of 0.2 mM ascorbic acid phosphate ester, 1 mM β-glycerophosphate, and 10 nM dexamethasone for 10 days. Drug treatments were done for 24 h on day 3 of culture. Results: PDE4 inhibitors, including XT-611 but not PDE3 and PDE5 inhibitors, increased mineralized nodule formation in rat and mouse bone marrow cell cultures. During culture of the bone marrow cells, prostaglandin E2 (PGE2) production increased with a peak on day 4, but the increase was completely inhibited by indomethacin, an unselective cyclo-oxygenase (COX) inhibitor. Spontaneous and XT-611-induced mineralized-nodule formation was also inhibited by indomethacin and COX-2 inhibitors, in a similar potential. Alkaline phosphatase-pos. nodule formation in the absence or presence of XT-611 was inhibited by an antagonist of EP4 receptor, AH23848B, and synergistically potentiated by 11-deoxy-PGE1, but it was not influenced by other EP antagonists and agonists examined. The expression of PDE4 and EN mRNAs was observed in bone marrow cells. The effect of XT-611 was also confirmed to involve an increase of cAMP and the cAMP-dependent protein kinase pathway. Conclusion: These results suggest that PGE2 stimulates

differentiation of osteoblast progenitor cells through the EP4 receptor in an autocrine manner, and the PDE4 inhibitor potentiates the differentiation by inhibiting hydrolysis of cAMP in the cells.

IT 195869-73-9, XT-611
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(PGE2-mediated anabolic effect of a novel inhibitor of phosphodiesterase 4, XT-611, in bone marrow culture)

RN 195869-73-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

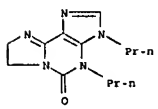
L4 ANSWER 18 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:669208 CAPLUS
DOCUMENT NUMBER: 140:87630
TITLE: Inhibition of osteoclastogenesis by a phosphodiesterase 4 inhibitor XT-611 through synergistic action with endogenous prostaglandin E2
AUTHOR(S): Yamagami, Hideomi; Nishioka, Tatsuo; Ochiai, Eiji; Fukushima, Kazuyo; Nomura, Masaaki; Kasugai, Shohei; Moritani, Shuzo; Yokogawa, Koichi; Miyamoto, Ken-ichi
CORPORATE SOURCE: School of Medicine, Department of Hospital Pharmacy, Kanazawa University, Kanazawa, 920-8641, Japan
SOURCE: Biochemical Pharmacology (2003), 66(5), 801-807
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We examined the effect of a phosphodiesterase 4 (PDE4) inhibitor, 3,4-dipropyl-4,5,7,8-tetrahydro-3H-imidazo[1,2-i]-purin-5-one (XT-611) on osteoclast formation in three different mouse bone-marrow cell (BMC) culture systems. We confirmed that selective inhibitors of PDE4, including XT-611, among several PDE inhibitors decreased osteoclast formation in the BMC culture system. XT-611 also inhibited osteoclast formation in co-culture of mouse bone-marrow stromal cell line ST2 and adherent cell-depleted (ACD)-BMCs. However, it did not inhibit osteoclastogenesis in culture of ACD-BMCs alone in the presence of macrophage-colony stimulating factor (M-CSF) and soluble receptor activator of NF-κB ligand (sRANKL). XT-611 significantly increased prostaglandin E2 (PGE2) production from ST2 cells and, in combination with PGE2, synergistically increased cAMP concentration in osteoclast progenitors. In the ST2 co-culture system, XT-611 did not influence the expression of RANKL, osteoprotegerin and RANK mRNAs. By combined treatment with XT-611 and PGE2 of ACD-BMCs, osteoclast multinucleation was clearly inhibited

with decrease in the expression of calcitonin receptor mRNA, while the expression of RANK and c-fms (an M-CSF receptor) mRNAs was unchanged. These results indicate that the PDE4 inhibitor inhibits osteoclastogenesis by acting on osteoclast progenitors synergistically with PGE2 secreted from stromal cells, but not by influencing the cell-to-cell interaction between stromal cells and osteoclast progenitors.

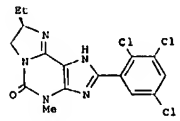
IT 195869-73-9, XT-611
RL: BSU (Biological study, unclassified); DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)
(inhibition of osteoclastogenesis by PDE4 inhibitor XT-611 synergized with endogenous PGE2)

RN 195869-73-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:416529 CAPLUS
DOCUMENT NUMBER: 139:230506
TITLE: Improved, efficient synthesis for multigram-scale production of PSB-10, a potent antagonist at human A3 adenosine receptors
AUTHOR(S): Burbiel, Joachim; Thorand, Mark; Muller, Christa E.
CORPORATE SOURCE: Pharmazeutisches Institut, Rheinische Friedrich-Wilhelms-Universitat Bonn, Bonn, D-53115, Germany
SOURCE: Heterocycles (2003), 60(6), 1425-1432
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:230506
GI



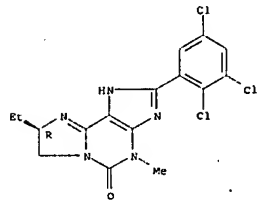
I

AB The reported synthesis of PSB-10 [8-ethyl-4-methyl-2-(2,3,5-trichlorophenyl)-(8R)-4,5,7,8-tetrahydro-1H-imidazo[2,1-i]purin-5-one (I)], a potent A3-selective adenosine receptor antagonist, gives only moderate yields and is not suitable for the production of I on a multi-gram scale. Attempts to develop alternative routes and an improved procedure suitable for preparing large quantities of I, required for pharmacol. studies, are described.

IT 439902-54-2P
RL: PNU (Preparation, unclassified); PREP (Preparation)
(PSB 10; multigram-scale production of PSB-10 useful as a potent antagonist at human A3 adenosine receptors)

RN 439902-54-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(2,3,5-trichlorophenyl)-, (8R)- (9CI) (CA INDEX NAME)

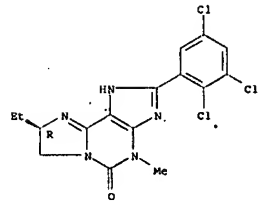
Absolute stereochemistry.



IT 591771-91-4P, PSB 10 hydrochloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(multigram-scale production of PSB-10 useful as a potent antagonist at human A3 adenosine receptors)

RN 591771-91-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(2,3,5-trichlorophenyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:329690 CAPLUS
DOCUMENT NUMBER: 139:128160
TITLE: Identification of essential residues involved in the allosteric modulation of the human A3 adenosine receptor
AUTHOR(S): Gao, Zhan-Guo; Kim, Soo-Kyung; Gross, Ariel S.; Chen, Aishe; Blaustein, Joshua B.; Jacobson, Kenneth A.
CORPORATE SOURCE: Molecular Recognition Section, Laboratory of Bioorganic Chemistry, National Institute of Diabetes and Digestive and Kidney Diseases, Department of Health and Human Services, National Institutes of Health, Bethesda, MD, USA
SOURCE: Molecular Pharmacology (2003), 63(5), 1021-1031
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

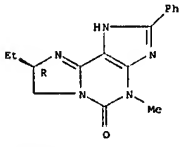
AB The authors examined the effects on allosteric modulation and ligand binding of the mutation of amino acid residues of the human A3 adenosine receptor (A3AR) that are hypothesized to be near one of three loci: the putative sodium binding site, the putative ligand binding site, and the DRY motif in transmembrane helical domain 3. The effects of three heterocyclic allosteric modulators [the imidazoquinoline 2-cyclopentyl-4-phenylamino-1H-imidazo[4,5-c]quinoline (DU124183), the pyridinylisoquinoline 4-methoxy-N-[7-methyl-3-(2-pyridinyl)-1-isoquinolinyl]benzamide (VUF5455), and the amiloride analog 5-(N,N-hexamethylene)-amiloride] on the dissociation of the agonist radioligand, N6-(4-amino-3-[125I]iodobenzyl)-5'-N-methylcarboxamidoadenosine, were compared at wild-type (WT) and mutant A3ARs. The F182A5.43 and N274A7.45 mutations eliminated the allosteric effects of all three modulators but had little effect on agonist binding. The N30A1.50 and D58N2.50 mutations abolished the allosteric effects of DU124183 and VUF5455, but not HMA, whereas the D107N3.49 mutation abolished the effects of DU124183, but not HMA or VUF5455. The T94A3.36,

H95A3.37, K152AEL2, W243A6.48, L244A6.49, and S247A6.52 mutations did not influence allosteric effects of the modulators. Sodium ions (100 mM), which modulate agonist binding at a variety of receptors, caused an .apprx.80% inhibition of agonist binding in WT A3ARs but did not show any effect on D50N2.50, D107N3.49, and F182A5.43 mutant receptors. In contrast, NaCl induced a modest increase of agonist binding in N30A1.50 and N274A7.45 mutant receptors. NaCl decreased the dissociation rate of the antagonist radioligand [3H]8-ethyl-4-methyl-2-phenyl-(8R)-4,5,7,8-tetrahydro-1H- imidazo[2,1-i]purin-5-one (PSB-11) at the WT A3ARs, but not the D58N2.50 mutant receptor. The results were interpreted using a rhodopsin-based mol. model of the A3AR to suggest multiple binding modes of the allosteric modulators.

IT 444717-56-0, PSB-11
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(essential residues involved in allosteric modulation and agonist binding of human A3 adenosine receptor)

RN 444717-56-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:221519 CAPLUS
DOCUMENT NUMBER: 138:231748
TITLE: Methods using adenosine A1 receptor antagonists for treating pulmonary disease
INVENTOR(S): Smits, Glenn J.; Spinale, Francis G.
PATENT ASSIGNEE(S): Biogen, Inc., USA; Musc Foundation for Research Development
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022284	A1	20030320	WO 2002-US28580	20020906

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2459533	A1	20030320	CA 2002-2459533	20020906
AU 2002341618	A1	20030324	AU 2002-341618	20020906
EP 1429775	A1	20040623	EP 2002-775769	20020906,
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012329	A	20040921	BR 2002-12329	20020906
HU 2004001805	A2	20041228	HU 2004-1805	20020906
HU 2004001805	A3	20070502		
CN 1564688	A	20050112	CN 2002-819526	20020906
JP 2005501915	T	20050120	JP 2003-526413	20020906
NZ 532083	A	20051028	NZ 2002-532083	20020906
IN 2004KN00276	A	20060331	IN 2004-KN276	20040301
ZA 2004001765	A	20050405	ZA 2004-1765	20040303
NO 2004000982	A	20040603	NO 2004-982	20040305
US 2004259889	A1	20041223	US 2004-488573	20040817

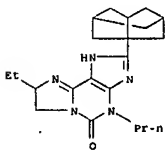
PRIORITY APPLN. INFO.: US 2001-317908P P 20010906
WO 2002-US28580 W 20020906

OTHER SOURCE(S): MARPAT 138:231748

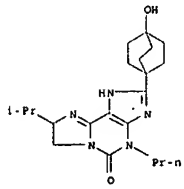
AB Methods useful for reducing pulmonary vasoconstriction or improving pulmonary hemodynamics in a patient are disclosed. More particularly, the invention discloses administering adenosine A1 receptor antagonists to reduce pulmonary vasoconstriction and improve pulmonary hemodynamics.

IT 149744-78-5 501667-79-4 501667-80-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine A1 receptor antagonists for treating pulmonary disease)

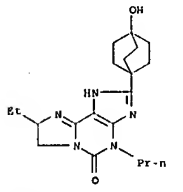
RN 149744-78-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 501667-79-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(1-methylethyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 501667-80-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

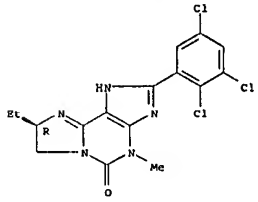
L4 ANSWER 22 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:7897 CAPLUS
DOCUMENT NUMBER: 139:30163
TITLE: 2-Phenylimidazo[2,1-i]purin-5-ones Structure-Activity relationships and characterization of potent and selective inverse agonists at Human A3 adenosine receptors
AUTHOR(S): Ozola, Vita; Thorand, Mark; Diekmann, Martina; Qurishi, Ramatullah; Schumacher, Britta; Jacobson, Kenneth A.; Muller, Christa E.
CORPORATE SOURCE: University of Bonn, Pharmaceutical Institute Poppelsdorf, Bonn, D-53115, Germany
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(3), 347-356
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:30163

AB Structure-activity relationships of 2-phenyl-imidazo[2,1-i]purin-5-ones as ligands for human A3 adenosine receptors (ARs) were investigated. An Et group in the 8-position of the imidazoline ring of 4-methyl-2-phenyl-imidazopurinone leading to chiral compds. was found to increase affinity for human A3 ARs by several thousand-fold. Pr substitution instead of Me at N4 decreased A3 affinity but increased A1 affinity leading to potent A1-selective AR antagonists. The most potent A1 antagonist of the present series was (S)-8-ethyl-2-phenyl-4-propyl-4,5,7,8-tetrahydro-1H-imidazo[2,1-i]purin-5-one exhibiting a Ki value of 7.4 nM at rat A1 ARs and greater than 100-fold selectivity vs. rat A2A and human A3 ARs. At human A1 ARs 2-phenylimidazo[2,1-i]purin-5-ones were generally less potent and therefore less A1-selective (8-3: Ki=98 nM). 2-, 3-, Or 4-Mono-chlorination of the 2-Ph ring reduced A3 affinity but led to an increase in affinity for A1 ARs, whereas di- (3,4-dichloro) or polychlorination (2,3,5-trichloro) increased A3 affinity. The most potent and selective A3 antagonist of the present series was the trichlorophenyl derivative (R)-8-ethyl-4-methyl-2-(2,3,5-trichlorophenyl)-4,5,7,8-tetrahydro-1H-imidazo[2,1-i]purin-5-one exhibiting a subnanomolar Ki value at human A3 ARs and greater than 800-fold selectivity vs. the other AR subtypes. Methylation of 4-alkyl-2-phenyl-substituted imidazo[2,1-i]purin-5-ones led exclusively to the N9-Me deriva., which exhibited largely reduced AR affinities as compared to the unmethylated compds. [35S]GTPγS binding studies of the most potent 2-phenyl-imidazo[2,1-i]purin-5-ones at membranes of Chinese hamster ovary cells expressing the human A3 AR revealed that the compds. were inverse agonists at A3 receptors under standard test conditions. Due to their high A3 affinity, selectivity, and relatively high water-solubility, 2-phenyl-imidazo[2,1-i]purin-5-ones may become useful research tools.

IT 439902-54-2P 543699-94-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(structure-activity of 2-phenylimidazo[2,1-i]purin-5-ones as inverse agonists of human A3 adenosine receptor)

RN 439902-54-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(2,3,5-trichlorophenyl)-, (8R)- (9CI) (CA INDEX NAME)

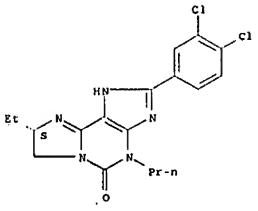
Absolute stereochemistry.



RN 543699-94-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(3,4-dichlorophenyl)-8-ethyl-1,4,7,8-

tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

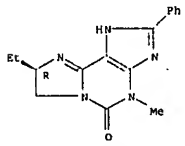
Absolute stereochemistry.



IT 444717-56-0P 543699-91-8P 543699-92-9P
543699-93-0P 543699-95-2P 543699-96-3P
543699-97-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity of 2-phenylimidazo[2,1-i]purin-5-ones as inverse agonists of human A3 adenosine receptor)

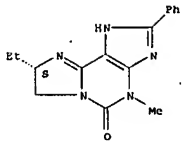
RN 444717-56-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

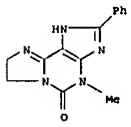


RN 543699-91-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

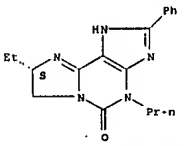


RN 543699-92-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-methyl-2-phenyl- (9CI) (CA INDEX NAME)



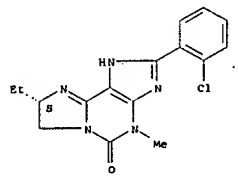
RN 543699-93-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-phenyl-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



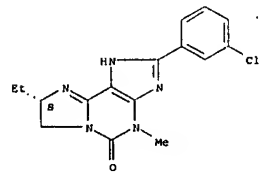
RN 543699-95-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(2-chlorophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-methyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



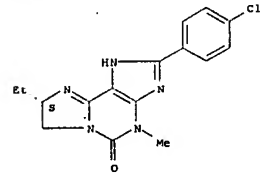
RN 543699-96-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(3-chlorophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-methyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



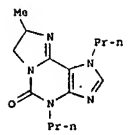
RN 543699-97-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-chlorophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-methyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:723414 CAPLUS
DOCUMENT NUMBER: 138:137075
TITLE: Synthesis and cyclic AMP phosphodiesterase 4 isoenzyme inhibitory activity of heterocycle condensed purines
AUTHOR(S): Suzuki, Hirokazu; Yamamoto, Manabu; Shimura, Susumu; Miyamoto, Ken-ichi; Yamamoto, Kenji; Sawanishi, Hiroyuki
CORPORATE SOURCE: Department of Synthetic Chemistry, Hokuriku University, Kanazawa, 920-1181, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2002), 50(9), 1163-1169
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:137075
GI

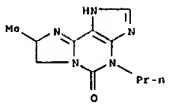


I

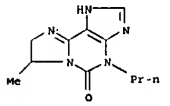
AB To reverse the adverse reactions of alkylxanthines and to develop novel inhibitors of cAMP phosphodiesterase 4 (PDE4), a series of heterocycle [a]-, [b]-, [c,d]-, and [i]-condensed purines were designed and synthesized. Although all compds. did not display PDE1 and PDE3 inhibitory activities, several heterocycle [i]-condensed purines strongly inhibited PDE4. Especially, dl-3,4-dipropyl-8-methyl-4,5,7,8-tetrahydro-1H-imidazo[2,1-i]purin-5-one (I) exhibited comparable PDE4 inhibitory activity (IC50=1.9 μM) to rolipram and denbufylline (DBF).

IT 492439-95-9P 492439-96-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocycle condensed purines from purine and pyrimidine derivs. and their activity as cAMP phosphodiesterase 4 isoenzyme inhibitors)

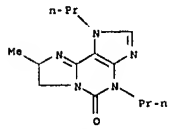
RN 492439-95-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-4-propyl- (9CI) (CA INDEX NAME)



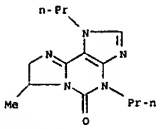
RN 492439-96-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-4-propyl- (9CI) (CA INDEX NAME)



IT 492439-17-5P 492439-21-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of heterocycle condensed purines from purine and pyrimidine derivs. and their activity as cAMP phosphodiesterase 4 isoenzyme inhibitors)
RN 492439-17-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-methyl-1,4-dipropyl- (9CI) (CA INDEX NAME)



RN 492439-21-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-methyl-1,4-dipropyl- (9CI) (CA INDEX NAME)



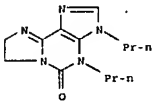
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:575737 CAPLUS
DOCUMENT NUMBER: 137:135500
TITLE: Methods of inducing ovulation by administering a non-polypeptide cAMP level modulator
INVENTOR(S): Palmer, Stephen; McKenna, Sean; Tepper, Mark; Eshkol, Aliza; MacNamee, Michael C.
PATENT ASSIGNEE(S): Applied Research Systems Holding N.V., USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 928,268.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002103106	A1	20020801	US 2001-14812	20011214
US 6953774	B2	20051011		
US 2002065324	A1	20020530	US 2001-928268	20010810
CA 2469939	A1	20030626	CA 2001-2469939	20011214
AU 2002217111	A1	20030630	AU 2002-217111	20011214
EP 1463493	A1	20041006	EP 2001-274987	20011214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001017198	A	20041026	BR 2001-17198	20011214
CN 1582146	A	20050216	CN 2001-823951	20011214
JP 2005516924	T	20050609	JP 2003-552277	20011214
US 2005148501	A1	20050707	US 2003-498639	20011214
US 2006003925	A1	20060105	US 2005-169183	20050628
US 7078236	B2	20060718		
US 2006293222	A1	20061228	US 2006-456033	20060706
PRIORITY APPLN. INFO.:			US 2000-224962P P	20000811
			US 2001-928268 A2	20010810
			US 2001-14812 A3	20011214
			WO 2001-EP14730 W	20011214
			US 2005-169183 A1	20050628

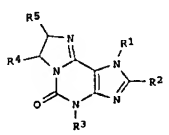
AB The present invention relates to methods of inducing ovulation in a female host comprising the administration of a non-polypeptide cAMP level modulator to the female host. In another aspect, the invention provides for specific administration of the phosphodiesterase inhibitor prior to the luteal phase of the host's ovulatory cycle. Preferred non-polypeptide cAMP level modulator include phosphodiesterase inhibitors, particularly inhibitors of phosphodiesterase 4 isoforms. Pharmaceutical compns. containing

the cAMP modulators are also claimed.
IT 195869-73-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods of inducing ovulation by administering a non-polypeptide cAMP level modulator)
RN 195869-73-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)

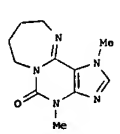


REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:485205 CAPLUS
DOCUMENT NUMBER: 137:201279
TITLE: Imidazo[2,1-i]purin-5-ones and Related Tricyclic Water-Soluble Purine Derivatives: Potent A2A- and A3-Adenosine Receptor Antagonists
AUTHOR(S): Mueller, Christa E.; Thorand, Mark; Qurishi, Ramatullah; Diekmann, Martina; Jacobson, Kenneth A.; Padgett, William L.; Daly, John W.
CORPORATE SOURCE: Pharmaceutical Institute Poppelsdorf, University of Bonn, Bonn, Germany
SOURCE: Journal of Medicinal Chemistry (2002), 45(16), 3440-3450
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:201279
GI



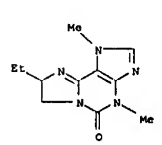
I



II

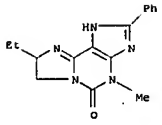
AB A series of tricyclic imidazo[2,1-i]purinones I (R1, R4 = H, Me; R2 = H,

Ph, (E)-PhCH:CH; R3 = H, Me, PhCH2; R5 = H, Me, Et) and ring-enlarged analogs, e.g. II, derived from xanthine derivs. were prepared as adenosine receptor (AR) antagonists. In comparison with xanthines, these tricyclic compds. exhibited increased water solubility due to a basic nitrogen atom, which can be protonated under physiol. conditions. A new capillary electrophoresis method was developed for the determination of the enantiomeric purity of selected chiral products using native and modified β-cyclodextrins as chiral discriminators. The compds. were investigated in radioligand binding assays at rat brain A1 and A2A ARs. Selected I were addnl. investigated in radioligand binding assays at human recombinant A3 ARs and in functional studies (adenylate cyclase assays) at A1 ARs of rat fat cell membranes, A2A ARs of rat PC 12 cell membranes, and mouse A2B ARs of NIH 3T3 cell membranes, and showed the structure-activity relationships similar to those of the corresponding xanthine derivs. The 2-styrylimidazopurinones I [R1 = H, Me; R2 = (E)-PhCH:CH; R3 = Me; R4 = H, R5 = Et] were less potent at A2A ARs as compared to 8-styrylxanthine derivs. The most potent compound at A2A ARs was (E)-I (R1 = R3 = Me, R2 = (E)-PhCH:CH, R4 = H, R5 = Et, (III)) exhibiting a Ki value of 424 nM at rat A2A ARs. III was also highly selective for A2A receptors vs A1 and A3 ARs; however, the selectivity vs A2B ARs was low. Among the 1-unsubstituted (2-phenyl)imidazopurinones, the most potent A3 antagonist was (R)-I (R1 = R4 = H, R2 = Ph, R3 = Me, R5 = Et) exhibiting a Ki value of 2.3 nM and high selectivity for A3 receptors vs all other AR subtypes.
IT 453591-46-3P 453591-57-6P
RL: ANT (Analyte); PAC (Pharmacological activity); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation)
(preparation of water soluble imidazopurinones and ring-enlarged analogs as adenosine receptor antagonists)
RN 453591-46-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

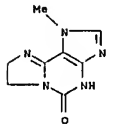
RN 453591-57-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

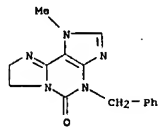
IT 453591-41-8P 453591-42-9P 453591-43-0P
453591-44-1P 453591-45-2P 453591-47-4P
453591-48-5P 453591-49-6P 453591-50-9P
453591-51-0P 453591-52-1P 453591-53-2P
453591-54-3P 453591-55-4P 453591-56-5P
453591-58-7P 453591-59-8P 453591-60-1P
453591-61-2P 453591-62-3P 453591-63-4P
453591-64-5P 453591-65-6P 453591-66-7P
453591-67-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of water soluble imidazopurinones and ring-enlarged analogs as adenosine receptor antagonists)

RN 453591-41-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



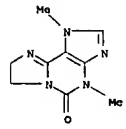
● HCl

RN 453591-42-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-4-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

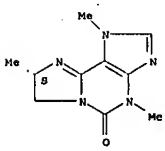
RN 453591-43-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 453591-44-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4,8-trimethyl-, dihydrochloride, (8S)- (9CI) (CA INDEX NAME)

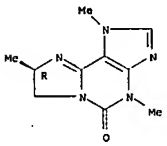
Absolute stereochemistry.



●2 HCl

RN 453591-45-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4,8-trimethyl-, dihydrochloride, (8R)- (9CI) (CA INDEX NAME)

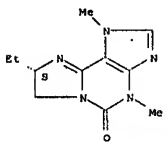
Absolute stereochemistry.



●2 HCl

RN 453591-47-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-, dihydrochloride, (8S)- (9CI) (CA INDEX NAME)

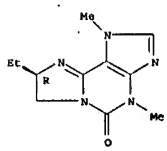
Absolute stereochemistry.



●2 HCl

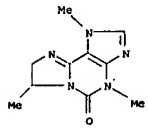
RN 453591-48-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-, dihydrochloride, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

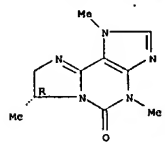
RN 453591-49-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4,7-trimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 453591-50-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4,7-trimethyl-, dihydrochloride, (7R)- (9CI) (CA INDEX NAME)

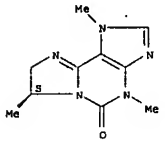
Absolute stereochemistry.



●2 HCl

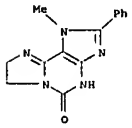
RN 453591-51-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4,7-trimethyl-, dihydrochloride, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



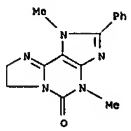
● 2 HCl

RN 453591-52-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



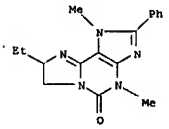
● HCl

RN 453591-53-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4-dimethyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

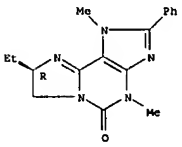
RN 453591-54-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 453591-55-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-phenyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

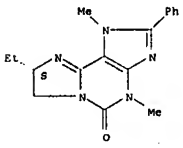
Absolute stereochemistry.



● HCl

RN 453591-56-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-phenyl-, monohydrochloride, (8S)- (9CI) (CA INDEX NAME)

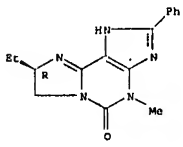
Absolute stereochemistry.



● HCl

RN 453591-58-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-phenyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

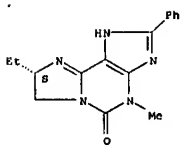
Absolute stereochemistry.



● HCl

RN 453591-59-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-phenyl-, monohydrochloride, (8S)- (9CI) (CA INDEX NAME)

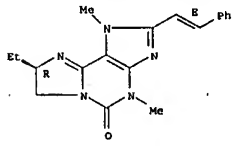
Absolute stereochemistry.



● HCl

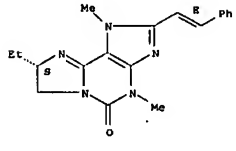
RN 453591-60-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-[(1E)-2-phenylethenyl]-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 453591-61-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1,4-dimethyl-2-[(1E)-2-phenylethenyl]-, (8S)- (9CI) (CA INDEX NAME)

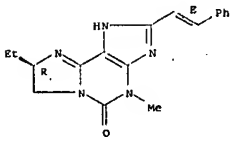
Absolute stereochemistry.
Double bond geometry as shown.



RN 453591-62-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-[(1E)-

2-phenylethenyl]-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

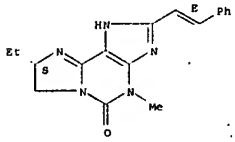
Absolute stereochemistry.
Double bond geometry as shown.



● HCl

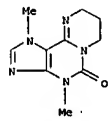
RN 453591-63-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-[(1E)-2-phenylethenyl]-, monohydrochloride, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



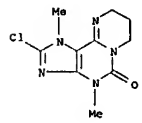
● HCl

RN 453591-64-5 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-1,4-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



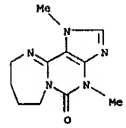
●2 HCl

RN 453591-65-6 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-chloro-4,7,8,9-tetrahydro-1,4-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



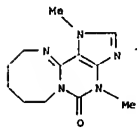
● HCl

RN 453591-66-7 CAPLUS
CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 1,4,7,8,9,10-hexahydro-1,4-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 453591-67-8 CAPLUS
CN [1,3]Diazocino[2,1-i]purin-5(1H)-one, 4,7,8,9,10,11-hexahydro-1,4-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

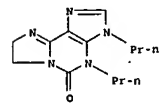


●2 HCl

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:471672 CAPLUS
DOCUMENT NUMBER: 138:19249
TITLE: Selective phosphodiesterase type 4 inhibitors reduce the prolonged survival of eosinophils stimulated by granulocyte-macrophage colony-stimulating factor
AUTHOR(S): Takeuchi, Masayuki; Tatsumi, Yasuaki; Kitaichi, Kiyoyuki; Baba, Kenji; Suzuki, Ryujiro; Shibata, Eiji; Takagi, Kenji; Miyamoto, Ken-ichi; Hasegawa, Takaaki; Takagi, Kenzo
CORPORATE SOURCE: Second Department of Internal Medicine and Laboratory Medicine, Nagoya University School of Medicine, Nagoya, 466-8560, Japan
SOURCE: Biological & Pharmaceutical Bulletin (2002), 25(2), 184-187
CODEN: BPBLEO; ISSN: 0918-6158
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
AB It is well known that bronchial asthma is defined as chronic eosinophilic inflammation of the respiratory tract and that as one of the various types of inflammatory cells, eosinophils induce the airway inflammation of chronic asthma. Granulocyte-macrophage colony-stimulating factor (GM-CSF) has been shown to play an important role in the prolongation of the survival of eosinophils. We investigated the inhibitory effect of the selective phosphodiesterase (PDE) 4 inhibitors, 3,4-dipropyl-4,5,7,8-tetrahydro-3H-imidazo[1,2-i]purin-5-one (XT-611) and rolipram, and the nonselective PDE inhibitor theophylline, against GM-CSF-induced prolongation of the survival of eosinophils isolated from patients with bronchial asthma. Eosinophils (106 cells/mL) were incubated in the presence of GM-CSF together with or without theophylline, rolipram or XT-611 at 37, and the viable cells were assessed up to 4d using Trypan blue dye exclusion. The presence of theophylline (10-4 M), rolipram (10-4-10-5 M) or XT-611 (10-4-10-5 M) significantly reduced the GM-CSF (10 pg/mL)-induced prolongation of viability of eosinophils. These findings suggest that selective PDE 4 inhibitors, including XT-611, may effectively reduce the activities of inflammatory cells in the airway of bronchial asthma patients.

IT 195869-73-9, XT-611
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PDE4 inhibitors reduce the prolonged survival of eosinophils stimulated by granulocyte-macrophage colony-stimulating factor)
RN 195869-73-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



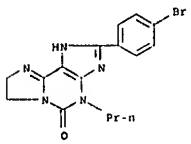
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:440202 CAPLUS
DOCUMENT NUMBER: 138:83217
TITLE: KF26777 (2-(4-bromophenyl)-7,8-dihydro-4-propyl-1H-imidazo[2,1-i]purin-5(4H)-onedihydrochloride), a new potent and selective adenosine A3 receptor antagonist
AUTHOR(S): Saki, Mayumi; Tsumuki, Hiroshi; Nonaka, Hiromi; Shimada, Junichi; Ichimura, Michio
CORPORATE SOURCE: Kyowa Hakko Kogyo Co., Ltd., Pharmaceutical Research Institute, Sunto-gun, Shizuoka, Nagaizumi-cho, 411-8731, Japan
SOURCE: European Journal of Pharmacology (2002), 444(3), 133-141
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors investigated the biochem. and pharmacol. properties of a new adenosine A3 receptor antagonist, KF26777 (2-(4-bromophenyl)-7,8-dihydro-4-propyl-1H-imidazo[2,1-i]purin-5(4H)-onedihydrochloride). This compound was characterized using N6-(4-amino-3-iodobenzyl)adenosine-5'-N-methyluronamide ([125I]AB-MECA) or [35S]guanosine 5'-O-(3-thiotriphosphate) (GTPγS) binding to membranes from human embryonic kidney 293 (HEK293) cells expressing human adenosine A3 receptors. KF26777 showed a Ki value of 0.20±0.038 nM for human adenosine A3 receptors labeled with [125I]AB-MECA and possessed 9000-, 2350- and 3100-fold selectivity vs. human adenosine A1, A2A and A2B receptors, resp. The inhibitory mode of binding was competitive. KF26777 inhibited the binding of [35S]GTPγS stimulated by 1 μM 2-chloro-N6-(3-iodobenzyl)adenosine-5'-N-methyluronamide (Cl-IB-MECA). The IC50 value was 270±85 nM; the compound had no effect on basal activity. Dexamethasone treatment for HL-60 cells, human promyelocytic leukemia, up-regulated functional adenosine A3 receptors expression, and resulted in the enhanced elevation of intracellular Ca2+ concentration ([Ca2+]i) via the adenosine A3 receptor. KF26777 antagonized this [Ca2+]i mobilization induced by Cl-IB-MECA, with a KB value of 0.42±0.14 nM. These results

indicate that KF26777 is a highly potent and selective antagonist of the human adenosine A3 receptor.

IT 206129-88-6, KF 26777
RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); BIOL (Biological study); USES (Uses)
(KF26777 is highly potent and selective antagonist for human adenosine A3 receptors)

RN 206129-88-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-3,4,7,8-tetrahydro-4-propyl- (CA INDEX NAME)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:428910 CAPLUS
DOCUMENT NUMBER: 137:6037
TITLE: Preparation of condensed purine derivatives as A1 adenosine receptor antagonists
INVENTOR(S): Lin, Ko-Chung; Vu, Chi
PATENT ASSIGNEE(S): Biogen, Inc., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044182	A1	20020606	WO 2001-US44991	20011130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 200219977	A	20020611	AU 2002-19977	20011130
US 2002111333	A1	20020815	US 2001-997740	20011130
US 6605601	B2	20030812		
EE 200300260	A	20030815	EE 2003-260	20011130
EP 1347981	A1	20031001	EP 2001-998550	20011130

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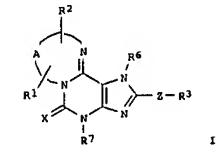
BR 2001015833	A	20031028	BR 2001-15833	20011130
JP 2004514723	T	20040520	JP 2002-546552	20011130
HU 200400530	A2	20040628	HU 2004-530	20011130
TR 200300766	T2	20040921	TR 2003-766	20011130
NZ 526511	A	20050429	NZ 2001-526511	20011130
IN 2003DN00781	A	20070105	IN 2003-DN781	20030521
ZA 2003004067	A	20050530	ZA 2003-4067	20030526
US 2003220358	A1	20031127	US 2003-446573	20030527
US 7022686	B2	20060404		
BG 107849	A	20040130	BG 2003-107849	20030527
NO 2003002483	A	20030730	NO 2003-2483	20030602
ZA 2004008755	A	20050503	ZA 2004-8755	20041028
PRIORITY APPLN. INFO.:			US 2000-250658P	P 20001201
			US 2001-997740	A1 20011130
			WO 2001-US44991	W 20011130

OTHER SOURCE(S): MARPAT 137:6037
GI



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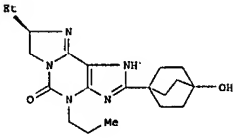


II

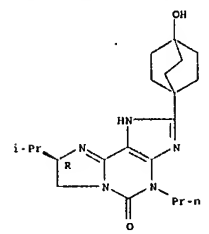
AB Title compds. I [R1-2 = H, (un)substituted alk(en/yn)yl, aryl; R3 = bi/tri/pentacyclic, e.g., bicyclo[2.1.2], etc.; R4 = H, alkyl, alkyl-CO2H, phenyl; R5 = (un)substituted alkyl-CO2H, C(CF3)2OH, CONHNHSO2CF3, CONHOR4, CONHSO2R4, etc.; A = CH=CH, (CH)m-(CH)m, CH=CH-CH2, CH2-CH=CH; m = 1-2; X = O, S; Z = single bond, O, (CH2)n, O(CH2)1-2, CH2OCH2, (CH2)1-2O; n = 0-3; R6 = H, alkyl, acyl, alkylsufonyl, aralkyl, aralkyl, heterocyclyl; R7 = H, alk(en/yn)yl, aryl, alkyaryl] were prepared For instance, 6-amino-1-propyl-1H-pyrimidine-2,4-dione was nitrosated in the 5-position

(HOAcaq, NaONO) and reduced with sodium dithionite to give the corresponding diamino pyrimidine-2,4-dione. Coupling of this intermediate with 4-hydroxybicyclo[2.2.2]octane-1-carboxylic acid afforded 8-(4-Hydroxybicyclo[2.2.2]oct-1-yl)-3-propyl-3,7-dihydropurine-2,6-dione, The purine was treated with P4S10 in pyridine and the resulting thiono-derivative converted to the S-Me purine derivative Methylthio displacement with (R)-2-aminobutanol (DMSO, 150°C, 3 h) and subsequent treatment of the amine with SOCl2 effected cyclization to II. All example compds. exhibited Ki = 4 - 800 nM for the A1 adenosine receptor (rat). I are useful for treatment of various diseases and disorders, including systemic hypertension, renal failure, diabetes, asthma, an edematous condition, congestive heart failure, and renal dysfunction.

IT 433246-43-6P 433246-48-1P 433246-53-8P
433246-58-3P 433246-63-0P 433246-68-5P
433246-74-3P 433246-81-2P 433246-85-6P
433246-91-4P 433246-97-0P 433247-03-1P
433247-09-7P 433247-14-4P 433247-19-9P
433247-25-7P 433247-31-5P 433247-37-1P
433247-45-1P 433247-52-0P 433247-58-6P
433247-64-4P 433247-69-9P 433247-74-6P
433247-79-1P 433247-84-8P 433247-88-2P
433247-93-9P 433247-98-4P 433248-03-4P
433248-07-8P 433248-11-4P 433248-14-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of condensed purine derivs. as A1 adenosine receptor antagonists)

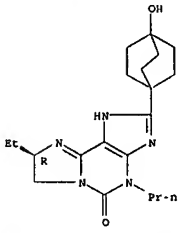
RN 433246-43-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



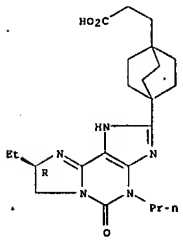
RN 433246-48-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



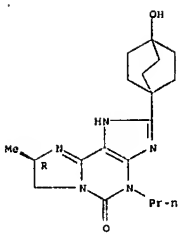
RN 433246-53-8 CAPLUS
CN Bicyclo[2.2.2]octane-1-propanoic acid, 4-[(8R)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



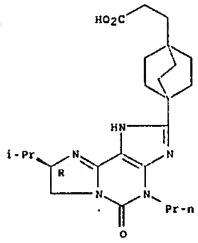
RN 433246-58-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-methyl-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

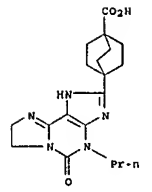


RN 433246-63-0 CAPLUS
CN Bicyclo[2.2.2]octane-1-propanoic acid, 4-[(8R)-4,5,7,8-tetrahydro-8-(1-methylethyl)-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.

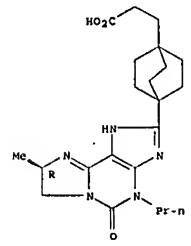


RN 433246-68-5 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-(4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl)- (9CI) (CA INDEX NAME)



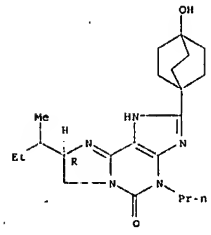
RN 433246-74-3 CAPLUS
CN Bicyclo[2.2.2]octane-1-propanoic acid, 4-[(8R)-4,5,7,8-tetrahydro-8-methyl-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



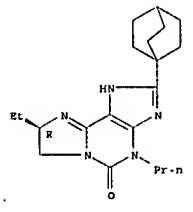
RN 433246-81-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(1-methylpropyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



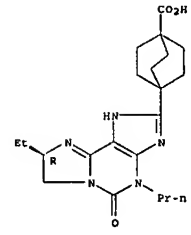
RN 433246-85-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-bicyclo[2.2.2]oct-1-yl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



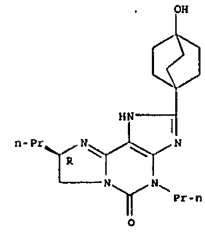
RN 433246-91-4 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8R)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



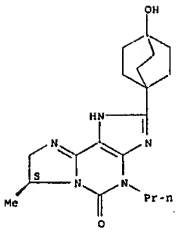
RN 433246-97-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4,8-dipropyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



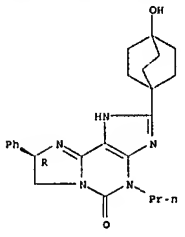
RN 433247-03-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-7-methyl-4-propyl-, (7S)- (CA INDEX NAME)

Absolute stereochemistry.



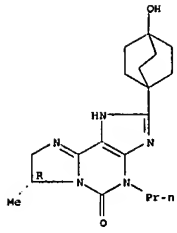
RN 433247-09-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-phenyl-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



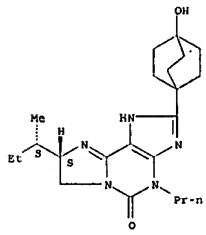
RN 433247-14-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-7-methyl-4-propyl-, (7R)- (CA INDEX NAME)

Absolute stereochemistry.



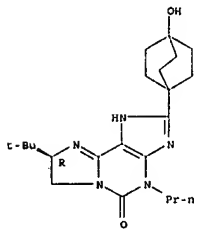
RN 433247-19-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-[(1S)-1-methylpropyl]-4-propyl-, (8S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



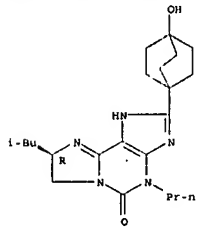
RN 433247-25-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



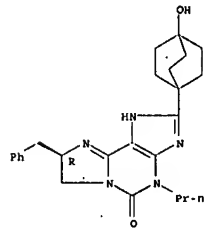
RN 433247-31-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(2-methylpropyl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



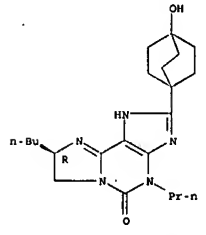
RN 433247-37-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(phenylmethyl)-4-propyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

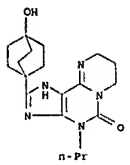


RN 433247-45-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-butyl-1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

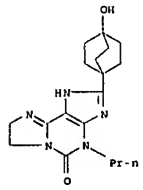
Absolute stereochemistry.



RN 433247-52-0 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl- (9CI) (CA INDEX NAME)

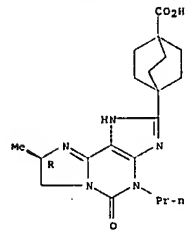


RN 433247-58-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl- (CA INDEX NAME)



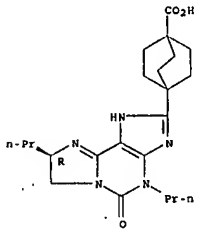
RN 433247-64-4 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8R)-4,5,7,8-tetrahydro-8-methyl-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



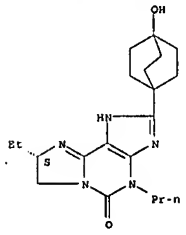
RN 433247-69-9 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8R)-4,5,7,8-tetrahydro-5-oxo-4,8-dipropyl-1H-imidazo[2,1-i]purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



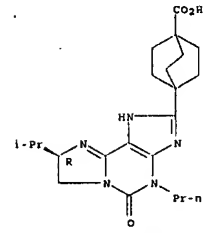
RN 433247-74-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.



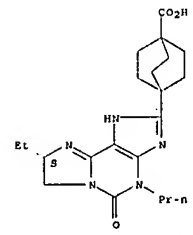
RN 433247-79-1 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8R)-4,5,7,8-tetrahydro-8-(1-methylethyl)-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

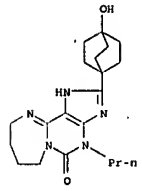


RN 433247-84-8 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[(8S)-8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-3H-imidazo[2,1-i]purin-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.

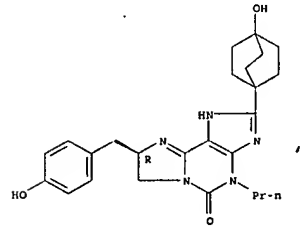


RN 433247-88-2 CAPLUS
CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 1,4,7,8,9,10-hexahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl- (9CI) (CA INDEX NAME)

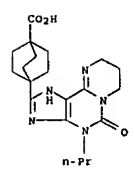


RN 433247-93-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-[(4-hydroxyphenyl)methyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

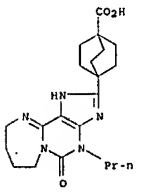
Absolute stereochemistry.



RN 433247-98-4 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-(1,4,5,7,8,9-hexahydro-5-oxo-4-propylpyrimido[2,1-i]purin-2-yl)- (9CI) (CA INDEX NAME)

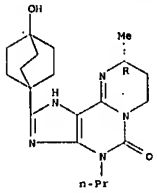


RN 433248-03-4 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-(4,5,7,8,9,10-hexahydro-5-oxo-4-propyl-1H-[1,3]diazepino[2,1-i]purin-2-yl)- (9CI) (CA INDEX NAME)



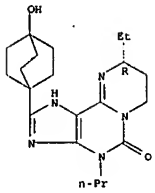
RN 433248-07-8 CAPLUS
CN Pyrimido[2,1-i]purin-5(3H)-one, 4,7,8,9-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-9-methyl-4-propyl-, (9R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 433248-11-4 CAPLUS
CN Pyrimido[2,1-i]purin-5(3H)-one, 9-ethyl-4,7,8,9-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-4-propyl-, (9R)- (CA INDEX NAME)

Absolute stereochemistry.

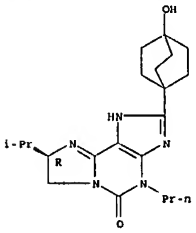


RN 433248-14-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-hydroxybicyclo[2.2.2]oct-1-yl)-8-(1-methylethyl)-4-propyl-, (8R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 433246-43-6
CMF C21 H31 N5 O2

Absolute stereochemistry.



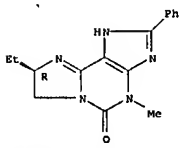
CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

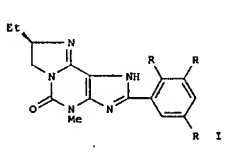
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:414265 CAPLUS
DOCUMENT NUMBER: 137:136577
TITLE: Identification by site-directed mutagenesis of residues involved in ligand recognition and activation of the human A3 adenosine receptor
AUTHOR(S): Gao, Zhan-Guo; Chen, Aishe; Barak, Dov; Kim, Soo-Kyung; Muller, Christa E.; Jacobson, Kenneth A.
CORPORATE SOURCE: Molecular Recognition Section, Laboratory of Bioorganic Chemistry, NIDDK, National Institutes of Health, Bethesda, MD, 20892, USA
SOURCE: Journal of Biological Chemistry (2002), 277(21), 19056-19063
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Ligand recognition has been extensively explored in G protein-coupled A1, A2A, and A2B adenosine receptors but not in the A3 receptor, which is cerebroprotective and cardioprotective. We mutated several residues of the human A3 adenosine receptor within transmembrane domains 3 and 6 and the second extracellular loop, which have been predicted by previous mol. modeling to be involved in the ligand recognition, including His95, Trp243, Leu244, Ser247, Asn250, and Lys152. The N250A mutant receptor lost the ability to bind both radiolabeled agonist and antagonist. The H95A mutation significantly reduced affinity of both agonists and antagonists. In contrast, the K152A (EL2), W243A (6.48), and W243F (6.48) mutations did not significantly affect the agonist binding but decreased antagonist affinity by .apprx.3-38-fold, suggesting that these residues were critical for the high affinity of A3 adenosine receptor antagonists. Activation of phospholipase C by wild type (WT) and mutant receptors was measured. The A3 agonist 2-chloro-N6-(3-iodobenzyl)-5'-N-methylcarbamoyladenosine stimulated phosphoinositide turnover in the WT but failed to evoke a response in cells expressing W243A and W243F mutant receptors, in which agonist binding was less sensitive to guanosine 5'-γ-thiotriphosphate than in WT. Thus, although not important for agonist binding, Trp243 was critical for receptor activation. The results were interpreted using a rhodopsin-based model of ligand-A3 receptor interactions.
IT 444717-56-0, PSB 11
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(identification by site-directed mutagenesis of residues involved in ligand recognition and activation of the human A3 adenosine receptor)
RN 444717-56-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-3,4,7,8-tetrahydro-4-methyl-2-phenyl-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

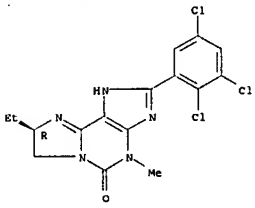
L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:97704 CAPLUS
DOCUMENT NUMBER: 137:78913
TITLE: [3H]-8-Ethyl-4-methyl-2-phenyl-(8R)-4,5,7,8-tetrahydro-1H-imidazo[2,1-i]purin-5-one ([3H]PSB-11), a Novel High-Affinity Antagonist Radioligand for Human A3 Adenosine Receptors
AUTHOR(S): Muller, Christa E.; Diekmann, Martina; Thorand, Mark; Ozola, Vita
CORPORATE SOURCE: University of Bonn, Pharmaceutical Institute, Bonn, D-53115, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(3), 501-503
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB This study describes the preparation and binding properties of [3H]PSB-11 (I, R = 3H), a novel, potent, and selective antagonist radioligand for human A3 adenosine receptors (ARs). I (R = 3H) was prepared by hydrogenation of I (R = Cl) with tritium gas. [3H]PSB-11 binding to membranes of Chinese hamster ovary (CHO) cells expressing the human A3 AR was saturable and reversible. Saturation expts. showed that [3H]PSB-11 labeled a single class of binding sites with high affinity (KD = 4.9 nM) and limited capacity (Bmax = 3500 fmol/mg of protein). PSB-11 is highly selective vs. the other adenosine receptor subtypes. The new radioligand shows an extraordinarily low degree of non-specific binding rendering it a very useful tool for studying the (patho)physiol. roles of A3 ARs.
IT 439902-54-2

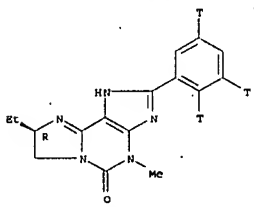
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation with tritium gas)
RN 439902-54-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(2,3,5-trichlorophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 439902-55-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and binding to human A3 adenosine receptor)
RN 439902-55-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-methyl-2-(phenyl-2,3,5-t3)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

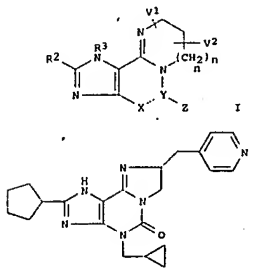
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:551386 CAPLUS
Correction of: 2001:469404
DOCUMENT NUMBER: 135:92647

Correction of: 135:76901
TITLE: Preparation of fused purine derivatives as insulin secretion enhancers
INVENTOR(S): Ueno, Kimihisa; Ogawa, Akira; Ohta, Yoshihisa; Nomoto, Yuji; Takasaki, Kotaro; Kusaka, Hideaki; Yano, Hiroshi; Suzuki, Chiharu; Nakanishi, Satoshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047931	A1	20010705	WO 2000-JP9160	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2395414	A1	20010705	CA 2000-2395414	20001222
AU 2001022235	A5	20010709	AU 2001-22235	20001222
EP 1251130	A1	20021023	EP 2000-985847	20001222
EP 1251130	B1	20050216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 289311	T	20050315	AT 2000-985847	20001222
ES 2238335	T3	20050901	ES 2000-985847	20001222
US 2003176698	A1	20030918	US 2002-149423	20021022
US 7005430	B2	20060228		

PRIORITY APPLN. INFO.: JP 1999-366213 A 19991224
WO 2000-JP9160 W 20001222

OTHER SOURCE(S): MARPAT 135:92647
GI

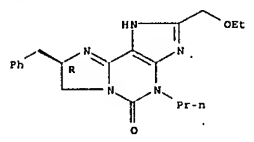


I

II

AB Title compds. [I; XYZ = R1NC:O, N:CW; R1 = (CH2)2CH3, cycloplmethyl; W = Cl, NH(CH2)2CH3, OCH2CH3, CN, SCH3, OCH2CH2CH2SCH3, OCH2CH2CH2SO2CH3; R2 = C(CH3)3, (CH2)2CH3, H, cyclopentyl, Br, SCH3, CHO, ClCH2, CO2CH3; R3 = H, CH2OCH3, CH2C6H5; V1 = H, C(CH3)3, CH2C6H5, CH2Cl, CH2NHC6H5; V1 = H, C(CH3)3, CH2C6H5, CH2Cl, CH2NHC6H5, CH2NH2, heterocyclylmethyl, CH2OSO2CH3; V2 = H, CH2C6H5, 3-pyridyl; n = 1, 2; dotted line = single bond, double bond] and pharmaceutically acceptable salts are prepared as insulin secretion enhancers, blood sugar lowering agents, and remedy for diabetes complications. Thus, the title compound II was prepared and biol. tested.
IT 348167-57-7P 348169-57-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of fused purine derivs. as insulin secretion enhancers)
RN 348167-57-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(ethoxymethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



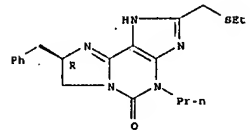
RN 348169-57-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(ethylthio)methyl]-1,4,7,8-tetrahydro-8-

(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348169-56-2
CMF C20 H25 N5 O S

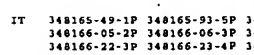
Absolute stereochemistry.



CM 2

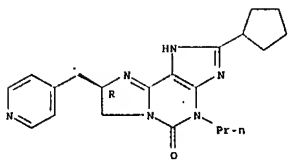
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

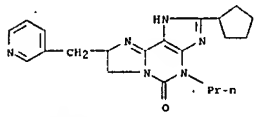


IT 348165-49-1P 348165-93-5P 348166-04-1P
348166-05-2P 348166-06-3P 348166-07-4P
348166-22-3P 348166-23-4P 348166-24-5P
348166-27-8P 348166-28-9P 348166-30-3P
348166-31-4P 348166-40-5P 348166-91-6P
348167-33-9P 348167-35-1P 348167-44-2P
348167-46-4P 348167-49-7P 348167-50-0P
348167-51-1P 348167-58-8P 348167-60-2P
348169-45-9P 348169-76-6P 348169-80-2P
348362-73-2P 349554-64-9P 349554-69-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused purine derivs. as insulin secretion enhancers)
RN 348165-49-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

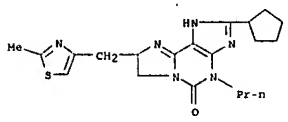
Absolute stereochemistry.



RN 348165-93-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

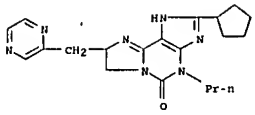


RN 348166-04-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(2-methyl-4-thiazolyl)methyl]-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

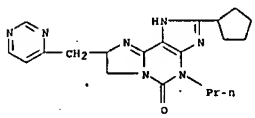


●x HCl

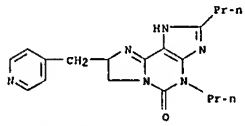
RN 348166-05-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)



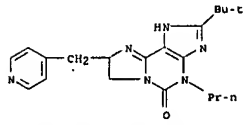
RN 348166-06-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



RN 348166-07-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2,4-dipropyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

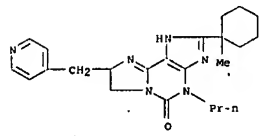


RN 348166-22-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



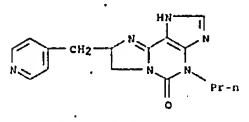
RN 348166-23-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-methylcyclohexyl)-4-

propyl-8-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

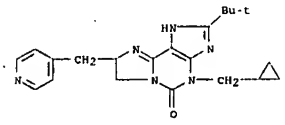


●2 HCl

RN 348166-24-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

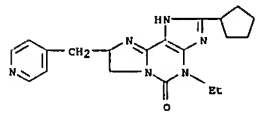


RN 348166-27-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 4-(cyclopropylmethyl)-2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



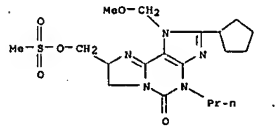
●2 HCl

RN 348166-28-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-ethyl-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

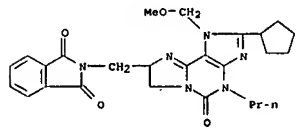


●2 HCl

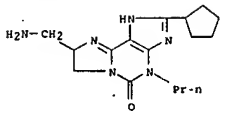
RN 348166-30-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1-(methoxymethyl)-8-[[(methylsulfonyl)oxy]methyl]-4-propyl- (9CI) (CA INDEX NAME)



RN 348166-31-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[2-cyclopentyl-4,5,7,8-tetrahydro-1-(methoxymethyl)-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl]methyl]- (9CI) (CA INDEX NAME)

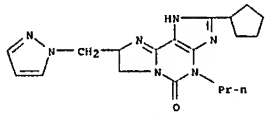


RN 348166-40-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(aminomethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)

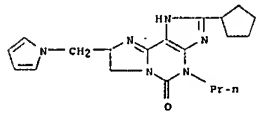


●2 HCl

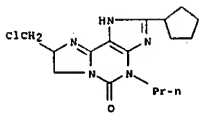
RN 348166-91-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 348167-33-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-pyrrol-1-ylmethyl)- (9CI) (CA INDEX NAME)



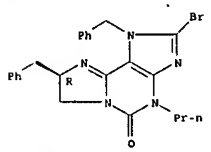
RN 348167-35-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(chloromethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

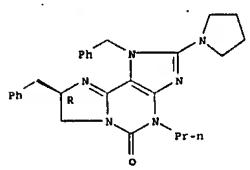
RN 348167-44-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-bromo-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



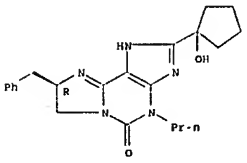
RN 348167-46-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-2-(1-pyrrolidinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



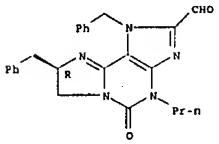
RN 348167-49-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-hydroxycyclopentyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



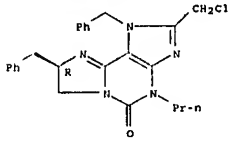
RN 348167-50-0 CAPLUS
CN 1H-Imidazo[2,1-i]purine-2-carboxaldehyde, 4,5,7,8-tetrahydro-5-oxo-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-51-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(chloromethyl)-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

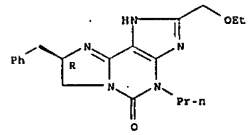


RN 348167-58-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(ethoxymethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348167-57-7
CMF C20 H25 N5 O2

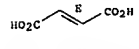
Absolute stereochemistry.



CM 2

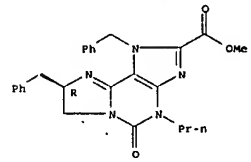
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 348167-60-2 CAPLUS
CN 1H-Imidazo[2,1-i]purine-2-carboxylic acid, 4,5,7,8-tetrahydro-5-oxo-1,8-bis(phenylmethyl)-4-propyl-, methyl ester, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

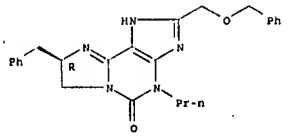


RN 348169-45-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-[(phenylmethoxy)methyl]-8-(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348169-44-8
CMF C25 H27 N5 O2

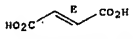
Absolute stereochemistry.



CM 2

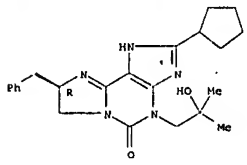
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 348169-76-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(2-hydroxy-2-methylpropyl)-8-(phenylmethyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

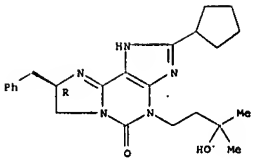
Absolute stereochemistry.



● HCl

RN 348169-80-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxy-3-methylbutyl)-8-(phenylmethyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

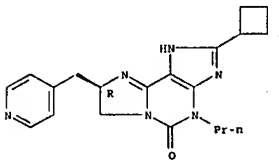
Absolute stereochemistry.



● HCl

RN 348362-73-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

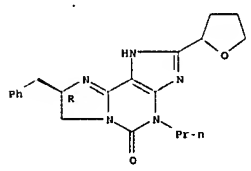


RN 349554-64-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2-furanyl)-, (8R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 349554-63-8
CMF C21 H25 N5 O2

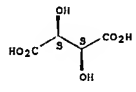
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.

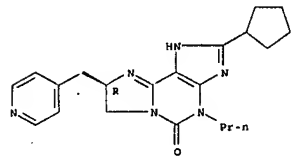


RN 349554-69-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348165-49-1
CMF C21 H26 N6 O

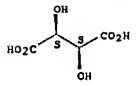
Absolute stereochemistry.



CM 2

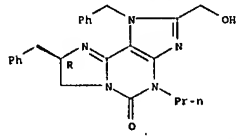
CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



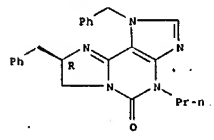
IT 348621-36-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fused purine derivs. as insulin secretion enhancers)
RN 348621-36-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(hydroxymethyl)-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



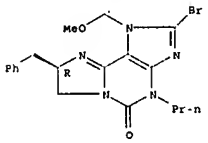
IT 254426-65-8P 348393-40-8P 348621-37-4P
348621-39-6P 348621-41-0P 348621-42-1P
348621-43-2P 349554-72-9P 349554-74-1P
349585-63-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused purine derivs. as insulin secretion enhancers)
RN 254426-65-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



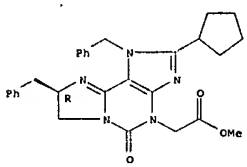
RN 348393-40-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-bromo-1,4,7,8-tetrahydro-1-(methoxymethyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



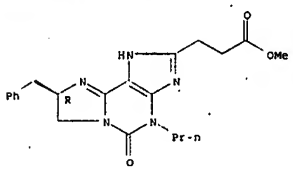
RN 348621-37-4 CAPLUS
CN 1H-Imidazo[2,1-i]purine-4(5H)-acetic acid, 2-cyclopentyl-7,8-dihydro-5-oxo-1,8-bis(phenylmethyl)-, methyl ester, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348621-39-6 CAPLUS
CN 1H-Imidazo[2,1-i]purine-2-propanoic acid, 4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-, methyl ester, (8R)- (9CI) (CA INDEX NAME)

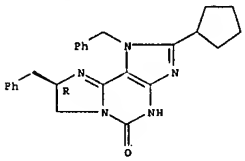
Absolute stereochemistry.



RN 348621-41-0 CAPLUS

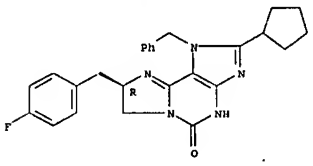
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



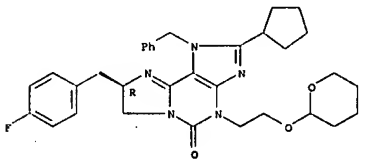
RN 348621-42-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-1-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



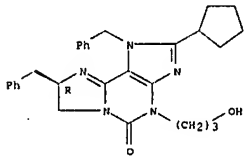
RN 348621-43-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-1-(phenylmethyl)-4-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



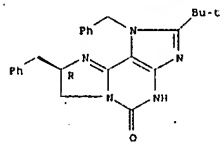
RN 349554-72-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-1,8-bis(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



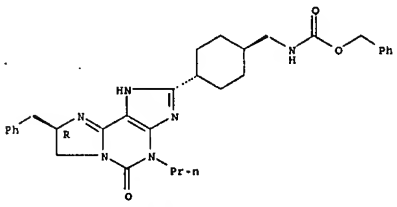
RN 349554-74-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 349585-63-3 CAPLUS
CN Carbamic acid, [[trans-4-[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]cyclohexyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

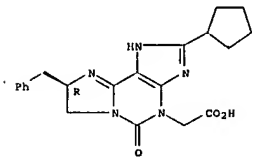
Absolute stereochemistry.



IT 348169-71-1P 348169-79-9P 349554-73-0P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of fused purine derivs. as insulin secretion enhancers)

RN 348169-71-1 CAPLUS
CN 1H-Imidazo[2,1-i]purine-4(5H)-acetic acid, 2-cyclopentyl-7,8-dihydro-5-oxo-8-(phenylmethyl)-, hydrochloride, (8R)- (9CI) (CA INDEX NAME)

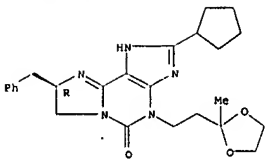
Absolute stereochemistry.



●x HCl

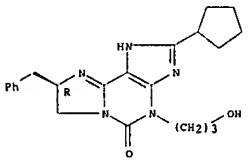
RN 348169-79-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-[2-(2-methyl-1,3-dioxolan-2-yl)ethyl]-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 349554-73-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

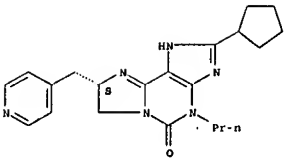
Absolute stereochemistry.



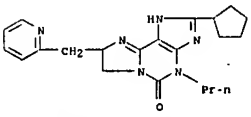
IT 348149-82-6P 348165-85-5P 348166-21-2P
348166-25-6P 348166-29-0P 348167-31-7P
348167-32-8P 348167-34-0P 348167-36-2P
348167-37-3P 348167-38-4P 348167-39-5P
348167-40-8P 348167-41-9P 348167-42-0P
348167-43-1P 348167-45-3P 348167-47-5P
348167-48-6P 348167-52-2P 348167-56-6P
348167-59-9P 348167-61-3P 348167-62-4P
348167-63-5P 348168-24-1P 348168-25-2P
348169-43-7P 348169-47-1P 348169-48-2P
348169-52-8P 348169-53-9P 348169-54-0P
348169-59-5P 348169-72-2P 348169-74-4P
348169-75-5P 348169-77-7P 348169-78-8P
348169-81-3P 348169-82-4P 348169-84-6P
348169-85-7P 349554-62-7P 349585-60-0P
349585-61-1P 349585-62-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused purine derivs. as insulin secretion enhancers)

RN 348149-82-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

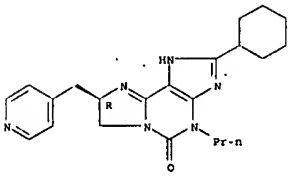


RN 348165-85-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

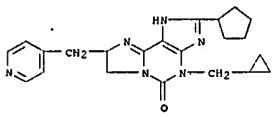


RN 348166-21-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

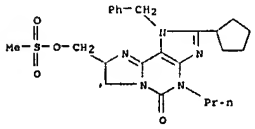


RN 348166-25-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

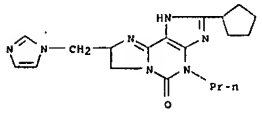


●2 HCl

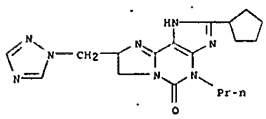
RN 348166-29-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[(methylsulfonyl)oxy]methyl]-1-(phenylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



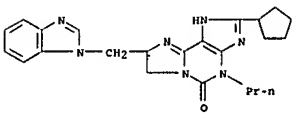
RN 348167-31-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1H-imidazol-1-ylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 348167-32-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

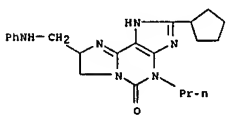


RN 348167-34-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1H-benzimidazol-1-ylmethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)



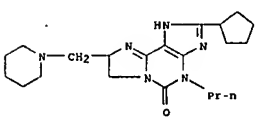
●x HCl

RN 348167-36-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(phenylamino)methyl]-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

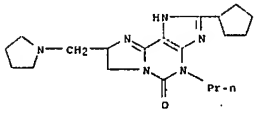
RN 348167-37-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-piperidinylmethyl)-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

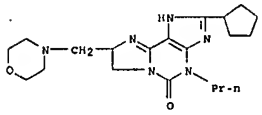
RN 348167-38-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-

(1-pyrrolidinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



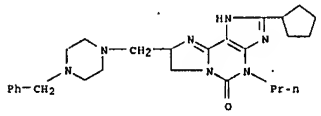
●2 HCl

RN 348167-39-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(4-morpholinylmethyl)-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

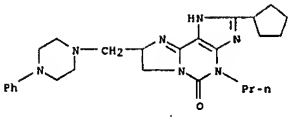
RN 348167-40-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[4-(phenylmethyl)-1-piperazinyl]methyl]-4-propyl-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

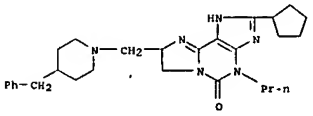
RN 348167-41-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[4-phenyl-1-piperazinyl)methyl]-4-propyl-, trihydrochloride (9CI) (CA INDEX

NAME)



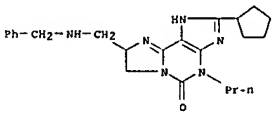
●3 HCl

RN 348167-42-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[4-(phenylmethyl)-1-piperidinyl]methyl]-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 348167-43-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[(phenylmethyl)amino]methyl]-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)

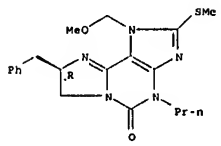


●2 HCl

RN 348167-45-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-(methoxymethyl)-2-

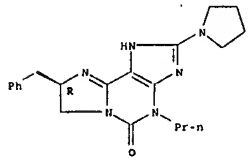
(methylthio)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-47-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(1-pyrrolidinyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

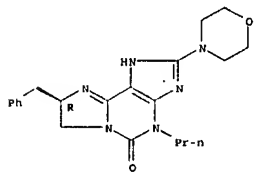
Absolute stereochemistry.



● HCl

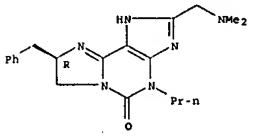
RN 348167-48-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-morpholinyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



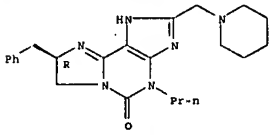
RN 348167-52-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(dimethylamino)methyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



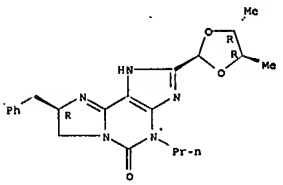
RN 348167-56-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-2-(1-piperidinylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



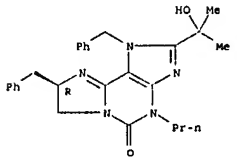
RN 348167-59-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(4R,5R)-4,5-dimethyl-1,3-dioxolan-2-yl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



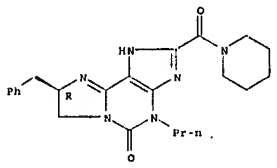
RN 348167-61-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-hydroxy-1-methylethyl)-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



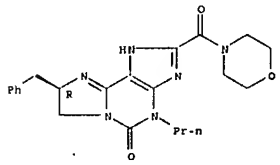
RN 348167-62-4 CAPLUS
CN Piperidine, 1-[[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348167-63-5 CAPLUS
CN Morpholine, 4-[[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

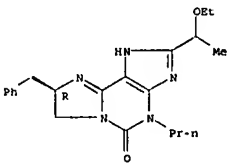


RN 348168-24-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1-ethoxyethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348168-23-0
CMF C21 H27 N5 O2

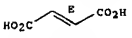
Absolute stereochemistry.



CM 2

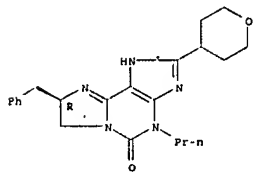
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 348168-25-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(tetrahydro-2H-pyran-4-yl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

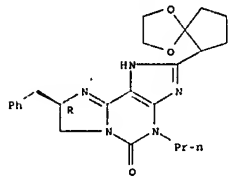
Absolute stereochemistry.



● HCl

RN 348169-43-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,4-dioxaspiro[4.4]non-6-yl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

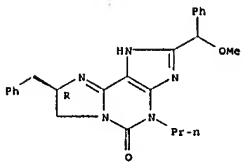


RN 348169-47-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(methoxyphenylmethyl)-8-(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348169-46-0
CMF C25 H27 N5 O2

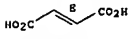
Absolute stereochemistry.



CM 2

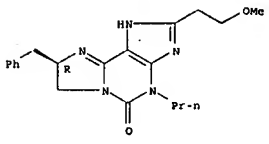
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 348169-48-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(2-methoxyethyl)-8-(phenylmethyl)-4-propyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

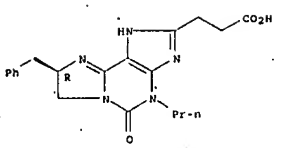
Absolute stereochemistry.



● HCl

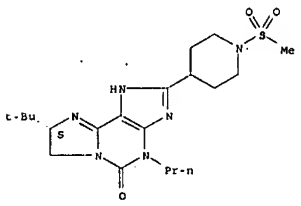
RN 348169-52-8 CAPLUS
CN 1H-Imidazo[2,1-i]purine-2-propanoic acid, 4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



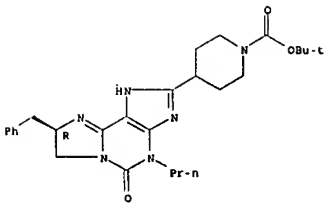
RN 348169-53-9 CAPLUS
CN Piperidine, 4-[(8S)-8-(1,1-dimethylethyl)-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 348169-54-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



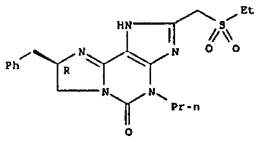
● HCl

RN 348169-59-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[(ethylsulfonyl)methyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348169-58-4
CMF C20 H25 N5 O3 S

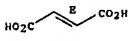
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

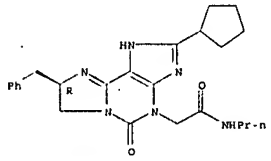
Double bond geometry as shown.



RN 348169-72-2 CAPLUS
CN 1H-Imidazo[2,1-i]purine-4(5H)-acetamide, 2-cyclopentyl-7,8-dihydro-5-oxo-8-

(phenylmethyl)-N-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

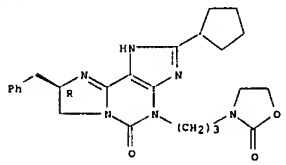


RN 348169-74-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-[3-(2-oxo-3-oxazolidinyl)propyl]-8-(phenylmethyl)-, (8R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348169-73-3
CMF C25 H30 N6 O3

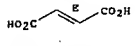
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

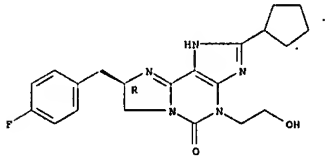
Double bond geometry as shown.



RN 348169-75-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-(2-hydroxyethyl)-, monohydrochloride, (8R)- (9CI)

(CA INDEX NAME)

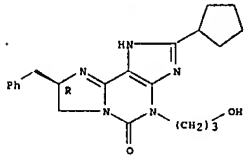
Absolute stereochemistry.



● HCl

RN 348169-77-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

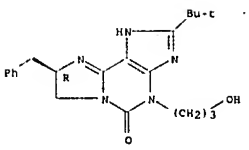
Absolute stereochemistry.



● HCl

RN 348169-78-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-(3-hydroxypropyl)-8-(phenylmethyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

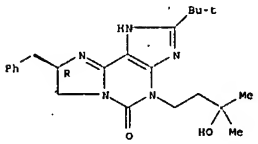
Absolute stereochemistry.



● HCl

RN 348169-81-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-(3-hydroxy-3-methylbutyl)-8-(phenylmethyl)-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

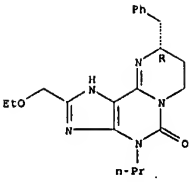
Absolute stereochemistry.



● HCl

RN 348169-82-4 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(ethoxymethyl)-4,7,8,9-tetrahydro-9-(phenylmethyl)-4-propyl-, (9R)- (9CI) (CA INDEX NAME)

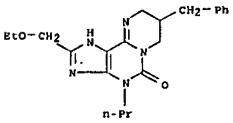
Absolute stereochemistry.



RN 348169-84-6 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(ethoxymethyl)-4,7,8,9-tetrahydro-8-(phenylmethyl)-4-propyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

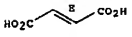
CRN 348169-83-5
CMF C21 H27 N5 O2



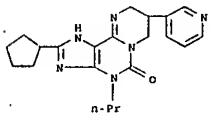
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 348169-85-7 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-cyclopentyl-4,7,8,9-tetrahydro-4-propyl-8-(3-pyridinyl)- (9CI) (CA INDEX NAME)

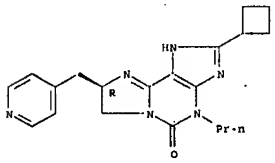


RN 349554-62-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl-8-(4-pyridinylmethyl)-, (8R)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 348362-73-2
CMF C20 H24 N6 O

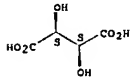
Absolute stereochemistry.



CM 2

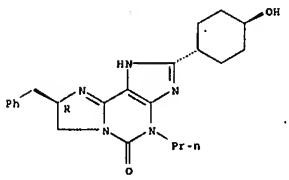
CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



RN 349585-60-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(trans-4-hydroxycyclohexyl)-8-(phenylmethyl)-4-propyl-, monohydrochloride, (8R)- (9CI) (CA INDEX NAME)

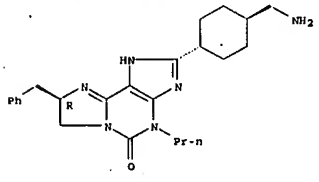
Absolute stereochemistry.



● HCl

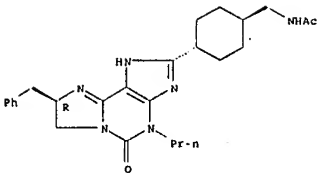
RN 349585-61-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-[trans-4-(aminomethyl)cyclohexyl]-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 349585-62-2 CAPLUS
CN Acetamide, N-[[trans-4-[(8R)-4,5,7,8-tetrahydro-5-oxo-8-(phenylmethyl)-4-propyl-1H-imidazo[2,1-i]purin-2-yl]cyclohexyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



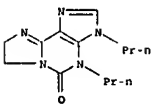
● HCl

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:100157 CAPLUS
DOCUMENT NUMBER: 134:275332
TITLE: Phosphodiesterase 4 isoenzyme inhibitory activity of 3-phenylxanthines and 4-phenyl[i]condensed-purines
AUTHOR(S): Suzuki, Hirokazu; Sawanishi, Hiroyuki; Yamamoto, Kenji; Yokogawa, Koichi; Miyamoto, Ken-Ichi
CORPORATE SOURCE: Department of Synthetic Chemistry, Faculty of Pharmaceutical Science, Hokuriku University, Kanazawa, 920-1181, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(2), 188-191
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB On the basis of our study on the structure-activity relationships of 1,3,7-alkylxanthines and condensed-purines on cAMP-phosphodiesterase 4 (PDE 4) isoenzyme inhibitor, we investigated the synthesis and the inhibitory activity of 3-phenylxanthine and 4-phenyl[i]condensed-purine derivs. Xanthines and condensed-purines with the Ph group exhibited potent and selective PDE 4 inhibitory activity.

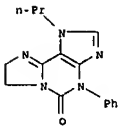
IT 195869-73-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(phosphodiesterase 4 isoenzyme inhibitory activity of 3-phenylxanthines and 4-phenyl[i]condensed-purines)

RN 195869-73-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)

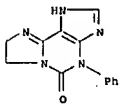


IT 333447-87-3P 333447-88-4P 333447-89-5P
333447-90-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(phosphodiesterase 4 isoenzyme inhibitory activity of 3-phenylxanthines and 4-phenyl[i]condensed-purines)

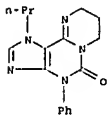
RN 333447-87-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-phenyl-1-propyl- (9CI) (CA INDEX NAME)



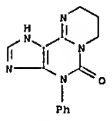
RN 333447-88-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-phenyl- (9CI) (CA INDEX NAME)



RN 333447-89-5 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-4-phenyl-1-propyl- (9CI) (CA INDEX NAME)

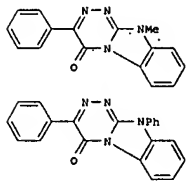


RN 333447-90-8 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:6279 CAPLUS
DOCUMENT NUMBER: 134:216806
TITLE: 3-Aryl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-ones: A New Class of Selective A1 Adenosine Receptor Antagonists
AUTHOR(S): Da Settimo, Federico; Primofiore, Giampaolo; Taliani, Sabrina; Marini, Anna Maria; La Motta, Concettina; Novellino, Ettore; Greco, Giovanni; Lavecchia, Antonio; Trincavelli, Letizia; Martini, Claudia
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Pisa, Pisa, 56126, Italy
SOURCE: Journal of Medicinal Chemistry (2001), 44(3), 316-327
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:216806
GI



I

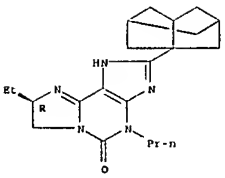
II

AB Radioligand binding assays using bovine cortical membrane prepns. and biochem. in vitro studies revealed that various 3-aryl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-one (ATBI) derivs., previously reported by the authors as ligands of the central benzodiazepine receptor (BzR) (Primofiore, G.; et al. J. Med. Chemical 2000, 43, 96-102), behaved as antagonists at the A1 adenosine receptor (A1AR). Alkylation of the nitrogen at position 10 of the triazinobenzimidazole nucleus conferred selectivity for the A1AR vs. the BzR. The most potent ligand of the ATBI series (10-methyl-3-phenyl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-one (I)) displayed a Ki value of 63 nM at the A1AR without binding appreciably to the adenosine A2A and A3 nor to the benzodiazepine receptor. Pharmacophore-based modeling studies in which I was compared against a set of well-established A1AR antagonists suggested that three hydrogen bonding sites (HB1 acceptor, HB2 and HB3 donors) and three lipophilic pockets (L1, L2, and L3) might be available to antagonists within the A1AR binding cleft. According to the proposed pharmacophore scheme, the lead compound I engages interactions with the HB2 site (via the N2 nitrogen) as well as with the L2 and L3 sites (through the pendant and the fused benzene rings). The results of these studies prompted the replacement of the Me with more lipophilic groups at the 10-position (to fill the putative L1 lipophilic pocket) as a strategy to improve A1AR affinity. Among the new compds. synthesized and tested, the 3,10-diphenyl[1,2,4]triazino[4,3-a]benzimidazol-4(10H)-one (II) was characterized by a Ki value of 16 nM which represents a 3.5-fold gain of A1AR affinity compared with the lead I. A rhodopsin-based model of the bovine adenosine A1AR was built to highlight the binding mode of II and two well-known A1AR antagonists and to guide future lead optimization projects. In the authors docking simulations, II receives a hydrogen bond (via the N1 nitrogen) from the side chain of Asn247 (corresponding to the HB1 and HB2 sites) and fills the L1, L2, and L3 lipophilic pockets with the 10-Ph, 3-Ph, and fused benzene rings, resp.

IT 143394-68-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(3-aryl[1,2,4]triazino[a]benzimidazol-4(10H)-ones as new class of selective A1 adenosine receptor antagonists)

RN 143394-68-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

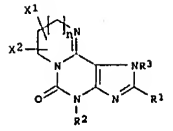


REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:34744 CAPLUS
DOCUMENT NUMBER: 132:88180
TITLE: Condensed purine derivatives as remedies for diabetes
INVENTOR(S): Shimada, Junichi; Ohta, Yoshihisa; Takasaki, Kotaro; Suda, Miho; Kusaka, Hideaki; Yano, Hiroshi; Nakanishi, Satoshi; Matsuda, Yuzuru
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001388	A1	20000113	WO 1999-JP3583	19990702
W: AU, BG, BR, CA, CN, CZ, HU, ID, IL, IN, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2336412	A1	20000113	CA 1999-2336412	19990702
AU 9943968	A	20000124	AU 1999-43968	19990702
EP 1092435	A1	20010418	EP 1999-926903	19990702
EP 1092435	B1	20070404		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 358484	T	20070415	AT 1999-926903	19990702
US 6489331	B1	20021203	US 2001-719570	20010409
PRIORITY APPLN. INFO.:			JP 1998-187705 A	19980702
			WO 1999-JP3583 W	19990702

GI



AB Remedies for diabetes which contain condensed purine derivs. as the active ingredient compds. represented by general formula (I) or physiol. acceptable salts thereof wherein R1 represents hydrogen, lower alkyl, optionally substituted aryl or optionally substituted heteroaryl; R2 represents hydrogen, lower alkyl, optionally substituted aralkyl, optionally substituted aryl or optionally substituted heteroaryl; R3 represents hydrogen, lower alkyl or optionally substituted aralkyl; X1 and X2 independently represent each hydrogen, lower alkyl, optionally substituted aralkyl or optionally substituted aryl; and n is an integer from 0 to 3. I can promote insulin secretion. Formulation examples of I were given.

IT 143394-68-7P 143394-70-1P 254426-34-1P
254426-35-2P 254426-36-3P 254426-37-4P
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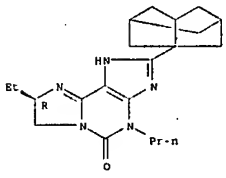
254427-16-2P 254427-17-3P 254427-18-4P
254427-19-5P 254427-20-8P 254427-21-9P
254427-22-0P 254427-23-1P 254427-24-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(condensed purine derivs. as remedies for diabetes)

RN 143394-68-7 CAPLUS

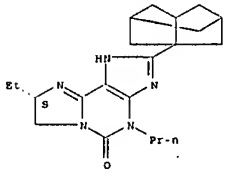
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



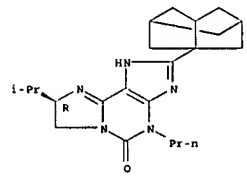
RN 143394-70-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



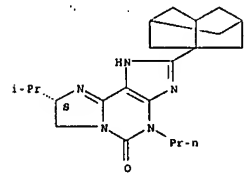
RN 254426-34-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

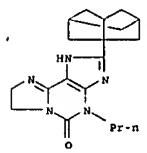


RN 254426-35-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

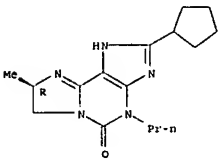


RN 254426-36-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



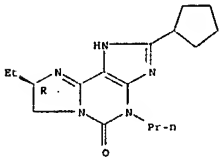
RN 254426-37-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-methyl-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



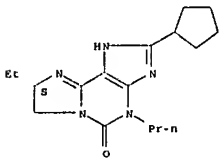
RN 254426-38-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



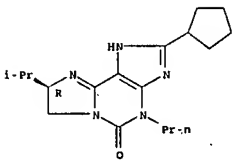
RN 254426-39-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



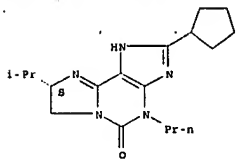
RN 254426-40-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



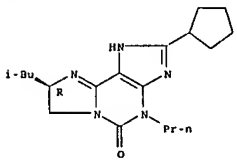
RN 254426-41-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-42-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(2-methylpropyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

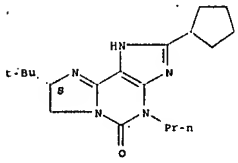
Absolute stereochemistry.



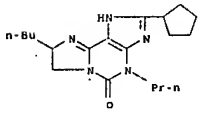
RN 254426-43-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-(1,1-dimethylethyl)-1,4,7,8-

tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

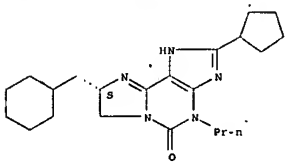


RN 254426-44-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-butyl-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



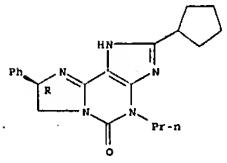
RN 254426-45-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(cyclohexylmethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



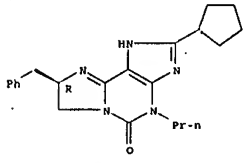
RN 254426-46-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-phenyl-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



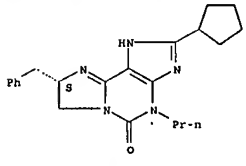
RN 254426-47-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

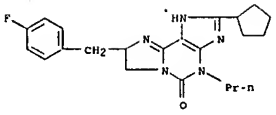


RN 254426-48-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254426-49-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



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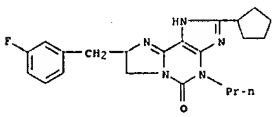
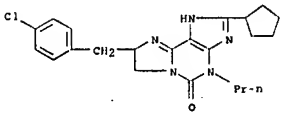
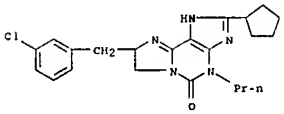
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



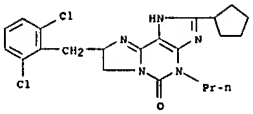
RN 254426-51-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



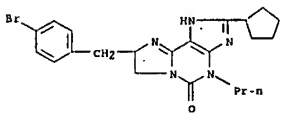
RN 254426-52-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(3-chlorophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



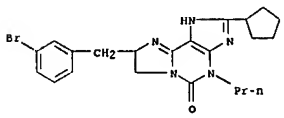
RN 254426-53-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,6-dichlorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



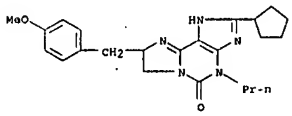
RN 254426-54-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-bromophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



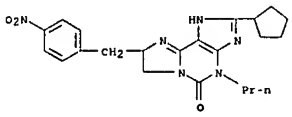
RN 254426-55-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(3-bromophenyl)methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-56-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-methoxyphenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)

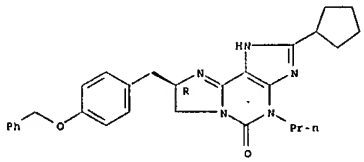


RN 254426-57-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-nitrophenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



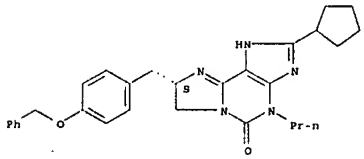
RN 254426-58-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[4-(phenylmethoxy)phenyl]methyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



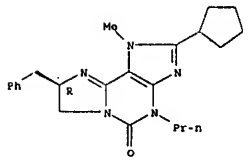
RN 254426-59-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[[4-(phenylmethoxy)phenyl]methyl]-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

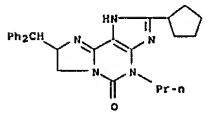


RN 254426-60-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1-methyl-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

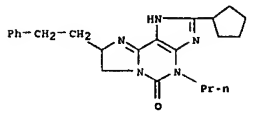
Absolute stereochemistry.



RN 254426-61-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-(diphenylmethyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

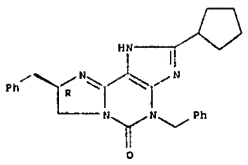


RN 254426-62-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(2-phenylethyl)-4-propyl- (9CI) (CA INDEX NAME)



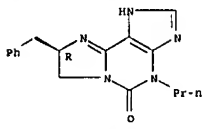
RN 254426-63-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4,8-bis(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



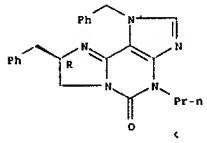
RN 254426-64-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



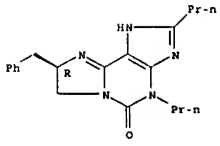
RN 254426-65-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,8-bis(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



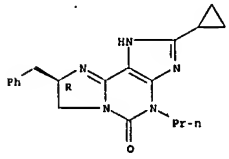
RN 254426-66-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-2,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



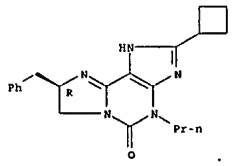
RN 254426-67-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopropyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



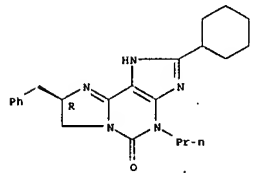
RN 254426-68-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



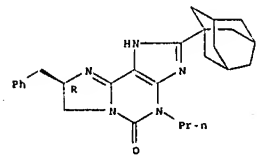
RN 254426-69-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



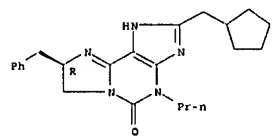
RN 254426-70-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-tricyclo[3.3.1.13,7]dec-1-yl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



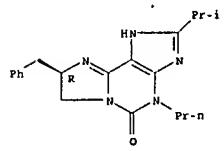
RN 254426-71-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(cyclopentylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



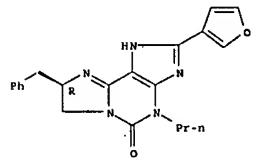
RN 254426-72-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(1-methylethyl)-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



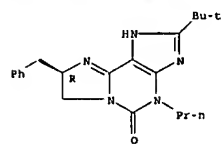
RN 254426-73-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(3-furanyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



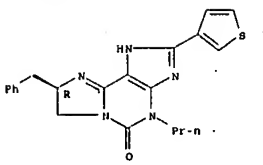
RN 254426-74-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

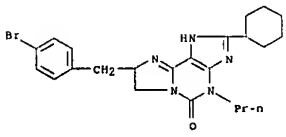


RN 254426-75-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(3-thienyl)-, (8R)- (9CI) (CA INDEX NAME)

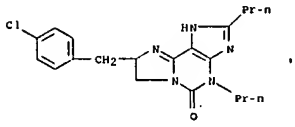
Absolute stereochemistry.



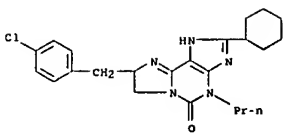
RN 254426-76-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-bromophenyl)methyl]-2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



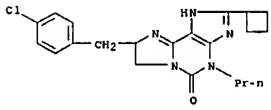
RN 254426-77-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-1,4,7,8-tetrahydro-2,4-dipropyl- (9CI) (CA INDEX NAME)



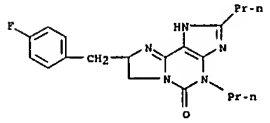
RN 254426-78-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-2-cyclohexyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



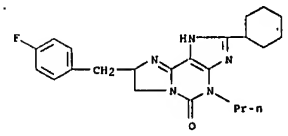
RN 254426-79-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-chlorophenyl)methyl]-2-cyclobutyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-80-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-2,4-dipropyl- (9CI) (CA INDEX NAME)

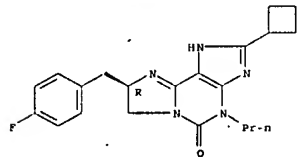


RN 254426-81-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclohexyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

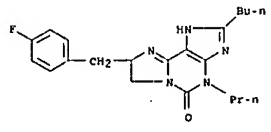


RN 254426-82-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

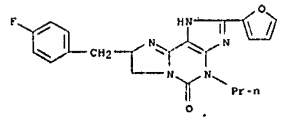
Absolute stereochemistry.



RN 254426-83-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-butyl-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

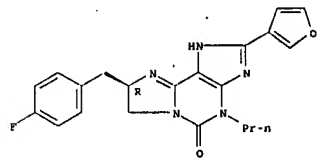


RN 254426-84-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-fluorophenyl)methyl]-2-(2-furanyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



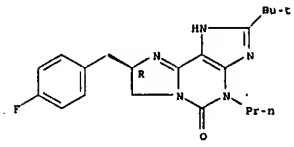
RN 254426-85-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(4-fluorophenyl)methyl]-2-(3-furanyl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



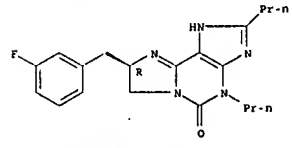
RN 254426-86-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,1-dimethylethyl)-8-[(4-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



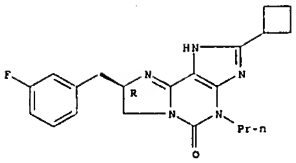
RN 254426-87-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-2,4-dipropyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

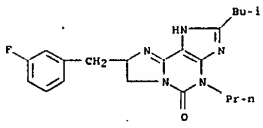


RN 254426-88-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclobutyl-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

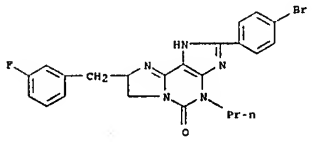
Absolute stereochemistry.



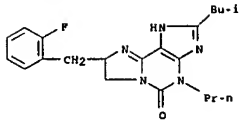
RN 254426-89-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-2-(2-methylpropyl)-4-propyl- (9CI) (CA INDEX NAME)



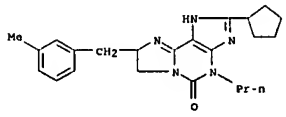
RN 254426-90-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-[(3-fluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



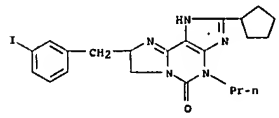
RN 254426-91-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[(2-fluorophenyl)methyl]-1,4,7,8-tetrahydro-2-(2-methylpropyl)-4-propyl- (9CI) (CA INDEX NAME)



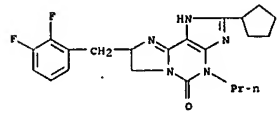
RN 254426-92-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(3-methylphenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



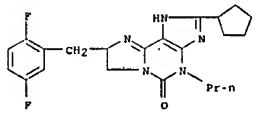
RN 254426-93-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(3-iodophenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



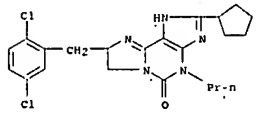
RN 254426-94-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,3-difluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254426-95-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,5-difluorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

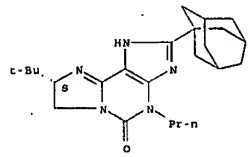


RN 254426-96-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[(2,5-dichlorophenyl)methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



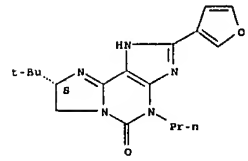
RN 254426-97-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-1,4,7,8-tetrahydro-4-propyl-2-tricyclo[3.3.1.13,7]dec-1-yl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



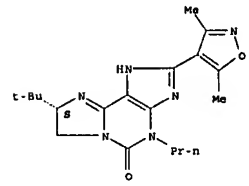
RN 254426-98-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-2-(3-furanyl)-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



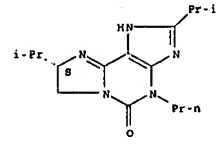
RN 254426-99-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-(1,1-dimethylethyl)-2-(3,5-dimethyl-4-isoxazolyl)-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

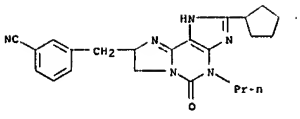


RN 254427-00-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2,8-bis(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

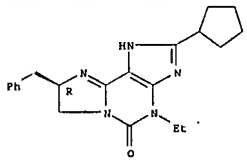


RN 254427-01-5 CAPLUS
CN Benzonitrile, 3-[(2-cyclopentyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)



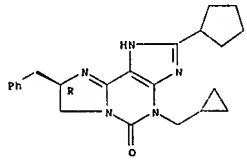
RN 254427-02-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-ethyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



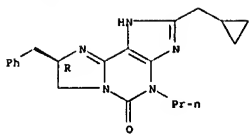
RN 254427-03-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-4-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

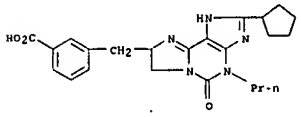


RN 254427-04-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(cyclopropylmethyl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

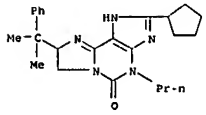
Absolute stereochemistry.



RN 254427-05-9 CAPLUS
CN Benzoic acid, 3-[(2-cyclopentyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-8-yl)methyl]- (9CI) (CA INDEX NAME)

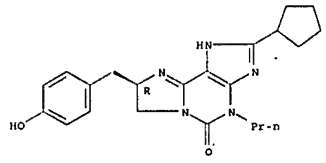


RN 254427-06-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-methyl-1-phenylethyl)-4-propyl- (9CI) (CA INDEX NAME)



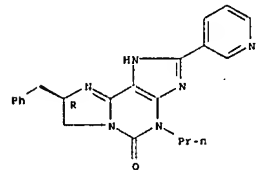
RN 254427-07-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(4-hydroxyphenyl)methyl]-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



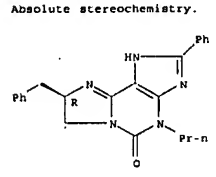
RN 254427-08-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(3-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



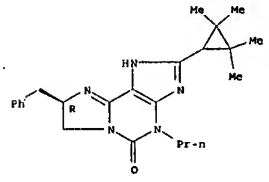
RN 254427-09-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-phenyl-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



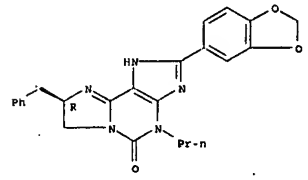
RN 254427-10-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(2,2,3,3-tetramethylcyclopropyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



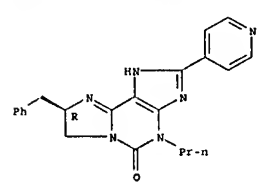
RN 254427-11-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(1,3-benzodioxol-5-yl)-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254427-12-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(4-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

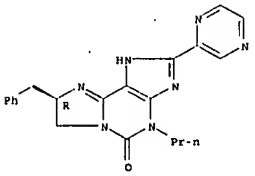
Absolute stereochemistry.



RN 254427-13-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-

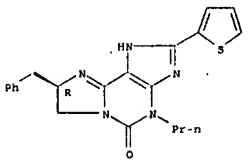
2-pyrazinyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



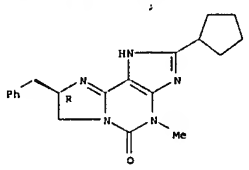
RN 254427-14-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-2-(2-thienyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



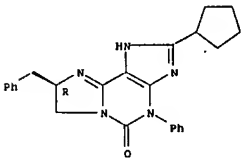
RN 254427-15-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-methyl-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

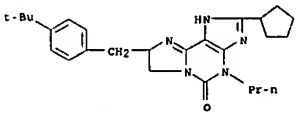


RN 254427-16-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-phenyl-8-(phenylmethyl)-, (8R)- (9CI) (CA INDEX NAME)

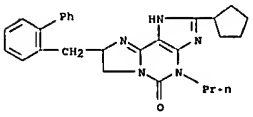
Absolute stereochemistry.



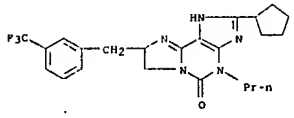
RN 254427-17-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[[4-(1,1-dimethylethyl)phenyl]methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



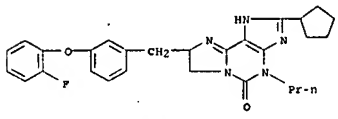
RN 254427-18-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-([1,1'-biphenyl]-2-ylmethyl)-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



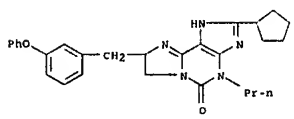
RN 254427-19-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



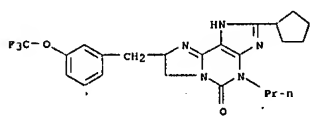
RN 254427-20-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-[[3-(2-fluorophenoxy)phenyl]methyl]-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



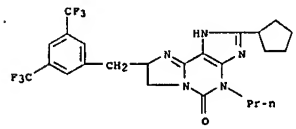
RN 254427-21-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-[(3-phenoxyphenyl)methyl]-4-propyl- (9CI) (CA INDEX NAME)



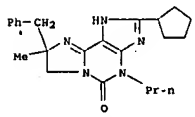
RN 254427-22-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl-8-[[3-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 254427-23-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 254427-24-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-methyl-8-(phenylmethyl)-4-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:9714 CAPLUS
DOCUMENT NUMBER: 130:71627
TITLE: Compositions and methods for preventing restenosis following revascularization procedures
INVENTOR(S): Martin, Pauline L.; Mcafee, Donald A.
PATENT ASSIGNEE(S): Discovery Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857651	A1	19981223	WO 1998-US12717	19980618
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2295195	A1	19981223	CA 1998-2295195	19980618
AU 9880740	A	19990104	AU 1998-80740	19980618
AU 740770	B2	20011115		
EP 1014995	A1	20000705	EP 1998-929099	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002505687	T	20020219	JP 1999-504810	19980618
US 6372723	B1	20020416	US 1999-456432	19991208

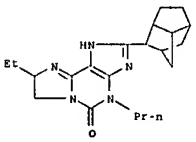
US 2001009907	A1	20010726	US 2001-783032		20010215
US 6339072	B2	20020115			
PRIORITY APPLN. INFO.:			US 1997-50031P	P	19970618
			WO 1998-US12717	W	19980618
			US 1999-456422	A3	19991208

AB In the present invention, a method is provided which reduces or prevents restenosis following revascularization procedures. It has now been found that selective stimulation of adenosine A2A receptors can reduce or prevent such restenosis. This method may be achieved either by: (a) the administration of selective adenosine A2A receptor agonists, (b) the administration of a selective adenosine A1 antagonist in combination with either a selective adenosine A2A receptor agonist or a non-selective adenosine agonist, or (c) the administration of a selective adenosine A1 antagonist in order to block adenosine A1 receptor activation by endogenously-released adenosine. The present invention is also directed to an improved surgical procedure that relies upon selective stimulation of adenosine A2A receptors. The degree of arterial stenosis in rabbits after angioplasty treated with the adenosine A2A selective agonist 2-cyclohexylmethylenehydrazinoadenosine was significantly less than arterial stenosis in rabbits treated with vehicle.

IT 218284-53-8
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. for preventing restenosis following revascularization procedures)

RN 218284-53-8 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-(octahydro-1,5-methanopentalen-4-yl)-4-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN

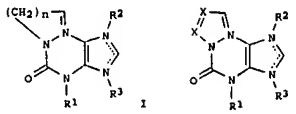
ACCESSION NUMBER:	1998:389162 CAPLUS
DOCUMENT NUMBER:	129:104221
TITLE:	Purines and their pharmaceutical compositions
INVENTOR(S):	Miyamoto, Kenichi; Kasugai, Shohei; Waki, Yoshihiro; Sawanishi, Keiji
PATENT ASSIGNEE(S):	Mediscience Planning K. K., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 20 pp.
	CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 10158267	A	19980616	JP 1996-319478	19961129
PRIORITY APPLN. INFO.:			JP 1996-319478	19961129
OTHER SOURCE(S):	MARPAT 129:104221			

GI



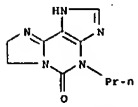
I II

AB Purines I or II [R1-R3 = H, lower alkyloxy, (acyl-substituted) C1-6 alkyl; n = 2-4; X:X = CH:CH, N:N] or their pharmacol. acceptable salts are useful for pharmaceutical compns. such as bronchodilators and therapeutic agents for bone diseases. 3,6-Dipropyl-4,5-dihydro-3H-imidazo[1,2-i]purin-5-one (preparation given) inhibited phosphodiesterase type IV with IC50 of 1.4 μM.

IT 135839-22-4P 195869-88-6P 195870-01-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of purines for bronchodilators and therapeutic agents for bone diseases)

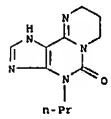
RN 135839-22-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



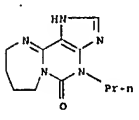
RN 195869-88-6 CAPLUS

CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 195870-01-0 CAPLUS

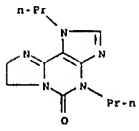
CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 1,4,7,8,9,10-hexahydro-4-propyl- (9CI) (CA INDEX NAME)



IT 195869-60-4P 195869-73-9P 195869-81-9P
195869-95-5P 195870-05-4P 209965-37-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purines for bronchodilators and therapeutic agents for bone diseases)

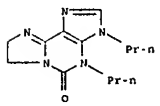
RN 195869-60-4 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4-dipropyl- (9CI) (CA INDEX NAME)



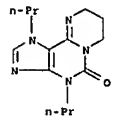
RN 195869-73-9 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



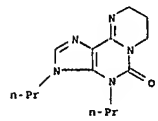
RN 195869-81-9 CAPLUS

CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-1,4-dipropyl- (9CI) (CA INDEX NAME)



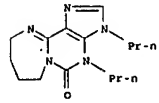
RN 195869-95-5 CAPLUS

CN Pyrimido[2,1-i]purin-5(3H)-one, 4,7,8,9-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



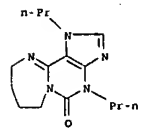
RN 195870-05-4 CAPLUS

CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 3,4,7,8,9,10-hexahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



RN 209965-37-7 CAPLUS

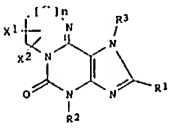
CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 1,4,7,8,9,10-hexahydro-1,4-dipropyl- (9CI) (CA INDEX NAME)



L4 ANSWER 37 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:239226 CAPLUS
DOCUMENT NUMBER: 128:294787
TITLE: Preparation of fused purine derivatives as adenosine A3 receptor antagonists
INVENTOR(S): Tsumuki, Hiroshi; Saki, Mayumi; Nonaka, Hiromi; Ichimura, Michio; Shimada, Junichi; Suzuki, Fumio; Ichikawa, Shunji; Kosaka, Nobuo
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815555	A1	19980416	WO 1997-JP3586	19971007
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2239881	A1	19980416	CA 1997-2239881	19971007
AU 9744712	A	19980505	AU 1997-44712	19971007
EP 864318	A1	19981216	EP 1997-943146	19971007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6306847	B1	20011023	US 1998-90936	19980605
PRIORITY APPLN. INFO.:			JP 1996-265818 A	19961007
			WO 1997-JP3586 W	19971007

OTHER SOURCE(S): MARPAT 128:294787
GI



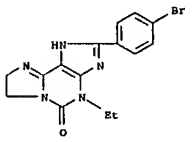
I

AB The title compds. (I; R1 = optionally substituted aryl or aromatic heterocyclic group; R2 = H, lower alkyl, alicyclic alkyl, optionally substituted aralkyl, aryl, or an aromatic heterocyclic group; R3 = H, lower alkyl or optionally substituted aralkyl; X1, X2 = H, lower alkyl, optionally substituted aralkyl, or aryl; n = 0-3) are prepared. I show an adenosine A3 receptor antagonism and have antiasthmatic, bronchodilating and itch-relieving effects. Thus 8-(p-bromophenyl)-3-ethyl-6-methylthio-3-dihydro-2H-purin-2-one (preparation given) was reacted with ethanolamine and then treated with SOCl2 to give 87% I (R1 = p-bromophenyl, R2 = Et, R3 = X1 = X2 = H, n = 0), which at 10-8 M showed 88% inhibitory activity against adenosine A3 receptor. A formulation containing I are also prepared

IT 206129-80-8P 206129-82-0P 206129-84-2P
206129-86-4P 206129-88-6P 206129-89-7P

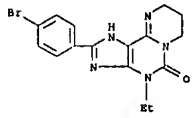
206129-91-1P 206129-93-3P 206129-95-5P
206129-97-7P 206129-99-9P 206130-01-0P
206130-03-2P 206130-05-4P 206130-07-6P
206130-09-8P 206130-11-2P 206130-13-4P
206130-15-6P 206130-17-8P 206130-19-0P
206130-21-4P 206130-23-6P 206130-25-8P
206130-26-9P 206130-27-0P 206130-28-1P
206130-29-2P 206130-30-5P 206130-31-6P
206130-32-7P 206130-33-8P 206130-34-9P
206130-35-0P 206130-36-1P 206130-37-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused purine derivs. as adenosine A3 receptor antagonists)

RN 206129-80-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-4-ethyl-1,4,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

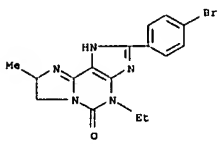


● HCl

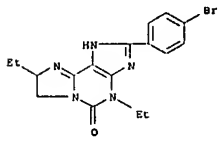
RN 206129-82-0 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(4-bromophenyl)-4-ethyl-4,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



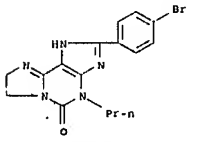
RN 206129-84-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-4-ethyl-1,4,7,8-tetrahydro-8-methyl- (9CI) (CA INDEX NAME)



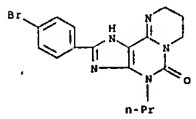
RN 206129-86-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-4,8-diethyl-1,4,7,8-tetrahydro- (9CI) (CA INDEX NAME)



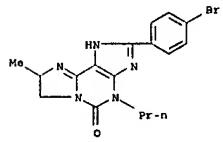
RN 206129-88-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-3,4,7,8-tetrahydro-4-propyl- (CA INDEX NAME)



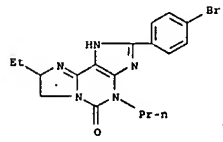
RN 206129-89-7 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(4-bromophenyl)-4,7,8,9-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



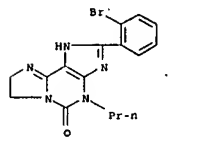
RN 206129-91-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-methyl-4-propyl- (9CI) (CA INDEX NAME)



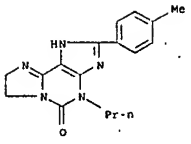
RN 206129-93-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



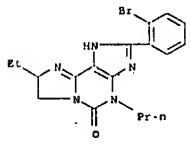
RN 206129-95-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(2-bromophenyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



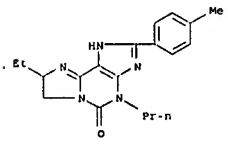
RN 206129-97-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-2-(4-methylphenyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 206129-99-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(2-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

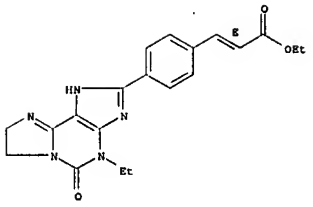


RN 206130-01-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-(4-methylphenyl)-4-propyl- (9CI) (CA INDEX NAME)



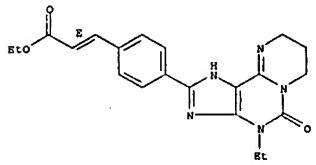
RN 206130-03-2 CAPLUS
CN 2-Propenoic acid, 3-[4-(4-ethyl-4,5,7,8-tetrahydro-5-oxo-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



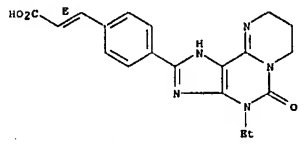
RN 206130-05-4 CAPLUS
CN 2-Propenoic acid, 3-[4-(4-ethyl-1,4,5,7,8,9-hexahydro-5-oxopyrimido[2,1-i]purin-2-yl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



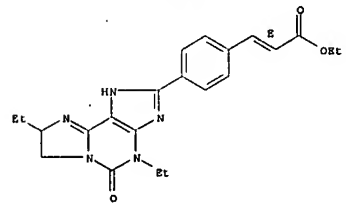
RN 206130-07-6 CAPLUS
CN 2-Propenoic acid, 3-[4-(4-ethyl-1,4,5,7,8,9-hexahydro-5-oxopyrimido[2,1-i]purin-2-yl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



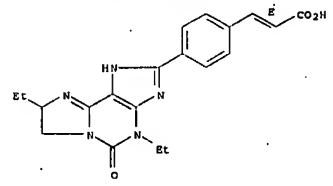
RN 206130-09-8 CAPLUS
CN 2-Propenoic acid, 3-[4-(4,8-diethyl-4,5,7,8-tetrahydro-5-oxo-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



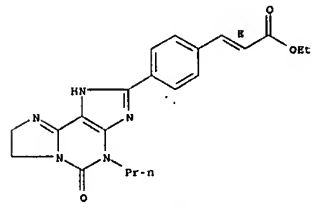
RN 206130-11-2 CAPLUS
CN 2-Propenoic acid, 3-[4-(4,8-diethyl-4,5,7,8-tetrahydro-5-oxo-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



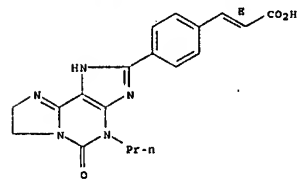
RN 206130-13-4 CAPLUS
CN 2-Propenoic acid, 3-[4-(4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



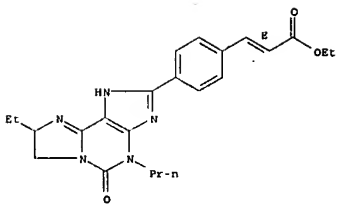
RN 206130-15-6 CAPLUS
CN 2-Propenoic acid, 3-[4-(4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



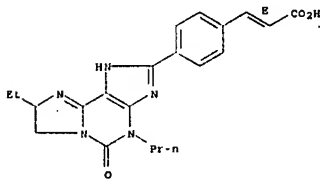
RN 206130-17-8 CAPLUS
CN 2-Propenoic acid, 3-[4-(8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

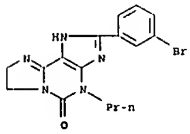


RN 206130-19-0 CAPLUS
CN 2-Propenoic acid, 3-[4-(8-ethyl-4,5,7,8-tetrahydro-5-oxo-4-propyl-1H-imidazo[2,1-i]purin-2-yl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

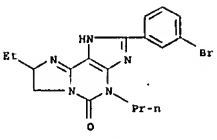
Double bond geometry as shown.



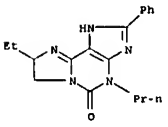
RN 206130-21-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(3-bromophenyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



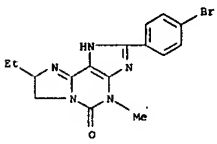
RN 206130-23-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(3-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



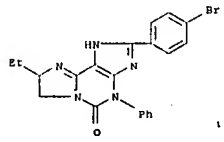
RN 206130-25-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-phenyl-4-propyl- (9CI) (CA INDEX NAME)



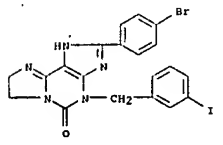
RN 206130-26-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-methyl- (9CI) (CA INDEX NAME)



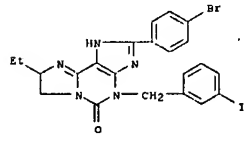
RN 206130-27-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-phenyl- (9CI) (CA INDEX NAME)



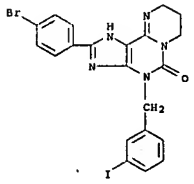
RN 206130-28-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-4-[(3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 206130-29-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-8-ethyl-1,4,7,8-tetrahydro-4-[(3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)

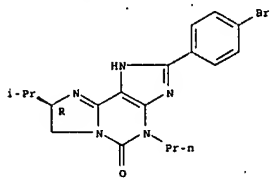


RN 206130-30-5 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(4-bromophenyl)-4,7,8,9-tetrahydro-4-[(3-iodophenyl)methyl]- (9CI) (CA INDEX NAME)



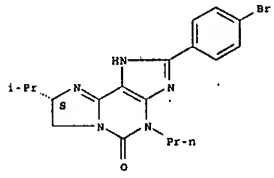
RN 206130-31-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 206130-32-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-(1-methylethyl)-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

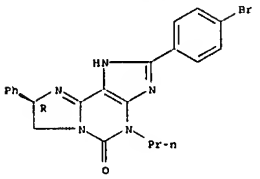
Absolute stereochemistry.



RN 206130-33-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-

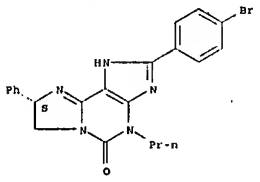
phenyl-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

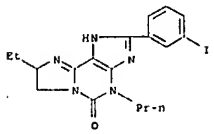


RN 206130-34-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-8-phenyl-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

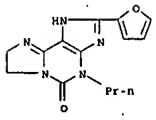


RN 206130-35-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-2-(3-iodophenyl)-4-propyl- (9CI) (CA INDEX NAME)

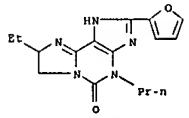


RN 206130-36-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(2-furanyl)-1,4,7,8-tetrahydro-4-propyl-

(9CI) (CA INDEX NAME)

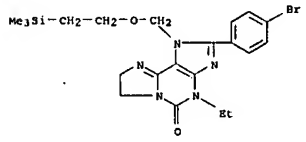


RN 206130-37-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(2-furanyl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

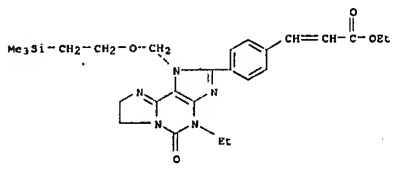


IT 206130-58-7P 206130-59-8P 206130-61-2P
206130-62-3P 206130-63-4P 206130-65-6P
206130-66-7P 206130-68-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused purine derivs. as adenosine A3 receptor antagonists)

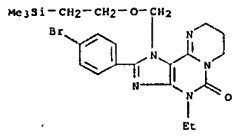
RN 206130-58-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-4-ethyl-1,4,7,8-tetrahydro-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



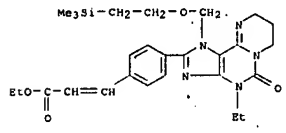
RN 206130-59-8 CAPLUS
CN 2-Propenoic acid, 3-[4-[4-ethyl-4,5,7,8-tetrahydro-5-oxo-1-[[2-(trimethylsilyl)ethoxy]methyl]-1H-imidazo[2,1-i]purin-2-yl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



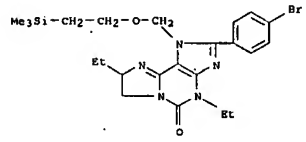
RN 206130-61-2 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-(4-bromophenyl)-4-ethyl-4,7,8,9-tetrahydro-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



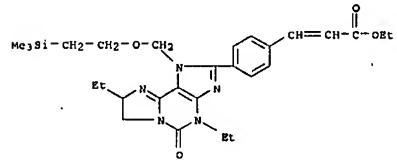
RN 206130-62-3 CAPLUS
CN 2-Propenoic acid, 3-[4-[4-ethyl-1,4,5,7,8,9-hexahydro-5-oxo-1-[[2-(trimethylsilyl)ethoxy]methyl]pyrimido[2,1-i]purin-2-yl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



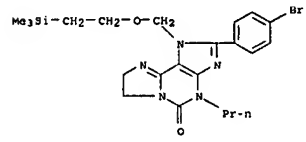
RN 206130-63-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-4,8-diethyl-1,4,7,8-tetrahydro-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



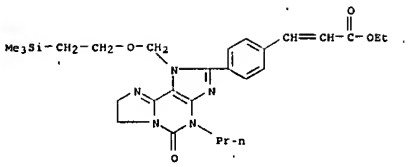
RN 206130-65-6 CAPLUS
CN 2-Propenoic acid, 3-[4-[4,8-diethyl-4,5,7,8-tetrahydro-5-oxo-1-[[2-(trimethylsilyl)ethoxy]methyl]-1H-imidazo[2,1-i]purin-2-yl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 206130-66-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(4-bromophenyl)-1,4,7,8-tetrahydro-4-propyl-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)



RN 206130-68-9 CAPLUS
CN 2-Propenoic acid, 3-[4-[4,5,7,8-tetrahydro-5-oxo-4-propyl-1-[[2-(trimethylsilyl)ethoxy]methyl]-1H-imidazo[2,1-i]purin-2-yl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



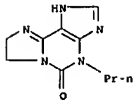
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:603433 CAPLUS
DOCUMENT NUMBER: 127:242812
TITLE: Selective Inhibitors of Cyclic AMP-Specific Phosphodiesterase: Heterocycle-Condensed Purines
AUTHOR(S): Sawanishi, Hiroyuki; Suzuki, Hirokazu; Yamamoto, Shinya; Waki, Yoshihiro; Kasugai, Shohei; Ohya, Keiichi; Suzuki, Nagao; Miyamoto, Ken-ichi; Takagi, Kenzo
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Hokuriku University, Kanazawa, 920-11, Japan
SOURCE: Journal of Medicinal Chemistry (1997), 40(20), 3248-3253
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

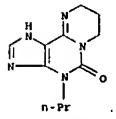
AB To reverse the adverse reactions of alkylxanthines and to develop novel inhibitors of cAMP-specific phosphodiesterase (PDE IV), a series of heterocycle-condensed purines were designed and synthesized. Some of these new compds. had similar or more potent and selective inhibitory activity against PDE IV than known PDE IV inhibitors. The tracheal-relaxant activity of these compds. was closely correlated with their PDE IV-inhibitory activity. Moreover, these purine analogs did not have any pos.-chronotropic action or adenosine-antagonistic action on isolated heart prepns., which are the particular adverse reactions of alkylxanthines. Among them, 3,4-dipropyl-4,5,7,8-tetrahydro-3H-imidazo[1,2-i]purin-5-one, which was the most selective and potent PDE IV inhibitor, did not cause emesis in Suncus murinus at a dosage range of 10-100 mg/kg (p.o.), while its imidazole analog and known PDE IV inhibitors such as rolipram and denbufylline caused emesis even at 10 or 30 mg/kg.

IT 135839-22-4P 195869-88-6P 195870-01-0P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure of heterocycle-condensed purines as selective inhibitors of cAMP-specific phosphodiesterase)

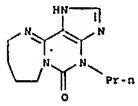
RN 135839-22-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 195869-88-6 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

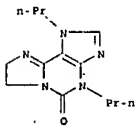


RN 195870-01-0 CAPLUS
CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 1,4,7,8,9,10-hexahydro-4-propyl- (9CI) (CA INDEX NAME)

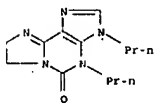


IT 195869-60-4P 195869-73-9P 195869-81-9P
195869-95-5P 195870-05-4P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure of heterocycle-condensed purines as selective inhibitors of cAMP-specific phosphodiesterase)

RN 195869-60-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1,4-dipropyl- (9CI) (CA INDEX NAME)

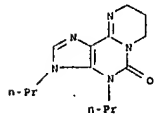


RN 195869-73-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 3,4,7,8-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



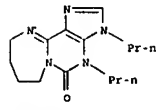
RN 195869-81-9 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-1,4-dipropyl- (9CI) (CA INDEX NAME)

RN 195869-95-5 CAPLUS
CN Pyrimido[2,1-i]purin-5(3H)-one, 4,7,8,9-tetrahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



RN 195870-05-4 CAPLUS

CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 3,4,7,8,9,10-hexahydro-3,4-dipropyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

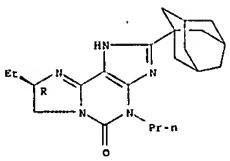
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:432308 CAPLUS
DOCUMENT NUMBER: 125:157747
TITLE: Theoretical structure-activity studies of adenosine A1 ligands: requirements for receptor affinity
AUTHOR(S): Dooley, Michael J.; Kono, Motomichi; Suzuki, Fumio
CORPORATE SOURCE: Pharmaceutical Res. Lab., Kyowa Hakko Kogyo Co. Ltd., Shizuoka-ken, 411, Japan
SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(6), 923-934
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The three-dimensional (3-D) requirements for A1 adenosine receptor affinity have been studied based on hydrogen-bonding functionality correlation between a group of twelve A1 adenosine receptor ligands representing ten structurally different classes of compds. Electrostatic potential similarity indexes and shape similarity indexes strongly support the proposed receptor-bound orientations of the ligands. We conclude, in areas common to both agonist and antagonist binding at the A1 receptor, that the ligands are recognized by a similar physicochem. 3-D environment. The finding of similar 3-D requirements for agonists and antagonists suggests a fairly static receptor structure in the region common to agonist and antagonist binding. The ribose moiety is remote from antagonist binding site. Such a 3-D environment rationalizes the binding of a number of potent novel antagonists including KW-3902, not previously reported in modeling studies.

IT 180145-17-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(theor. structure-activity studies of adenosine A1 ligands: requirements for receptor affinity)

RN 180145-17-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-4-propyl-2-tricyclo[3.3.1.13,7]dec-1-yl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



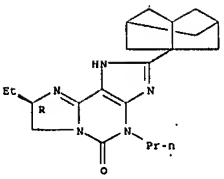
L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:589890 CAPLUS
DOCUMENT NUMBER: 123:74621
TITLE: Effects of the adenosine A1-receptor antagonist on defecation, small intestinal propulsion and gastric emptying in rats
AUTHOR(S): Suzuki, Mayumi; Tomaru, Atsushi; Kishibayashi, Nobuyuki; Karasawa, Akira
CORPORATE SOURCE: Pharmaceut. Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Shizuoka, 411, Japan
SOURCE: Japanese Journal of Pharmacology (1995), 68(1), 110-23
CODEN: JJPAAZ; ISSN: 0021-5198
PUBLISHER: Japanese Pharmacological Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We examined the effects of 1,3-dipropyl-8-cyclopentylxanthine (DPCPX) and (R)-7,8-dihydro-8-ethyl-2-(3-noradamantyl)-4-propyl-1H-imidazo[2,1-i]purin-5(4H)-one (KF20274), selective adenosine A1-receptor antagonists, on the gastrointestinal propulsion in rats, as compared with those of the laxative bisacodyl. DPCPX and KF20274 (p.o.) dose-dependently increased the fecal pellet output, whereas these drugs at the dose that increased defecation did not affect small intestinal propulsion or gastric emptying. Bisacodyl increased defecation and slowed gastric emptying without any influence on small intestinal propulsion. Bisacodyl, but not DPCPX or KF20274, induced diarrhea at the dose inducing defecation. The present results suggest that the adenosine A1-receptor antagonist selectively enhances the lower gastrointestinal propulsion, resulting in defecation without diarrhea.

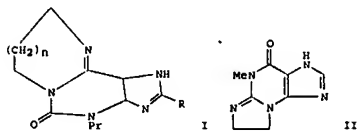
IT 143394-68-7, KF 20274
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of adenosine A1-receptor antagonist on defecation, small intestinal propulsion and gastric emptying in rats)

RN 143394-68-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



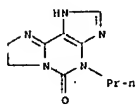
L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:77080 CAPLUS
DOCUMENT NUMBER: 120:77080
TITLE: Convenient synthesis of tricyclic purine derivatives
AUTHOR(S): Shimada, Junichi; Kuroda, Takeshi; Suzuki, Fumio
CORPORATE SOURCE: Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Shizuoka, 411, Japan
SOURCE: Journal of Heterocyclic Chemistry (1993), 30(1), 241-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:77080
GI



AB A convenient synthesis of the title compds. I (R = H, cyclopentyl; n = 0-2) and II is described. The syntheses of I and II were accomplished by treatment of 6-methylthio-7H-purin-2(3H)-ones or 2-benzylthio-1-methyl-9-triphenylmethyl-9H-purin-6(1H)-one (III) with the appropriate amino alc. followed by dehydrative cyclization using SOCl2. III was efficiently prepared by benzylation of 6-hydroxy-2-mercaptopurine followed by tritylation and N-methylation.

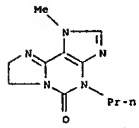
IT 135839-22-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)

RN 135839-22-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

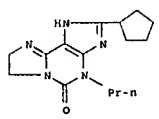


IT 135839-21-3P 135839-26-8P 152036-10-7P
152036-11-8P 152036-12-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

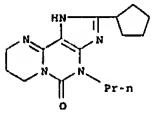
RN 135839-21-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-4-propyl- (9CI) (CA INDEX NAME)



RN 135839-26-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)

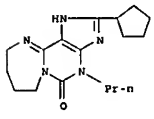


RN 152036-10-7 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-cyclopentyl-4,7,8,9-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



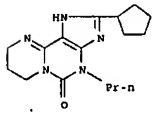
● HCl

RN 152036-11-8 CAPLUS
CN 5H-[1,3]Diazepino[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8,9,10-hexahydro-4-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



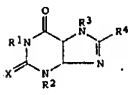
●2 HCl

RN 152036-12-9 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 2-cyclopentyl-4,7,8,9-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



L4 ANSWER 42 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:551642 CAPLUS
DOCUMENT NUMBER: 119:151642
TITLE: Adenosine A1 antagonists. 3. Structure-activity relationships on amelioration against scopolamine- or N6-[(R)-phenylisopropyl]adenosine-induced cognitive disturbance
AUTHOR(S): Suzuki, Fumio; Shimada, Junichi; Shiozaki, Shizuo;

Ichikawa, Shunji; Ishii, Akio; Nakamura, Joji; Nonaka, Hiromi; Kobayashi, Hiroyuki; Fuse, Eiichi
CORPORATE SOURCE: Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Nagaizumi, 411, Japan
SOURCE: Journal of Medicinal Chemistry (1993), 36(17), 2508-18
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OI



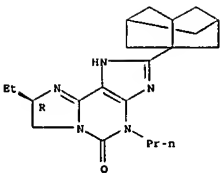
I

AB The effects of a variety of adenosine A1 and A2 antagonists (I, R1 = e.g., Me or Pr; R2 = Me, Pr, or iso-Bu; R3 = H or Me; R4 = H, cyclopentyl, noradamantyl, or adamantyl; X = O or S) on N6-[(R)-phenylisopropyl]adenosine (R-PIA)- and scopolamine-induced amnesias were investigated in rodents to clarify the role of adenosine receptors in learning and memory. Some of the selective adenosine A1 antagonists exhibited antiamnesic activities at several doses where they did not induce an increase of spontaneous locomotion. The blockade of A1 receptors is more important than that of A2 receptors in learning and memory. Detailed studies of structure-activity relations of adenosine A1 antagonists in 2 amnesia models demonstrated that there were 3 types of adenosine A1 antagonists: 8-substituted 1,3-dipropylxanthines ameliorated the shortened latency in both models. 8-Substituted 1,3-dialkylxanthines and imidazo[2,1-i]purin-5(4H)-one derivs. ameliorated the shortened latency in.the (R)-PIA-induced amnesia model but not in the scopolamine-induced amnesia model. I (R1 = Pr, R2 = CH2CH2C6H4NHR, R = H, acetyl or isobutyryl, R3 = H, R4 = e.g., cyclopentyl or 3-noradamantyl, X = O) (II) ameliorated the shortened latency in the scopolamine model but not in the (R)-PIA model. II did not exhibit adenosine A1 antagonism in vivo presumably due to rapid metabolism The dramatic change in the activities of I could not be explained by their simple pharmacokinetic differences because both types of compds. showed clear blockade of central adenosine A1 receptors in the (R)-PIA model. KF15372 (I, R1 = R2 = Pr; R3 = H; R4= dicyclopropylmethyl; X = O) was chosen for further studies and is currently under preclin. development as a cognition enhancer.

IT 143394-68-7P 143394-70-1P 149744-78-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and adenosine A1 and A2 receptor antagonist activities of, structure in relation to)

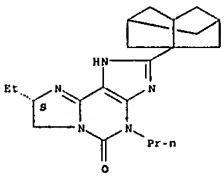
RN 143394-68-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

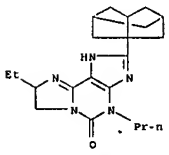


RN 143394-70-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



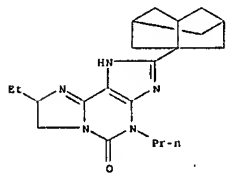
RN 149744-78-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



IT 143332-28-9P 143394-69-8P 143394-71-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 143332-28-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-

3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



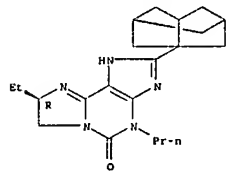
● HCl

RN 143394-69-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143394-68-7
CMF C21 H29 N5 O

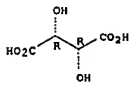
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

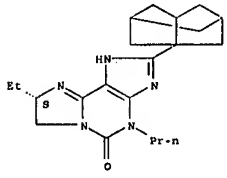


RN 143394-71-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (S)-, [S-(R*,R*)]-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143394-70-1
CMF C21 H29 N5 O

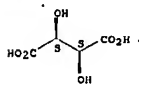
Absolute stereochemistry.



CM 2

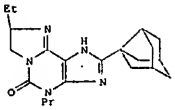
CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



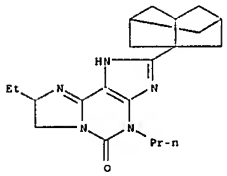
L4 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:612210 CAPLUS
DOCUMENT NUMBER: 117:212210
TITLE: 7,8-Dihydro-8-ethyl-2-(3-noradamantyl)-4-propyl-1H-imidazo[2,1-i]purin-5(4H)-one: a potent and water-soluble adenosine A1 antagonist
AUTHOR(S): Suzuki, Fumio; Shimada, Junichi; Nonaka, Hiromi;

Ishii, Akio; Shiozaki, Shizuo; Ichikawa, Shunji; Ono, Eikichi
CORPORATE SOURCE: Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Nagaizumi, 41, Japan
SOURCE: Journal of Medicinal Chemistry (1992), 35(19), 3578-81
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A new tricyclic heterocycle, 7,8-dihydro-8-ethyl-2-(3-noradamantyl)-4-propyl-1H-imidazo[2,1-i]purin-5(4H)-one (I), exhibited a potent adenosine A1 antagonistic activity in vitro and in vivo. This unique nonxanthine adenosine antagonist showed much better water solubility (3.2 mg/mL) than potent A1 antagonists reported to date. Anal. of adenosine A1 receptor binding of R- and S-I suggest a new receptor binding mode.
IT 143332-28-9P 143394-69-8P 143394-71-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, water solubility, and adenosine A1 antagonistic activity of)
RN 143332-28-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

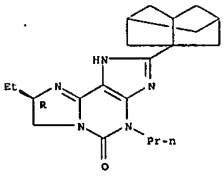
RN 143394-69-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (R)-, (2R,3R)-2,3-

dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143394-68-7
CMF C21 H29 N5 O

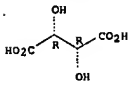
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

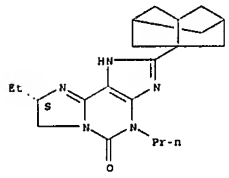


RN 143394-71-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, (S)-, [S-(R*,R*)]-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143394-70-1
CMF C21 H29 N5 O

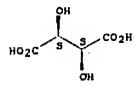
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMF C4 H6 O6

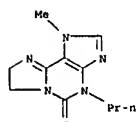
Absolute stereochemistry.



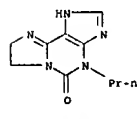
L4 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:536115 CAPLUS
DOCUMENT NUMBER: 115:136115
TITLE: Preparation of condensed purine derivatives as drugs
INVENTOR(S): Suzuki, Fumio; Shimada, Junichi; Kuroda, Takeshi; Kubo, Kazuhiro; Karasawa, Akira; Ohno, Tetsuji; Ohmori, Kenji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 423805	A2	19910424	EP 1990-120056	19901019
EP 423805	A3	19920102		
EP 423805	B1	20000823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2028235	A1	19910421	CA 1990-2028235	19901019
CA 2028235	C	19970121		
JP 03204880	A	19910906	JP 1990-281578	19901019
US 5270316	A	19931214	US 1990-599758	19901019
AT 195739	T	20000915	AT 1990-120056	19901019
ES 2152207	T3	20010201	ES 1990-120056	19901019

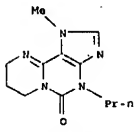
PRIORITY APPLN. INFO.: JP 1989-273403 A 19891020
OTHER SOURCE(S): MARPAT 115:136115
GI For diagram(s), see printed CA Issue.
AB Title compds. I (A = Q, Q1, Q2; R1 = H, alkyl, alicyclic alkyl, noradamantan-3-yl, dicyclopropylmethyl, styryl; R2 = H, alkyl, alicyclic alkyl; R3 = H, alkyl, PhCH2; X1, X2 = H, alkyl, aralkyl, Ph; n = 0, 1) or a salt thereof, useful as diuretics, renal protecting agents, bronchodilators or hypotensives, are prepared Thus, H2NCH2CH2OH was added to 3,7-dihydro-7-methyl-6-(methylthio)-3-propyl-2H-purin-2-one (preparation given) and treated at 160° for 1 h to give the hydroxyethylamino derivative which was refluxed with POCl3 and after workup to give the imidazaopurinone II. II showed biol. activity as the above agents. Pharmaceutical formulations are given.
IT 135839-21-3P 135839-22-4P 135839-23-5P
135839-24-6P 135839-25-7P 135839-26-8P
135839-27-9P 135839-28-0P 135839-29-1P
135839-30-4P 135839-31-5P 135839-32-6P
135839-33-7P 135839-34-8P 135839-35-9P
135839-36-0P 135839-37-1P 135839-38-2P
135839-39-3P 135839-40-6P 135839-41-7P
135839-42-8P 143332-28-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)
RN 135839-21-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-4-propyl- (9CI) (CA INDEX NAME)



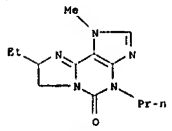
RN 135839-22-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



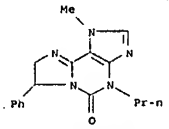
RN 135839-23-5 CAPLUS
CN Pyrimido[2,1-i]purin-5(1H)-one, 4,7,8,9-tetrahydro-1-methyl-4-propyl- (9CI) (CA INDEX NAME)



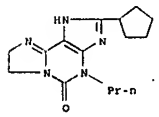
RN 135839-24-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1-methyl-4-propyl- (9CI) (CA INDEX NAME)



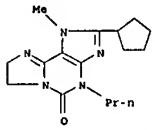
RN 135839-25-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-7-phenyl-4-propyl- (9CI) (CA INDEX NAME)



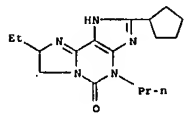
RN 135839-26-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4-propyl- (9CI) (CA INDEX NAME)



RN 135839-27-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-1-methyl-4-propyl- (9CI) (CA INDEX NAME)

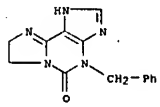


RN 135839-28-0 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



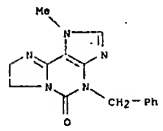
● HCl

RN 135839-29-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



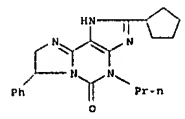
● HCl

RN 135839-30-4 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-1-methyl-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



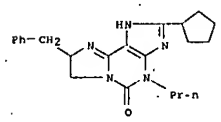
● HCl

RN 135839-31-5 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-7-phenyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

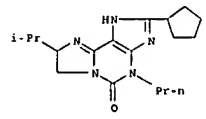
RN 135839-32-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(phenylmethyl)-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

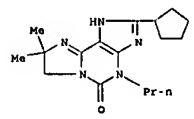
RN 135839-33-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-(1-

methylethyl)-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



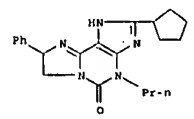
● HCl

RN 135839-34-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8,8-dimethyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

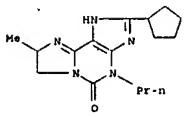
RN 135839-35-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-phenyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

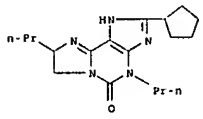
RN 135839-36-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-8-methyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



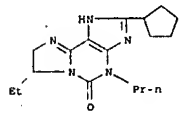
● HCl

RN 135839-37-1 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-4,8-dipropyl-, monohydrochloride (9CI) (CA INDEX NAME)



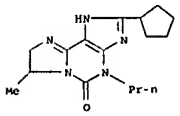
● HCl

RN 135839-38-2 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-7-ethyl-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



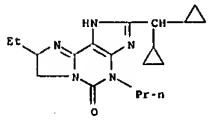
● HCl

RN 135839-39-3 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-cyclopentyl-1,4,7,8-tetrahydro-7-methyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



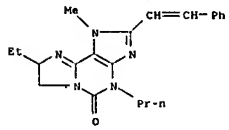
● HCl

RN 135839-40-6 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 2-(dicyclopropylmethyl)-8-ethyl-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



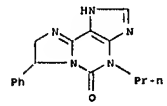
● HCl

RN 135839-41-7 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-1,4,7,8-tetrahydro-1-methyl-2-(2-phenylethenyl)-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



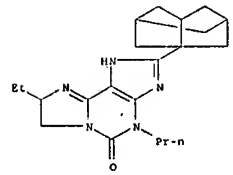
● HCl

RN 135839-42-8 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 1,4,7,8-tetrahydro-7-phenyl-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

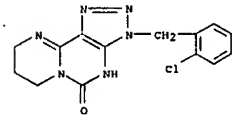
RN 143332-28-9 CAPLUS
CN 5H-Imidazo[2,1-i]purin-5-one, 8-ethyl-2-(hexahydro-2,5-methanopentalen-3a(1H)-yl)-1,4,7,8-tetrahydro-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



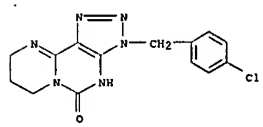
● HCl

L4 ANSWER 45 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:138984 CAPLUS
DOCUMENT NUMBER: 112:138984
TITLE: Synthesis of new heteroannulated 8-azapurines
AUTHOR(S): Ried, Walter; Laoutidis, Joannis
CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt, D-6000/70, Germany
SOURCE: Liebigs Annalen der Chemie (1990), (2), 207-8
CODEN: LACHDL; ISSN: 0170-2041
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 112:138984
GI For diagram(s), see printed CA Issue.
AB The aminotriazoles I (R = H, 2-Cl, 4-Cl, 2,4-Cl2) reacted with XCR1R2 [X =

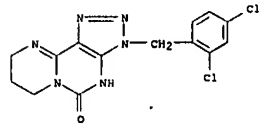
O, R = Cl, R1 = Cl, OPh; X =X, R1 = R2 = Cl; X = C(CN)2, NCN, R1 = R2 = SMe) to give the title compds. II.
IT 124127-56-6P 124127-57-7P 124127-58-8P
124127-59-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 124127-56-6 CAPLUS
CN Pyrimido[1,2-c]-1,2,3-triazolo[4,5-e]pyrimidin-5(3H)-one, 3-[(2-chlorophenyl)methyl]-4,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



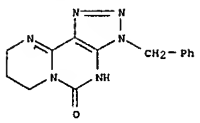
RN 124127-57-7 CAPLUS
CN Pyrimido[1,2-c]-1,2,3-triazolo[4,5-e]pyrimidin-5(3H)-one, 3-[(4-chlorophenyl)methyl]-4,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



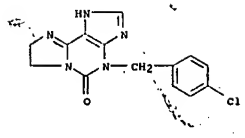
RN 124127-58-8 CAPLUS
CN Pyrimido[1,2-c]-1,2,3-triazolo[4,5-e]pyrimidin-5(3H)-one, 3-[(2,4-dichlorophenyl)methyl]-4,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



RN 124127-59-9 CAPLUS
CN Pyrimido[1,2-c]-1,2,3-triazolo[4,5-e]pyrimidin-5(3H)-one, 4,7,8,9-tetrahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



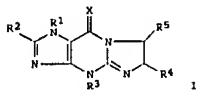
10/513699



L4 ANSWER 46 OF 46 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1980:579371 CAPLUS
DOCUMENT NUMBER:	93:179371
TITLE:	Substituted 6,7-dihydroimidazo[1,2-a]purin-9(4H)-ones
AUTHOR(S):	Temple, D. L., Jr.; Yevich, J. P.; Catt, J. D.; Owens, D.; Hanning, C.; Covington, R. R.; Seidehamel, R. J.; Dungan, K. W.
CORPORATE SOURCE:	Res. Lab., Mead Johnson Pharm., Evansville, IN, 47721, USA
SOURCE:	Journal of Medicinal Chemistry (1980), 23(11), 1188-98 CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 93:179371

GI



I

AB The title compds., mostly represented by I (R1 and R4 = H or alkyl; R2 = H, Br, alkyl, NH2, SH, or MeS; R3 = alkyl, benzyl, or substituted benzyl; R5 = H or Me; X = O or S), were prepared by several methods and evaluated in rats for antiallergic and bronchodilator activities. 4-[(4-Chlorophenyl)methyl]-6,7-dihydro-3H-imidazo[1,2-a]purin-9(4H)-one [68020-42-8] showed better activity than theophylline against both metacholine- and antigen-induced bronchospasm, did not affect spontaneous motor activity, and showed minimal cardiovascular effects. Structure-activity relations are discussed.

IT 75185-17-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiallergic and bronchodilator activity of)

RN 75185-17-0 CAPLUS

CN 5H-Imidazo[2,1-i]purin-5-one, 4-[(4-chlorophenyl)methyl]-1,4,7,8-tetrahydro- (9CI) (CA INDEX NAME)

<12/04/2007> Erich Leese